Welcome to STN International! Enter x:X

LOGINID: SSPTABEM1624

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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* * * * * * * * * *
                     Welcome to STN International
                 Web Page for STN Seminar Schedule - N. America
NEWS
NEWS
         NOV 21
                 CAS patent coverage to include exemplified prophetic
                 substances identified in English-, French-, German-,
                 and Japanese-language basic patents from 2004-present
NEWS
         NOV 26
                 MARPAT enhanced with FSORT command
NEWS
         NOV 26
                 CHEMSAFE now available on STN Easy
         NOV 26
NEWS
                 Two new SET commands increase convenience of STN
                 searching
NEWS
         DEC 01
                 ChemPort single article sales feature unavailable
      6
                 GBFULL now offers single source for full-text
NEWS
         DEC 12
                 coverage of complete UK patent families
NEWS
      8
         DEC 17
                 Fifty-one pharmaceutical ingredients added to PS
NEWS
         JAN 06
                 The retention policy for unread STNmail messages
                 will change in 2009 for STN-Columbus and STN-Tokyo
                 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
NEWS 10
         JAN 07
                 Classification Data
                 Simultaneous left and right truncation (SLART) added
NEWS 11 FEB 02
                 for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE
NEWS 14 FEB 10 COMPENDEX reloaded and enhanced
NEWS 15 FEB 11
                 WTEXTILES reloaded and enhanced
NEWS 16 FEB 19
                 New patent-examiner citations in 300,000 CA/CAplus
                 patent records provide insights into related prior
                 art.
NEWS 17
         FEB 19
                 Increase the precision of your patent queries -- use
                 terms from the IPC Thesaurus, Version 2009.01
NEWS 18
         FEB 23
                 Several formats for image display and print options
                 discontinued in USPATFULL and USPAT2
NEWS 19
         FEB 23 MEDLINE now offers more precise author group fields
                 and 2009 MeSH terms
NEWS 20
         FEB 23
                 TOXCENTER updates mirror those of MEDLINE - more
                 precise author group fields and 2009 MeSH terms
NEWS 21
         FEB 23
                 Three million new patent records blast AEROSPACE into
                 STN patent clusters
NEWS 22
        FEB 25
                 USGENE enhanced with patent family and legal status
                 display data from INPADOCDB
NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
             AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
NEWS LOGIN
              Welcome Banner and News Items
              For general information regarding STN implementation of IPC 8
NEWS IPC8
```

Enter NEWS followed by the item number or name to see news on that specific topic.

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=> fil reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.22 0.22

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 15:35:28 ON 25 FEB 2009
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STRUCTURE FILE UPDATES: 24 FEB 2009 HIGHEST RN 1111415-98-5 DICTIONARY FILE UPDATES: 24 FEB 2009 HIGHEST RN 1111415-98-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\STNEXP\Queries\10589407.str

\* "

844-8

chain nodes :

7 8 9 ring nodes : 1 2 3 4 5 6 10 11 12 13 14 15 chain bonds :

7-8 7-10 8-9 ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15

exact/norm bonds :

7-8 7-10 8-9 10-11 10-15 11-12 12-13 13-14 14-15

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 10 :

G1:N,CH

Match level :

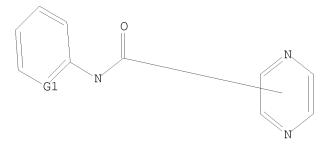
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:Atom

#### L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 N,CH

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam SAMPLE SEARCH INITIATED 15:36:10 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 3642 TO ITERATE

2000 ITERATIONS 54.9% PROCESSED INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

50 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

76459 PROJECTED ITERATIONS: 69221 TO 3189 TO PROJECTED ANSWERS: 4895

L250 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 15:36:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 73245 TO ITERATE

100.0% PROCESSED 73245 ITERATIONS SEARCH TIME: 00.00.04

3685 ANSWERS

L3 3685 SEA SSS FUL L1

=> d scan

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzoic acid, 4-[[[3-(methylamino)-2-pyrazinyl]carbonyl]amino]-, ethyl
 ester

MF C15 H16 N4 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):25

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[3-(1H-imidazol-1-ylmethyl)phenyl]-

MF C15 H13 N5 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[5-[(ethylphenylamino)sulfonyl]-2-(2,2,2-trifluoroethoxy)phenyl]-5-methyl-

MF C22 H21 F3 N4 O4 S

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- MF C18 H25 N5 O3 S

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[2-(2-propen-1-ylthio)phenyl]-
- MF C14 H13 N3 O S

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 5-methyl-N-[2-(3-methylphenoxy)phenyl]-
- MF C19 H17 N3 O2

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[3-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl]phenyl]-5-methoxy-

MF C17 H19 N5 O2 S

CI COM

Absolute stereochemistry.

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[4-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl]-2-pyridinyl]-5-methyl-

MF C16 H18 N6 O S

Absolute stereochemistry.

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[3-(2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl)-4,5-difluorophenyl]-5-(2-methoxyethoxy)-

MF C19 H21 F2 N5 O3 S

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[3-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl]phenyl]-5-(2-pentyn-1-yloxy)-

MF C21 H23 N5 O2 S

Absolute stereochemistry.

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[3-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl]phenyl]-5-(2-hydroxyethyl)-

MF C18 H21 N5 O2 S

Absolute stereochemistry.

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C24 H28 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzoic acid, 3-[(2-pyrazinylcarbonyl)amino]-5-[[[[2-

(trifluoromethyl)phenyl]sulfonyl]amino]methyl]-, methyl ester

MF C21 H17 F3 N4 O5 S

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[2-methoxy-5-[[(2methoxyphenyl)amino]sulfonyl]phenyl]-5-methyl-

MF C20 H20 N4 O5 S

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[4-[2-(cyclopropylamino)-2-oxoethyl]phenyl]-

MF C16 H16 N4 O2

$$\begin{array}{c|c} O & O \\ NH-C-CH_2 & O \\ NH-C & N \end{array}$$

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-6-(4-methoxyphenyl)-N-[2-(1- $\frac{1}{2}$ )

piperazinyl)phenyl]-

MF C22 H24 N6 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-methyl-2-(1-piperazinyl)phenyl]-

MF C16 H20 N6 O

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazine carboxamide, 3-amino-N-[5-(aminocarbonyl)-2-(1-IN piperidinyl)phenyl]-, 2,2,2-trifluoroacetate (1:?) C17 H20 N6 O2 . x C2 H F3 O2

MF

CM 1

CM 2

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

 $\hbox{2-Pyrazine carboxamide, 5-methyl-N-[4-[(1-methyl-1H-imidazol-2-methyl-1--[4-[(1-methyl-1H-imidazol-2-methyl-1--[4-[(1-methyl-1H-imidazol-2-methyl-1--[4-[(1-methyl-1H-imidazol-2-methyl-2-methyl-1--[4-[(1-methyl-1H-imidazol-2-methyl-1--[4-[(1-methyl-1H-imidazol-2-methyl-1--[4-[(1-methyl-1H-imidazol-2-methyl-1--[4-[(1-methyl-1H-imidazol-2-methyl-2-methyl-2--[4-[(1-methyl-1H-im$ ΙN yl)carbonyl]phenyl]-

C17 H15 N5 O2 MF

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(methylthio)phenyl]-MF C12 H12 N4 O S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-(4-hydroxyphenyl)-5-methyl-

MF C12 H11 N3 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[2-(cyclopentylamino)-2-oxo-1-(2-thienyl)ethyl]-N-(3-hydroxyphenyl)-

MF C22 H22 N4 O3 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[2-(diethylamino)-5[(diethylamino)sulfonyl]phenyl]-

MF C19 H27 N5 O3 S

L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(2-hydroxyphenyl)-

MF C11 H10 N4 O2

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-(4-ethoxyphenyl)-N-[2-[(4-fluorophenyl)amino]-1- (2-methylphenyl)-2-oxoethyl]-
- MF C28 H25 F N4 O3

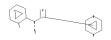
#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[2-[(4-fluorophenyl)amino]-1-(3-methoxyphenyl)-2-oxoethyl]-N-phenyl-
- MF C26 H21 F N4 O3

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>

Uploading C:\Program Files\STNEXP\Queries\10589407narrower.str





```
chain nodes :
7  8  9  19
ring nodes :
1  2  3  4  5  6  10  11  12  13  14  15
chain bonds :
7-8  7-10  7-19  8-9
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  10-11  10-15  11-12  12-13  13-14  14-15
exact/norm bonds :
7-8  7-10  7-19  8-9  10-11  10-15  11-12  12-13  13-14  14-15
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
isolated ring systems :
containing 1 : 10 :
```

G1:N,CH

G2:H,CH3

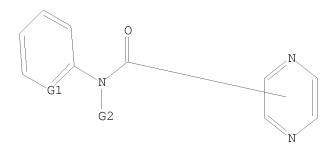
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:Atom 19:CLASS

=> d 14

L4 HAS NO ANSWERS

L4 STR



G1 N,CH G2 H,Me

Structure attributes must be viewed using STN Express query preparation.

 $\Rightarrow$  s 14 sss sam

SAMPLE SEARCH INITIATED 15:40:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3642 TO ITERATE

54.9% PROCESSED 2000 ITERATIONS

IONS

50 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 69221 TO 76459 PROJECTED ANSWERS: 2930 TO 4572

L5 50 SEA SSS SAM L4

=> s 14 sss full

FULL SEARCH INITIATED 15:40:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 73245 TO ITERATE

100.0% PROCESSED 73245 ITERATIONS 3230 ANSWERS

SEARCH TIME: 00.00.03

L6 3230 SEA SSS FUL L4

=> fil cap

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 375.12 375.34

FILE 'CAPLUS' ENTERED AT 15:40:44 ON 25 FEB 2009
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FILE COVERS 1907 - 25 Feb 2009 VOL 150 ISS 9 FILE LAST UPDATED: 24 Feb 2009 (20090224/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 15:35:13 ON 25 FEB 2009)

FILE 'REGISTRY' ENTERED AT 15:35:28 ON 25 FEB 2009

L1 STRUCTURE UPLOADED

L2 50 S L1 SSS SAM

L3 3685 S L1 SSS FULL

L4 STRUCTURE UPLOADED

L5 50 S L4 SSS SAM

L6 3230 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:40:44 ON 25 FEB 2009

 $\Rightarrow$  s 16 and (pry<2005)

378 L6

4600131 PRY<2005

L7 187 L6 AND (PRY<2005)

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

2.74 378.08

FULL ESTIMATED COST

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http://www.cas.org/support/stngen/stndoc/properties.html

=> fil reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.96 379.04

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 15:42:35 ON 25 FEB 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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=>

Uploading C:\Program Files\STNEXP\Queries\10589407narrower2.str

\* | 1

chain nodes :

7 8 9 19
ring nodes:
1 2 3 4 5 6 10 11 12 13 14 15
chain bonds:
7-8 7-10 7-19 8-9
ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds:
7-8 7-10 7-19 8-9
normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems:
containing 1: 10:

G1:N,CH

G2:H,CH3

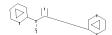
Match level :

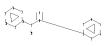
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:Atom 19:CLASS

#### L8 STRUCTURE UPLOADED

=>

Uploading C:\Program Files\STNEXP\Queries\10589407narrowest.str





chain nodes :
7 8 9 18
ring nodes :
1 2 3 4 5 6 10 11 12 13 14 15
chain bonds :
2-8 7-8 7-10 7-18 8-9

ring bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 10-11 \quad 10-15 \quad 11-12 \quad 12-13 \quad 13-14 \quad 14-15$ 

exact/norm bonds : 7-8 7-10 7-18 8-9

exact bonds :

2 - 8

normalized bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 10-11 \quad 10-15 \quad 11-12 \quad 12-13 \quad 13-14 \quad 14-15$ 

isolated ring systems : containing 1 : 10 :

G1:N,CH

G2:H,CH3

Match level :

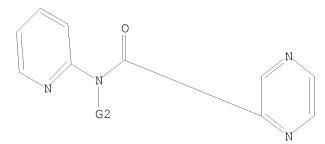
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:CLASS

#### L9 STRUCTURE UPLOADED

=> d 19

L9 HAS NO ANSWERS

L9 STR



G1 N,CH

G2 H, Me

Structure attributes must be viewed using STN Express query preparation.

11 ANSWERS

=> s 19 sss sam

SAMPLE SEARCH INITIATED 15:44:51 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 29 TO ITERATE

100.0% PROCESSED 29 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 257 TO 903 PROJECTED ANSWERS: 22 TO 418

L10 11 SEA SSS SAM L9

=> s 19 sss full

FULL SEARCH INITIATED 15:44:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 594 TO ITERATE

100.0% PROCESSED 594 ITERATIONS 178 ANSWERS

SEARCH TIME: 00.00.01

L11 178 SEA SSS FUL L9

=> d scan

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[4-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl]-2-pyridinyl]-5-(2-butyn-1-yloxy)MF C19 H20 N6 O2 S

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):20

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[6-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl]-2-pyridinyl]-5-methoxy-

MF C16 H18 N6 O2 S

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, N-(3-hydroxy-2-pyridinyl)-MF C10 H8 N4 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, 3-amino-N-(4-methyl-2-pyridinyl)-MF C11 H11 N5 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Thiophenecarboxylic acid, 3-[[(trans-4-methylcyclohexyl)carbonyl](1-methylethyl)amino]-5-[6-[(2-pyrazinylcarbonyl)amino]-3-pyridinyl]-, methylester

MF C27 H31 N5 O4 S

Relative stereochemistry.

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Carbamic acid, [3-[[(5-fluoro-2-pyridinyl)amino]carbonyl]-5 methylpyrazinyl]-3-pyridinyl-, 1,1-dimethylethyl ester (9CI)
MF C21 H21 F N6 O3

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, N-(5-nitro-2-pyridinyl)-MF C10 H7 N5 O3

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN INDEX NAME NOT YET ASSIGNED

MF C20 H18 Br N5 O4

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[4-[2-(4-fluoro-3-methylphenyl)]imidazo[1,2-b]pyridazin-3-yl[-2-pyridinyl]-

MF C23 H16 F N7 O

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2,3-Pyrazinedicarboxamide, N2-[2-(2-aminoethoxy)-4-(3-oxo-4-morpholinyl)phenyl]-N3-(5-chloro-2-pyridinyl)MF C23 H22 Cl N7 O5
CI COM

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[tetrahydro-3-(2-hydroxyethyl)-2-oxo-1(2H)-pyrimidinyl]phenyl]MF C23 H22 C1 N7 O4

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

3-hydroxy-2-oxo-1-piperidinyl]phenyl]-

MF C22 H18 Cl F N6 O4

Absolute stereochemistry.

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2,3-Pyrazinedicarboxamide, N2-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(5-cyano-2-pyridinyl)MF C27 H30 N8 O3 Si

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-

MF C26 H30 C1 N7 O3 Si

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2,3-Pyrazinedicarboxamide, N2-(5-cyano-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-

MF C21 H16 N8 O3

CI COM

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, hydrobromide (1:?)

MF C20 H16 C1 N7 O3 . x Br H

•x HBr

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, N-(5-bromo-6-methyl-2-pyridinyl)-MF C11 H9 Br N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Butanoic acid, 2-[[2-[6-[[[6-[2-(4-acetyl-2-ethyl-5-hydroxyphenyl)ethyl]-2-pyrazinyl]carbonyl]amino]-1-oxido-2-pyridinyl]acetyl]amino]-

MF C28 H31 N5 O7

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-(6-ethynyl-2-pyridinyl)MF C12 H8 C1 N5 O

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Pyrazinecarboxamide, 3-amino-5-[(1-methylethyl)amino]-N-2-pyridinylMF C13 H16 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Pyrazinecarboxamide, 3-amino-N-2-pyridinyl-5-(trifluoromethyl)MF C11 H8 F3 N5 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 187.80 566.84

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 15:45:31 ON 25 FEB 2009
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FILE COVERS 1907 - 25 Feb 2009 VOL 150 ISS 9 FILE LAST UPDATED: 24 Feb 2009 (20090224/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L13 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:655569 CAPLUS
DOCUMENT NUMBER:
                          145:124579
TITLE:
                          Preparation of condensed imidazole compounds as p38
                          MAP kinase inhibitors
                          Uchikawa, Osamu; Miwatashi, Seiji
INVENTOR(S):
PATENT ASSIGNEE(S):
                        Takeda Pharmaceutical Company Limited, Japan
SOURCE:
                         PCT Int. Appl., 308 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                          Japanese
FAMILY ACC. NUM. COUNT: 1
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OTHER SOURCE(S):

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 & x^3 \\
 & x^4 \\
 & x^4
\end{array}$$

II

Title compds. I [X1-X3 = (un)substituted CH or nitrogen atom with the proviso that any one thereof is a nitrogen atom; X4 = (un)substituted CH; R1 = (un)substituted Ph, (un)substituted heterocycle; R2 = (un)substituted pyridin-4-yl, (un)substituted N-oxidopyridin-4-yl, (un)substituted pyrimidin-4-yl] and salts thereof were prepared For example, bromination of 2-(2-fluoropyridin-4-yl)-1-(3-methylphenyl)ethanone followed by reaction with 3-amino-6-chloropyridazine afforded compound II. In p38 MAP kinase inhibition assays, the IC50 value of compound II was 0.11  $\mu\text{M}$ . Compds. I are claimed useful for the treatment of inflammation, autoimmune diseases, etc.

IT 896739-42-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of condensed imidazole compds. as p38 MAP kinase inhibitors for treatment of inflammation and autoimmune diseases)

RN 896739-42-7 CAPLUS

CN 2-Pyrazinecarboxamide, N-[4-[2-(4-fluoro-3-methylphenyl)imidazo[1,2-b]pyridazin-3-yl]-2-pyridinyl]- (CA INDEX NAME)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:558961 CAPLUS

DOCUMENT NUMBER: 145:62922

TITLE: Preparation of pyrazinedicarboxamides and related

compounds for the treatment of thromboembolic diseases

INVENTOR(S): Roehrig, Susanne; Jeske, Mario; Akbaba, Metin;

Rosentreter, Ulrich; Boyer, Stephen; Fischer, Karin; Pohlmann, Jens; Tuch, Arounarith; Perzborn, Elisabeth; Gerdes, Christoph; Schlemmer, Karl-Heinz; Burkhardt, Nils; Allerheiligen, Swen; Nell, Peter; Arndt, Sabine;

Lobell, Mario

PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AΒ Title compds. I [A = substituted pyrrolidonyl, imidazolidinonyl, 2-oxazolidinonyl, etc.; R1, R2 = H, F, CL, etc.; R3 = H, alkyl, OH, etc.; Z = Ph, pyridyl, pyrimidinyl, etc.] and their pharmaceutically acceptable salts and their formulations were prepared For example, 1,1'-Carbonyldiimidazole mediated cyclization of aminoalc. II afforded pyrazinedicarboxamide III in 19% yield. In blood-coagulation factor Xa inhibition assays, 8-examples of compds. I exhibited IC50 values ranging from 0.16-16 nM.

890822-23-8P 890822-63-6P 890822-79-4P ΙT 890822-87-4P 890822-95-4P 890823-19-5P 890823-27-5P 890824-07-4P 890824-36-9P 890824-43-8P 890824-50-7P 890824-58-5P

890824-73-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of pyrazinedicarboxamides and related compds. for the treatment of thromboembolic diseases)

890822-23-8 CAPLUS RN

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[4-(2-oxo-1-oxpyrrolidinyl)phenyl]- (CA INDEX NAME)

890822-63-6 CAPLUS RN

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[4-(2-oxo-3-variany1)-N3-[4-(2-oxo-4-variany1)-(2-oxo-4-variany1)-N3-[4-(2-oxo-4-variany1)-N3-[4-(2-oxo-4-variaoxazolidinyl)phenyl]- (CA INDEX NAME)

RN 890822-79-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[2-fluoro-4-(3-hydroxy-2-oxo-1-piperidiny1)phenyl]- (CA INDEX NAME)

RN 890822-87-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-[(3S)-3-hydroxy-2-oxo-1-piperidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 890822-95-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-[(3R)-3-hydroxy-2-oxo-1-piperidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 890823-19-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-27-5 CAPLUS

 $\texttt{CN} \qquad 2, 3 - \texttt{Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(3-oxo-1)] } \\ = (3 - \texttt{Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chloro-4-(5-chlor$ 

4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890824-07-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(tetrahydro-3-methyl-2-oxo-1(2H)-pyrimidinyl)phenyl]- (CA INDEX NAME)

RN 890824-36-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[tetrahydro-3-(2-hydroxyethyl)-2-oxo-1(2H)-pyrimidinyl]phenyl]- (CA INDEX NAME)

RN 890824-43-8 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[4-[tetrahydro-2-oxo-3-[2-(1-pyrrolidiny1)ethy1]-1(2H)-pyrimidiny1]pheny1]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

890824-58-5 CAPLUS RN

 $2, 3- \\ Pyrazine dicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[3-1]]$ CN [(cyclopropylamino)methyl]-2-oxo-1(2H)-pyridinyl]-2-fluorophenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 890824-57-4 CMF C26 H21 C1 F N7 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 890824-73-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[2-(2-aminoethoxy)-4-(3-oxo-4-morpholinyl)phenyl]-N3-(5-chloro-2-pyridinyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 890824-72-3 CMF C23 H22 C1 N7 O5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 43200-83-5P 890052-06-9P 890826-99-0P

890827-06-2P 1096601-39-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazinedicarboxamides and related compds. for the treatment of thromboembolic diseases)

RN 43200-83-5 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)

RN 890052-06-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

RN 890826-99-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(3-formyl-2-oxo-1(2H)-pyridinyl)phenyl]- (CA INDEX NAME)

RN 890827-06-2 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]-4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 1096601-39-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:542131 CAPLUS

DOCUMENT NUMBER: 145:46051

TITLE: Preparation of 2-imino-3-phenyloxazolidines and

related compounds for the treatment of thromboembolic

diseases

INVENTOR(S): Roehrig, Susanne; Pohlmann, Jens; Arndt, Sabine;

Jeske, Mario; Akbaba, Metin; Perzborn, Elisabeth; Gerdes, Christoph; Schlemmer, Karl-Heinz; Tuch, Arounarith; Lobell, Mario; Nell, Peter; Burkhardt,

Nils

PATENT ASSIGNEE(S): Bayer Healthcare AG, Germany

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PRIORITY APPLN. INFO.:
                                           WO 2005-EP12465
                                                           W 20051122
                       MARPAT 145:46051
OTHER SOURCE(S):
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GΙ

AB Title compds. I [Y = (CH2)n; n = 1-3; R1 = H, alkyl, CN, etc.; R2, R3 = H, halo, CN, etc.; A = phenylene, 5 or 6-membered heteroaryl ring with provisos; Z = Ph, pyridyl, pyrimidinyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, methanesulfonic acid mediated cyclization of cyanoamine II afforded the methanesulfonic acid salt of claimed phenyloxazolidine III in 81% yield. In blood-coagulation factor Xa inhibition assays, 4-examples of compds. I

exhibited IC50 values ranging 0.3-4.4 nM.

890051-67-9P 890051-68-0P 890051-71-5P

890051-72-6P 890051-73-7P 890051-74-8P

890051-75-9P 890051-76-0P 890051-77-1P

890051-78-2P 890051-95-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-imino-3-phenyloxazolidines and related compds. for the treatment of thromboembolic diseases)

RN 890051-67-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3-

oxazolidinyl)phenyl]- (CA INDEX NAME)

RN 890051-68-0 CAPLUS CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(dihydro-2-imino-2H-1,3-oxazin-3(4H)-yl)phenyl]- (CA INDEX NAME)

RN 890051-71-5 CAPLUS
CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 890051-67-9 CMF C20 H16 C1 N7 O3

CM 2

CRN 75-75-2 CMF C H4 O3 S

CN

RN 890051-72-6 CAPLUS

2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, hydrobromide (1:?) (CA INDEX NAME)

## •x HBr

RN 890051-73-7 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, hydrochloride (1:?) (CA INDEX NAME)

## ●x HCl

RN 890051-74-8 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(dihydro-2-imino-2H-1,3-oxazin-3(4H)-yl)phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 890051-68-0 CMF C21 H18 C1 N7 O3

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 890051-75-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-(2-imino-3-oxazolidinyl)phenyl]-N3-(5-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 890051-76-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-(2-imino-3-oxazolidinyl)phenyl]-N3-(5-methyl-2-pyridinyl)-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 890051-77-1 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-cyano-2-pyridiny1)-N3-[4-(2-imino-3-oxazolidiny1)pheny1]- (CA INDEX NAME)

RN 890051-78-2 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-cyano-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 890051-77-1 CMF C21 H16 N8 O3

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 890051-95-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[(2Z)-2-(hydroxyimino)-3-oxazolidinyl]phenyl]- (CA INDEX NAME)

Double bond geometry as shown.

IT 43200-83-5P 313973-42-1P 890051-99-7P

890052-06-9P 890052-07-0P 890052-08-1P

890052-09-2P 890052-10-5P 890052-11-6P

890052-12-7P 890052-34-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-imino-3-phenyloxazolidines and related compds. for the treatment of thromboembolic diseases)

RN 43200-83-5 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)

RN 313973-42-1 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(5-methyl-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)

RN 890051-99-7 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(5-cyano-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)

RN 890052-06-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

RN 890052-07-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} CN & Me \\ N-CH_2-CH_2-O-Si-Bu-t \\ N & Me \\ \hline \\ C=O \\ NH \\ \hline \\ N \\ C1 \\ \end{array}$$

RN 890052-08-1 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]amino]phenyl]- (CA INDEX NAME)

RN 890052-09-2 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[cyano[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]amino]phenyl]- (CA INDEX NAME)

RN 890052-10-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(5-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 890052-11-6 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(5-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 890052-12-7 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(5-cyano-2-pyridinyl)- (CA INDEX NAME)

RN 890052-34-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-cyano-2-pyridiny1)-N3-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1288059 CAPLUS

DOCUMENT NUMBER: 144:36255

TITLE: Preparation of heteroaryl amides for therapeutic use

as cannabinoid receptor modulators

INVENTOR(S): Amin, Kosrat; Broddefalk, Johan; Desfosses, Helene;

Evertsson, Emma; Liu, Ziping; Milburn, Claire; Nilsson, Karolina; Tremblay, Maxime; Walpole,

Christopher; Wei, Zhong-Yong; Yang, Hua

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 257 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:									APPLICATION NO.										
WO	2005	 1159	 86												20050520 <				
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KP,	KR,	KΖ,		
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,		
							PG,												
		SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,		
			ZM,																
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,		
							RU,												
		,			,	,	GR,	,	,	,	,	,	,	,	,	,			
							BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}_{m{\prime}}$		
		,	,	,	TD,														
	2005247834								AU 2005-247834 CA 2005-2565065										
EP	1756																		
	R:						CZ,												
						LU,	MC,	ΝL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	BA,		
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	2005 2008						2008 2008								20050520 <				
	2008				A		2008	-		-		DN66							
	2006																		
															20061117 < 20061122 <				
	MX 2006013538 NO 2006005878						2007								20061122 <				
	NO 2006005878 RIORITY APPLN. INFO.:						2007	0441				1345			A 20040525 <				
	IORIII APPLIN. INFO.:														W 2			`	
THER SO	HER SOURCE(S):						T 14	4:36							2		<i>- - - - - - - - - -</i>		

AB Heteroaryl amides, such as I [A1, A2, A3, A4 = N, CR1; R = (CH2)nR4; R1 = H, CN, NH2, NHCOMe, OH, halogen, alkylamino, alkoxy, etc.; R2 = aryl, heterocyclyl; R3 = H, alkyl; R4 = cycloalkyl, aryl, heterocyclyl, heterocyclylamino, etc.; m = 0-2; n = 0-5], were prepared for use in pharmaceutical compns. as cannabinoid types CB1 and CB2 receptor modulators which are useful in therapy, in particular in the management of pain. These amides are also claimed for use in the treatment of functional gastrointestinal disorders, irritable bowel syndrome, anxiety, cancer, multiple sclerosis, Parkinson's disease, Huntington's chorea, Alzheimer's disease, and cardiovascular disorders. Thus, N-(cyclobutylmethyl)-3-[(1-naphthalenylcarbonyl)amino]-2-pyridinecarboxamide II (R2 = 1-naphthalenyl) was prepared starting from cyclobutylmethylamine, 1-naphthalenecarbonyl chloride, and 3-amino-2-pyridinecarboxylic acid. Some of the prepared amides were assayed for CB1 and CB2 receptor binding activity.

IT 280115-50-6P

GΙ

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of heteroaryl amides for therapeutic use as

cannabinoid receptor modulators)

RN 280115-50-6 CAPLUS

CN 2-Pyrazinecarboxamide, N-(5-chloro-2-pyridinyl)-3-[[4-(1,1-dimethylethyl)benzoyl]amino]- (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:962046 CAPLUS

DOCUMENT NUMBER: 143:266952

TITLE: Preparation of bipyridyl amides as modulators of

metabotropic glutamate receptor-5

INVENTOR(S): Bonnefous, Celine; Kamenecka, Theodore M.; Vernier,

Jean-Michel

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATE	NO.			KIND DATE					APPL:	ICAT	ION 1		Di						
WO 2	WO 2005079802				A1	A1 20050901			1	WO 2	005-	JS39.		20050209 <					
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,		
		RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,		
		MR,	NE,	SN,	TD,	ΤG													
AU 2	2005	2153	79		A1		2005	0901		AU 2	005-	2153		20050209 <					
CA 2	2555	402			A1		2005	0901		CA 2					0050	209 <			
EP 1	.715	867			A1		2006	1102		EP 2	005-	7131	11		2	0050	209 <		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,		
		ΙE,	SI,	LT,	FΙ,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	IS				
CN 1							2007	0321	(	CN 2	005-	8000	4732		20050209 <				
JP 2	JP 2007524682						2007	0830		JP 2	006-	20050209 <							
IN 2006DN04346					A		2007	0713		IN 2006-DN4346						20060727 <			

US 20070149547 PRIORITY APPLN. INFO.:

A1 20070628

US 2006-589407 US 2004-544627P 20060811 <--20040212 <--

WO 2005-US3952

20050209

Р

W

OTHER SOURCE(S):

CASREACT 143:266952; MARPAT 143:266952

GΙ

AB The title compds. I [X = N, C; Y = N, C, C(halo); R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, aryl, etc.; R3 = aryl, halo, alkyl, etc.; R2 and R3 may be joined together with the atoms to which they are attached to form a (un)saturated 4-7 membered ring containing 0-2 heteroatoms selected from

O, S and N; R4 = aryl, heteroaryl, halo, etc.] which are mGluR5 modulators useful in the treatment or prevention of diseases and conditions in which mGluR5 is involved, including but not limited to psychiatric and mood disorders such as schizophrenia, anxiety, depression, bipolar disorders, and panic, as well as in the treatment of pain, Parkinson's disease, cognitive dysfunction, epilepsy, circadian rhythm and sleep disorders, such as shift-work induced sleep disorder and jet-lag, drug addiction, drug abuse, drug withdrawal, obesity and other diseases, were prepared Thus, amidation of pyridin-2-amine with 3-amino-5,6-diphenylpyrazine-2-carboxylic acid afforded the amide II. The exemplified compds. I have mGluR5 inhibitory activity as shown by inhibition at 10  $\mu\text{M}$  or less in the calcium flux assay or 100  $\mu\text{M}$  or less or less in the PI assay. The invention is also directed to pharmaceutical compns. comprising compds. I.

IT 848187-30-4P 863909-18-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of bipyridyl amides as modulators of metabotropic glutamate receptor-5)

RN 848187-30-4 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-bromo-N-2-pyridinyl- (CA INDEX NAME)

RN 863909-18-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-[6-[2-(trimethylsilyl)ethynyl]-2-pyridinyl]- (CA INDEX NAME)

IT 37804-11-8P 848187-22-4P 848187-24-6P 848187-26-8P 848187-27-9P 848187-28-0P 848187-29-1P 848187-31-5P 848187-32-6P 848187-34-8P 848187-35-9P 863908-32-1P 863908-34-3P 863908-36-5P 863908-42-3P 863908-44-5P 863908-46-7P 863908-64-9P 863908-66-1P 863908-69-4P 863908-71-8P 863908-73-0P 863908-77-4P 863908-79-6P 863908-81-0P 863908-83-2P 863908-87-6P 863908-92-3P 863908-94-5P 863908-98-9P 863909-02-8P 863909-04-0P 863909-07-3P 863909-09-5P 863909-11-9P 863909-16-4P 863909-22-2P 863909-38-0P RL: PAC (Pharmacological activity): SPN (S

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bipyridyl amides as modulators of metabotropic glutamate receptor-5)

RN 37804-11-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-5-(dimethylamino)-N-2-pyridinyl-(CA INDEX NAME)

RN 848187-22-4 CAPLUS

CN 2-Pyrazinecarboxamide, 6-chloro-5-(dimethylamino)-N-2-pyridinyl- (CA INDEX NAME)

RN 848187-24-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-5-(1-piperidinyl)-N-2-pyridinyl-(CA INDEX NAME)

RN 848187-26-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-2-pyridinyl- (CA INDEX NAME)

RN 848187-27-9 CAPLUS

CN 2-Pyrazinecarboxamide, 6-chloro-N-2-pyridinyl- (CA INDEX NAME)

RN 848187-28-0 CAPLUS

CN 2-Pyrazinecarboxamide, 6-methyl-N-2-pyridinyl- (CA INDEX NAME)

RN 848187-29-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-2-pyridinyl- (CA INDEX NAME)

RN 848187-31-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-methyl-N-2-pyridinyl- (CA INDEX NAME)

RN 848187-32-6 CAPLUS

CN 2-Pyrazinecarboxamide, 6-chloro-3-(methylamino)-N-2-pyridinyl- (CA INDEX NAME)

RN 848187-34-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-(6-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 848187-35-9 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-methyl-N-(6-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 863908-32-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-5,6-diphenyl-N-2-pyridinyl- (CA INDEX

NAME)

RN 863908-34-3 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-5-[(2-furanylmethyl)amino]-N-2-pyridinyl- (CA INDEX NAME)

RN 863908-36-5 CAPLUS

CN 2-Pyrazinecarboxamide, 6-chloro-3-(dimethylamino)-5-[(2-furanylmethyl)amino]-N-2-pyridinyl- (CA INDEX NAME)

$$\begin{array}{c|c} C1 \\ \hline \\ N \\ \hline \\ NMe_2 \end{array}$$

RN 863908-42-3 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-phenyl-N-2-pyridinyl- (CA INDEX NAME)

RN 863908-44-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-5-(methylthio)-N-2-pyridinyl- (CA INDEX NAME)

RN 863908-46-7 CAPLUS

CN 2-Pyrazinecarboxamide, 6-bromo-3-(methylthio)-N-2-pyridinyl- (CA INDEX NAME)

RN 863908-64-9 CAPLUS

CN 2-Pyridinecarboxylic acid, 6-[[(3-amino-6-chloro-2-pyrazinyl)carbonyl]amino]-, methyl ester (CA INDEX NAME)

RN 863908-66-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-(3-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 863908-69-4 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-(2-cyanophenyl)-N-2-pyridinyl- (CA INDEX NAME)

RN 863908-71-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-2-pyridinyl-6-(3-pyridinyl)- (CA INDEX NAME)

RN 863908-73-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-methoxy-N-(6-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 863908-77-4 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-(6-phenyl-2-pyridinyl)- (CA INDEX NAME)

RN 863908-79-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-(6-cyano-2-pyridinyl)- (CA INDEX NAME)

RN 863908-81-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-[6-(1H-imidazol-1-yl)-2-pyridinyl]- (CA INDEX NAME)

RN 863908-83-2 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[2,4'-bipyridin]-6-yl-6-chloro- (CA INDEX NAME)

RN 863908-87-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-5-methoxy-N-2-pyridinyl- (CA INDEX NAME)

RN 863908-92-3 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-2-pyridinyl-5-(trifluoromethyl)- (CA INDEX NAME)

RN 863908-94-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-2-pyridinyl-6-(trifluoromethyl)- (CA INDEX NAME)

RN 863908-98-9 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-5-chloro-6-phenyl-N-2-pyridinyl- (CA INDEX NAME)

RN 863909-02-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-5-(ethylamino)-N-2-pyridinyl- (CA INDEX NAME)

RN 863909-04-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-ethyl-N-2-pyridinyl- (CA INDEX NAME)

RN 863909-07-3 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-5-[(1-methylethyl)amino]-N-2-pyridinyl-(CA INDEX NAME)

RN 863909-09-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-butyl-N-2-pyridinyl- (CA INDEX NAME)

RN 863909-11-9 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-5,6-dimethyl-N-2-pyridinyl- (CA INDEX NAME)

RN 863909-16-4 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-5,6-dimethyl-N-(6-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 863909-22-2 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-(6-ethynyl-2-pyridinyl)- (CA INDEX NAME)

RN 863909-38-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-cyano-N-2-pyridinyl- (CA INDEX NAME)

IT 863909-60-8 863909-63-1

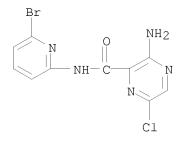
RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of bipyridyl amides as modulators of metabotropic glutamate receptor-5)

RN 863909-60-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-bromo-N-(6-methyl-2-pyridinyl)- (CA

RN 863909-63-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(6-bromo-2-pyridinyl)-6-chloro- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:216820 CAPLUS

DOCUMENT NUMBER: 142:297926

TITLE: Preparation of substituted 8-heteroaryl xanthines for

use in pharmaceutical compositions as selective

antagonists of A2B adenosine receptors

INVENTOR(S): Wang, Guoquan; Rieger, Jayson M.; Thompson, Robert D.

PATENT ASSIGNEE(S): Adenosine Therapeutics, Llc, USA

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	PATENT NO.					KIND DATE				APPL	ICAT	ION :		DATE			
	WO 2005021548 WO 2005021548			A2 A3					WO 2	004-	 US27		20040820 <				
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PRIORITY APPLN. INFO.:
                                             US 2003-497875P
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                                                                  W 20040820 <--
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OTHER SOURCE(S): GI

CASREACT 142:297926; MARPAT 142:297926

AΒ Xanthines, such as I [R = H, alkyl, haloalkyl, alkenyl, alkynyl, etc.; R1, R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, heterocyclyl, aryl, heteroalkyl, etc.; X = 5-10 membered heteroaryl containing at least one nitrogen atom and optionally other heteroatoms; Z = alkoxy, alkylthio, amino, heterocyclyl, etc.; Z1 = alkyl, alkenyl, alkynyl, etc.; n = 0-8], were prepared for therapeutic use in the treatment of pathol. conditions or symptoms, wherein the activity of adenosine A2B receptors is implicated and antagonism of their action is desired. These xanthine derivs. are claimed for use in the treatment of asthma, allergies, allergic disease, autoimmune disease, diarrheal disease, insulin resistance, diabetes, cancer, ischemia/reperfusion injuries, diabetic retinopathy or hyperbaric oxygen-induced retinopathy. Thus, xanthine derivative II (R3 = NHCH2Me) was prepared via cyclocondensation of 6-chloronicotinoyl chloride with 5,6-diamino-1,3-dipropyluracil to form chloride II (R3 = C1) and a subsequent amination reaction of the chloride with MeCH2NH2. The prepared xanthines were screened for A2B adenosine receptor antagonist activity. ΙT 847611-96-5P 847611-98-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted 8-heteroaryl xanthines for use in pharmaceutical compns. as selective antagonists of A2B adenosine receptors) 847611-96-5 CAPLUS

RN 847611-96-5 CAPLUS
CN 2-Pyrazinecarboxamide, N-[5-(1,3-diethyl-2,3,6,9-tetrahydro-2,6-dioxo-1H-purin-8-yl)-2-pyridinyl]-N-methyl- (CA INDEX NAME)

RN 847611-98-7 CAPLUS

CN 2-Pyrazinecarboxamide, N-methyl-N-[5-(2,3,6,9-tetrahydro-2,6-dioxo-1,3-tetrahydro-2,8-tetrahyddipropyl-1H-purin-8-yl)-2-pyridinyl]- (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

2004:515503 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 141:71452

TITLE: Preparation of pyridine derivatives as JNK inhibitors INVENTOR(S): Kallin, Elisabeth; Plobeck, Niklas; Swahn, Britt-Marie

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed. SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	CENT	NO.			KIND DATE					APPL	ICAT	ION 1		DATE					
WO	WO 2004052880					A1 20040624				WO 2	003-	 SE19	11		20031208 <				
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PRIORITY APPLN. INFO.:									SE 2002-3654					A 20021209 <					
							WO 2003-SE1911					W 20031208 <							
OTHER SC		MARPAT 141:71452																	

OTHER SOURCE(S):

GΙ

AB The title compds. [I; R1 = aryl or heteroaryl, each of which is optionally substituted with one or more of R3, OR3, OCOR3, COOR3, CONR3, CONR3R4, NHCOR3, NR3R4, NHSO2R3, SO2R3, SO2NR3R4, SR3, CN, halo, NO2; R2 = R5, R6, COR5, COR6, CONHR5, CONHR6, CON(R6)2, COOR5, COOR6, SO2R5, SO2R6; R3, R4 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted (hetero)aryl; R6 = H, alkyl, cycloalkyl, etc.], were prepared and formulated. E.g., a 4-step synthesis of N,N'-bis[4-(trifluoromethyl)phenyl]-4,4'-bipyridine-2,2'-diamine, starting from 2-chloropyridine, was given. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,000 nM in assay for inhibition of JNK3.

IT 712268-69-4P 712269-06-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4,4-bipyridine-2,2'-diamine derivs. as JNK inhibitors) 712268-69-4 CAPLUS

CN 2-Pyrazinecarboxamide, N-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (CA INDEX NAME)

RN 712269-06-2 CAPLUS

RN

CN 2-Pyrazinecarboxamide, 5-methyl-N-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]-(CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:457058 CAPLUS

DOCUMENT NUMBER: 133:73942

TITLE: Preparation of heteroroaromatic amides as factor Xa

inhibitors

INVENTOR(S): Beight, Douglas Wade; Craft, Trelia Joyce;

Franciskovich, Jeffry Bernard; Goodson, Theodore, Jr.; Hall, Steven Edward; Herron, David Kent; Joseph, Sajan Pariyadan; Klimkowski, Valentine Joseph; Masters, John Joseph; Mendel, David; Milot, Guy; Pineiro-Nunez, Marta Maria; Sawyer, Jason Scott; Shuman, Robert Theodore; Smith, Gerald Floyd; Tebbe, Anne Louise; Tinsley, Jennifer Marie; Weir, Leonard Crayton; Wikel, James Howard; Wiley, Michael Robert; Yee, Ying Kwong

PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Kyle, Jeffrey Alan

SOURCE: PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

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									1	WO 1	999-	US29	887	Ţ	W 1	9991	215	<
THER SO	HER SOURCE(S):				MAR	PAT	133:	73942	2									

AB R2Z2ZCONHZ1R1 [I; R1 = C1, F, Me; R2 = N-(un)substituted azacycloalkyl, 4-(un)substituted -1-piperazinyl, 4-aminocyclohexyl, 4-amino-1-piperidinyl, etc.; Z = (un)substituted-2,3- or

-3,2-pyridinediyl, -5,4- or -4,5-pyrimidinediyl, -2,3-pyrazinediyl, etc.;

Z1 = 2,5-pyridinediyl (R1 may addnl. = MeO or MeS), 2,5-pyrimidinediyl,

3,6-pyridazinediyl, 2,6-benzothiazolediyl; Z2 = NHCOX, NHCO2X, NHCONHX,

NHCH2; X = bond or CH2] were prepared as factor Xa inhibitors (no data).

Thus, 2-chloronicotinic acid was aminated by

1-(4-pyridinyl)piperidine-4-methylamine (preparation given) and the product amidated by 2-amino-5-chloropyridine to give title compound II.

280115-50-6P 280115-72-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroroarom. amides as factor Xa inhibitors)

280115-50-6 CAPLUS

2-Pyrazinecarboxamide, N-(5-chloro-2-pyridinyl)-3-[[4-(1,1-

dimethylethyl)benzoyl]amino]- (CA INDEX NAME)

ΙT

RN

CN

RN 280115-72-2 CAPLUS

CN 2-Pyrazinecarboxamide, N-(5-chloro-2-pyridinyl)-3-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (CA INDEX NAME)

IT 280115-75-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heteroroarom. amides as factor Xa inhibitors)

RN 280115-75-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(5-chloro-2-pyridinyl)- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:260225 CAPLUS

DOCUMENT NUMBER: 132:294010

TITLE: Preparation of diaminopropionic acid derivatives as

intracellular adhesion molecule-1 (ICAM-1) binding

inhibitors

INVENTOR(S): Fotouhi, Nader; Gillespie, Paul; Guthrie, Robert

William; Pietranico-Cole, Sherrie Lynn; Yun, Weiya

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 259 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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CN	1274	670			С		2006	0913	(	CN 1	999-	8120	99		1	9991	012	<
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US	2002	0052	512		A1		2002		1	JS 2	001-	8797	00		2			
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US 2001-879700 B3 20010612 <--US 2003-349289 A3 20030122 <--US 2004-945650 A3 20040921 <--

OTHER SOURCE(S):
GI

MARPAT 132:294010

CONHCH CH<sub>2</sub>-NH-X-(Y)<sub>m</sub>-Z
$$CO_2H$$
 $CO_2H$ 
 $CO_2H$ 

AΒ Diaminopropionic acid derivs. I [R1 = substituted 1-naphthyl, 4-indolyl, 4-benzimidazolyl, 4-benzodiazolyl, 4-benzotriazolyl, or phenyl; R2 = CHR3NHCO (R3 = H, carboxy, alkyl), CH2CH2CO, 1,2-cyclopropanediylcarbonyl, OCH2CO, CH:CHCHR3, CH2CH2CH(OH), CONHCHR3, or CH2NH-5,1-tetrazolediyl; U, V, W = H, halo, alkyl provided that U and V are not both hydrogen; X = CO, phenylalkylene, sulfonyl; Y = alkylene which may be substituted by amino or cycloalkyl, alkenylene, alkylenethio; Z = H, alkylthio, CO2H, CONH2, 1-adamantyl, diphenylmethyl, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]-2pyrazinyl, hydroxy, phenylmethoxy, 2-chloro-4-[[[((3-hydroxyphenyl)methyl]amino]carbonyl]phenyl, [(2,6-dichlorophenyl)methoxy], Ph, (un)substituted cycloalkyl or aryl or fused ring system which may contain 0-3 heteroatoms; m, n = 0, 1] or their pharmaceutically acceptable salts or esters were prepared and are useful for treating rheumatoid arthritis, psoriasis, multiple sclerosis, Crohn's disease, ulcerative colitis, atherosclerosis, restenosis, pancreatitis, transplant rejection, delayed graft function and diseases of ischemia reperfusion injury, including acute myocardial infarction and stroke. Thus, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-(3-methoxybenzoylamino)-L-alanine was prepared by the solid-phase method and showed IC50 = 1.2 nM in the LFA-1 (lymphocyte function-associated antigen-1)/ICAM-1 protein-protein assay.

Т

IT 264274-09-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 264274-09-1 CAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-

hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[3-[[(5-chloro-2-pyridinyl)amino]carbonyl]pyrazinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

IT 43200-83-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 43200-83-5 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:479386 CAPLUS

DOCUMENT NUMBER: 127:121881

ORIGINAL REFERENCE NO.: 127:23517a,23520a TITLE: Preparation of

[(carbamoylheterocyclyl)methyl]phosphonic acid diester

derivatives as drugs

INVENTOR(S): Miyata, Kazuyoshi; Sakai, Yasuhiro; Shoji, Yasuo;

Tsuda, Yoshihiko; Inoue, Yasuhide; Sato, Keigo; Miki,

Shinya

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	TENT NO.				DATE	APPLICATION NO.	DATE
	9724360				 19970710 , US	WO 1996-JP3775	19961224 <
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CA	2241679				20020212		
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AU	702980			B2	19990311		
EP	882730			A1	19981209	EP 1996-942639	19961224 <
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						WO 1996-JP3775 W	19961224 <
OTHER SO	DURCE(S):	:		MARPAT	127:1218		

x1 0

GΙ

AΒ Phosphonic acid diester derivs. represented by general formula R1R2NCO-A-CH2P(:0)(OR3)OR4 [R1 = cycloalkyl, (un)substituted Ph, lower haloalkyl, 1,3,4-thiadiazol-2-yl, thiazolyl, (halo)pyridyl, benzothiazol-2-yl having 1 or 2 lower alkyl group on the Ph ring, 4,5-dihydrothieno[3,2-e]benzothiazol-2-yl; R2 = H, phenyl-lower alkyl; R3, R4 = lower alkyl; A = a heterocycle selected from among pyrazine, thiophene and phenyl-substituted thiazole rings] which are useful as remedies for hyperlipidemia and diabetes, antitumor agents, and preventives or remedies for cataract, are prepared Thus, 5-bromomethyl-2-thiophenecarboxylic acid was heated with tri-Et phosphite at  $160^{\circ}$  under stirring for 1 h and the reaction mixture was dissolved in 200 mL EtOH, treated dropwise with 4 N aqueous NaOH under ice-cooling, and stirred at room temperature for 12 to give 5-[(diethoxyphosphoryl)methyl]-2-thiophenecarboxylic acid. The latter compound was stirred with SOC12 at room temperature for 4 h to give 5-[(diethoxyphosphoryl)methyl]-2-thiophenecarbonyl chloride which was condensed with 4-methoxyaniline in the presence of pyridine in CH2Cl2 at room temperature for 12 h to give the title compound (I; X = MeO, X1 = H). I (X =

Ι

Cl, X1 = COMe) at 100 mg/kg p.o. lowered the serum triglyceride level by 71% in rats administered i.v. with Triton WR1339.

IT 192723-78-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [(carbamoylheterocyclyl)methyl]phosphonic acid diester derivs. as drugs)

192723-78-7 CAPLUS RN

Phosphonic acid, [[5-[(2-pyridinylamino)carbonyl]pyrazinyl]methyl]-, CN diethyl ester (9CI) (CA INDEX NAME)

L13 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:476652 CAPLUS

DOCUMENT NUMBER: 125:142578

ORIGINAL REFERENCE NO.: 125:26685a,26688a

Pyridopyrimidones, quinolines and fused N-heterocycles TITLE:

as bradykinin antagonists.

INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe,

Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka,

Hirokazu

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 263 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	TENT NO.			KINI	O	DATE			APF	LICAT	ION	NO.		D	ATE		
WO	9613485 W: AU			A1 HU,						1995-	JP21	92		1	9951	025	<
	RW: AT	, BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, IE,	ΙΤ,	LU,	MC,	NL,	PT,	SE	
CA	2203659			A1		1996	0509		CA	1995-	2203	659		1	9951	025	<
AU	9537536			A		1996	0523		AU	1995-	3753	6		1	9951	025	<
AU	705883			В2		1999	0603										
EP	807105			A1		1997	1119		ΕP	1995-	9355	63		1	9951	025	<
EP	807105			В1		2004	0616										
	R: AT	, BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, IT,	LI,	LU,	NL,	SE,	PT,	IE	
	1168667					1997	1224		CN	1995-	1966	02		1	9951	025	<
JP	1050776	4		T		1998	0728		JΡ	1996-	5141	66		1	9951	025	<
JP	3697486			В2		2005	0921										
AT	269310			${ m T}$		2004	0715		ΑT	1995-	9355	63		1	9951	025	<
ES	2218554			Т3		2004	1116		ES	1995-	9355	63		1	9951	025	<
US	5994368			А		1999	1130		US	1997-	8094	16		1	9970	425	<
PRIORITY	APPLN.	INFO	.:						GB	1994-	2168	4		A 1	9941	027	<
									GB	1995-	1233	9		A 1	9950	616	<
									WO	1995-	JP21	92		W 1	9951	025	<
OTHER SO	DURCE(S)	:		MARI	PAT	125:	1425	78									

GΙ

The invention relates to title compds. I [Z = group Q1 or Q2; X1 = N or AΒ CR1; X2 = N or CR9; X3 = N or CR2; R1 = alkyl; R2 = H, (un)substitutedalkyl, alkoxy, halo, aryl, amino, etc.; R3 = H, alkyl, alkoxy, halo; R4 = alkyl, alkoxy, halo; R5 = OH, nitro, (un)substituted alkoxy, substituted piperazinyl, NR6R7; R6 = H, alkyl; R7 = H, alkoxycarbonyl, (un)substituted aroyl, carbamoyl, -(AA)COQR8, -(AA)R10; R8 = (un)substituted arylthio, aryloxy, arylamino, heterocyclylthio, heterocyclylamino, etc.; R9 = H, alkyl; R10 = H, acylbiphenyl; A = alkylene; (AA) = amino acid; Y = O, NR11; R11 = H, N-protective group], and pharmaceutically acceptable salts thereof, processes for their preparation, pharmaceutical compns., and therapeutic use in the prevention and/or the treatment of bradykinin-mediated diseases. Such diseases include allergy, inflammation, autoimmune disease, shock, and pain. For instance, amidation of 8-[3-(N-glycyl-N-methylamino)-2,6-dichlorobenzyloxy]-2methylquinoline with (E)-3-[6-(ethoxycarbonyl)-3-pyridyl]acrylic acid [prepns. given] using EDC and HOBt in DMF gave title compound II. similarly prepared title compound III.HCl gave 100% inhibition of [3H]-bradykinin binding to rat ileum receptors in vitro at 10-6 M. ΙT 179621-24-0P 179621-25-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridopyrimidones, quinolines, and fused N-heterocycles as bradykinin antagonists)

PAGE 1-A

RN 179621-24-0 CAPLUS

CN Pyrazinecarboxamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

\_\_ Me

RN 179621-25-1 CAPLUS

CN Pyrazinecarboxamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, trihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

●3 HCl

\_\_ Me

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:163886 CAPLUS

DOCUMENT NUMBER: 124:202306

ORIGINAL REFERENCE NO.: 124:37409a,37412a TITLE: Preparation of

N-pyridylheterocyclyl(alkane)carboxamides as

antiinflammatories

INVENTOR(S): Robert, Jean-Michel; Rideau, Odile; Robert-Piessard,

Sylvie; Courant, Jacqueline; Le Baut, Guillaume; Caignard, Daniel-Henri; Renard, Pierre; Adam, Gerard

PATENT ASSIGNEE(S): Adir et Compagnie, Fr. SOURCE: Eur. Pat. Appl., 35 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
		A1 B1		EP 1995-401194	19950523 <
	R: AT, BE, C	CH, DE, DK	ES, FR,	GB, GR, IE, IT, LI, LU,	, NL, PT, SE
				FR 1994-6412	
FR			19960628		
AT	157362	T	19970915	AT 1995-401194	19950523 <
ES			19971116	ES 1995-401194	19950523 <
FI	9502550	A	19951128	FI 1995-2550	19950524 <
CA	2150162	A1	19951128		
CA	2150162	С	20020514		
AU	9520288	A	19951207	AU 1995-20288	19950525 <
AU	683151	B2	19971030		
US	5712294	A	19980127	US 1995-450346	19950525 <
NO	9502075	A	19951128	NO 1995-2075	19950526 <
NO	308359	B1	20000904		
ZA	9504314	A	19960124	ZA 1995-4314	19950526 <
CN	1121074	A	19960424	CN 1995-105512	19950526 <
CN	1053904	С	20000628		
JP	07330764	A	19951219	JP 1995-130573	19950529 <
JP	3048511	B2	20000605		
US	5843947	A	19981201	US 1997-827344	19970326 <
PRIORIT	Y APPLN. INFO.:			FR 1994-6412	
				US 1995-450346	A3 19950525 <

$$R^{1}$$
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{7}$ 
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 $R^{7}$ 
 $R^{8}$ 
 $R^{9}$ 
 $R^{1}$ 
 $R^{1}$ 
 $R^{1}$ 
 $R^{2}$ 
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 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{7$ 

Title compds. [I; R1,R2 = (di)(alkyl)amino, alkyl, OH, alkoxy, halo; R3,R4 = H, groups cited for R1; R5 = NRC(:X)ZR6; R = H, alkyl; R6 = heterocyclyl, heteroaryl; 1 of Z1,Z2 = NOm and the other = CH; m = 0 or 1] were prepared Thus, thiophene-2-acetic acid was amidated by 2-amino-4,6-dimethylpyridine to give title compound II which gave 70% inhibition of carrageenin-induced rat paw inflammation at 10mg/kg orally.

IT 160363-91-7P 174454-08-1P 174454-09-2P

174454-10-5P 174454-19-4P 174454-26-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-pyridylheterocyclyl(alkane)carboxamides as antiinflammatories)

RN 160363-91-7 CAPLUS

CN 2-Pyrazinecarboxamide, N-(4,6-dimethyl-2-pyridinyl)-5-methyl- (CA INDEX NAME)

RN 174454-08-1 CAPLUS

CN 2-Pyrazinecarboxamide, N-(4,6-dimethyl-2-pyridinyl)- (CA INDEX NAME)

RN 174454-09-2 CAPLUS

CN 2-Pyrazinecarboxamide, N-(5-bromo-4,6-dimethyl-2-pyridinyl)- (CA INDEX NAME)

RN 174454-10-5 CAPLUS

CN 2-Pyrazinecarboxamide, N-(3,5-dibromo-4,6-dimethyl-2-pyridinyl)- (CA INDEX NAME)

RN 174454-19-4 CAPLUS

CN 2-Pyrazinecarboxamide, N-(4,6-dimethyl-1-oxido-2-pyridinyl)- (CA INDEX NAME)

RN 174454-26-3 CAPLUS

CN 2-Pyrazinecarboxamide, N-(4,6-dimethyl-5-nitro-2-pyridinyl)- (CA INDEX NAME)

L13 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:169102 CAPLUS

DOCUMENT NUMBER: 118:169102

ORIGINAL REFERENCE NO.: 118:29009a,29012a

TITLE: Preparation of phenoxymethyl(carbamoyl)arenes as

leukotriene B4 antagonists

INVENTOR(S): Nagata, Hideo; Kawakami, Hajime

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 147 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 516069 EP 516069	 A1 B1	19921202 19960424	EP 1992-108916	19920527 <	<
R: AT, BE	E, CH, DE, DK	, ES, FR,	GB, GR, IT, LI, NL,	PT, SE	
CA 2069667	A1	19921201	CA 1992-2069667	19920527 <	(
AU 9217193	A	19930311	AU 1992-17193	19920527 <	<
AU 643140	B2	19931104			
AT 137223	T	19960515	AT 1992-108916	19920527 <	(
JP 05239004	A	19930917	JP 1992-164065	19920528 <	<
US 5225422	A	19930706	US 1992-891256	19920601 <	<
PRIORITY APPLN. IN	70.:		JP 1991-157725	A 19910531 <	<
OTHER SOURCE(S):	MARPAT	118:1691	02		
GI					

$$R^4$$
 $O-A-B-CON(R^5)XYZR^6$ 
 $R^1CO$ 
 $R^2$ 

Title compds. I (A = alkylene; B, X = (substituted) phenylene, AΒ heteroarylene; Y = bond, O; Z = bond, alkylene; R1 = alkyl; R2 = OH, C1-C5 alkoxy; R3, R4 = H, alkyl, alkenyl or alkynyl; R5 = H, C1-C5 alkyl or hydroxyalkyl; R6 = (modified) carboxy; NR5R6 = heteroarom.) were prepared as allergy inhibitors and antiinflammatories (no data). Thus, 6-[(4-acetyl-2-ethyl-5-hydroxyphenoxy)methyl]pyridine-2-carboxylic acid, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, 1-hydroxybenzotriazole, 2-aminothiazole-4-carboxamide, and triethylamine were stirred in CH2Cl2/DMF at room temperature for 44 h to give 2-[6-[(4-acetyl-2-ethyl-5-hydroxyphenoxy)methyl]pyridine-2carboxamid]thiazol-4-ylcarboxamide.

146460-86-8P 146460-87-9P 146461-18-9P ΤT

146461-19-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as antiallergic and antiinflammatory agent)

Ι

146460-86-8 CAPLUS RN

2-Pyridineacetic acid, 6-[[[6-[(4-acetyl-2-ethyl-5-hydroxyphenoxy)methyl]-CN 2-pyrazinyl]carbonyl]amino]- (CA INDEX NAME)

146460-87-9 CAPLUS RN

CN 2-Pyridineacetic acid, 6-[[[6-[(4-acetyl-2-ethyl-5-hydroxyphenoxy)methyl]-2-pyrazinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

RN 146461-18-9 CAPLUS

2-Pyridineacetic acid, 6-[[[6-[(4-acetyl-2-ethyl-5-hydroxyphenoxy)methyl]-CN 2-pyrazinyl]carbonyl]amino]-, 1-oxide (CA INDEX NAME)

146461-19-0 CAPLUS RN

CN 2-Pyridineacetic acid, 6-[[[6-[(4-acetyl-2-ethyl-5-hydroxyphenoxy)methyl]-2-pyrazinyl]carbonyl]amino]-, ethyl ester, 1-oxide (CA INDEX NAME)

L13 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:147571 CAPLUS

DOCUMENT NUMBER: 118:147571

ORIGINAL REFERENCE NO.: 118:25387a,25390a

TITLE: Preparation of

N-(2-pyridinesulfonyl)-N'-(2-pyrimidinyl)urea

derivatives as herbicides

INVENTOR(S): Sakashita, Nobuyuki; Nakajima, Toshio; Murai, Shigeo;

Yoshida, Tsunezo; Nakamura, Yuji; Sawaki, Masahiko;

Motosawa, Shoichi

PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04253974	А	19920909	JP 1991-100628	19910205 <

PRIORITY APPLN. INFO.: OTHER SOURCE(S):

GΙ

MARPAT 118:147571

$$R^{3}$$
 $Z^{2}$ 
 $N$ 
 $A$ 
 $N$ 
 $R^{1}CONR^{2}$ 
 $N$ 
 $SO_{2}Z^{1}$ 
 $N$ 
 $Y$ 
 $Y$ 

The title compds. (I; R1 = cycloalkyl, alkoxyalkyl, (un)substituted Ph, AB pyridyl, thienyl, furyl, pyrazolyl, or piperazinyl; R2 = (halo)alkyl, cycloalkyl, Ph, PhCH2; R3 = H, halo, (halo)alkyl; X, Y = halo, alkyl, (halo) alkoxy; A = CH, N) are prepared by reaction of 2-pyridinesulfonamide derivs. (II; Z1 = NH2, isocyanato, NHCO2R4; R4 = alkyl, aryl; R1 - R3 =same as above) with pyrimidine derivs. (III; Z2 = NH2, when Z1 =isocyanato or NHCO2R4; Z2 = isocyanato or NHCO2R4, when Z1 = NH2). Thus, cyanation of 2,6-dibromopyridine with CuCN in refluxing DMF and hydrolysis of the resulting 2-bromo-6-cyanopyridine with aqueous NaOH followed by acidification gave 6-bromopicolinic acid. Chlorination of the latter compound with POC13 under reflux, condensation of the product with N-tert-butyl-6-methylaminopyridine-2-ylsulfonamide in CH2Cl2 containing Et3N, and deprotection of the resulting 6-bromo-N-(6-tertbutylaminosulfonylpyridin-2-yl)-N-methylpicolinamide to 6-bromo-N-(6-aminosulfonylpyridin-2-yl)-N-methylpicolinamide followed by carbamoylation with Ph 2,4- dimethoxypyrimidin-2-yl carbamate gave I (R1 = 6-bromo-2-pyridyl, R2 = Me, R3 = H, X = Y = OMe, A = CH) (IV). IV at 0.31 q/are postemergence completely controlled Ipomoea and Amaranthus retroflexus. A total of 82 I were prepared and were also effective for controlling Sida spinosa and Echinochloa crus-galli.

IT 146371-95-1P 146371-96-2P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)

RN 146371-95-1 CAPLUS

CN 2-Pyrazinecarboxamide, N-[6-[[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

146371-96-2 CAPLUS RN

2-Pyrazinecarboxamide, N-[6-[[[(4,6-dimethoxy-2-CN pyrimidinyl)amino]carbonyl]amino]sulfonyl]-2-pyridinyl]-N-methyl- (CA INDEX NAME)

146372-53-4P 146372-54-5P ΤТ

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as intermediate for (pyridinesulfonyl)pyrimidinylurea herbicide)

146372-53-4 CAPLUS RN

CN 2-Pyrazinecarboxamide, N-[6-(aminosulfonyl)-2-pyridinyl]- (CA INDEX NAME)

146372-54-5 CAPLUS RN

CN 2-Pyrazinecarboxamide, N-[6-(aminosulfonyl)-2-pyridinyl]-N-methyl- (CA INDEX NAME)

L13 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

1981:156973 CAPLUS ACCESSION NUMBER:

94:156973 DOCUMENT NUMBER:

ORIGINAL REFERENCE NO.: 94:25669a,25672a

TITLE: Heterocyclic compounds for pharmaceutical compositions

Cotrel, Claude; Crisan, Cornel; Jeanmart, Claude; Messer, Mayer N. INVENTOR(S):

PATENT ASSIGNEE(S): Rhone-Poulenc Industries S. A., Fr.

SOURCE: U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 628,926,

abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. DATE KIND DATE APPLICATION NO.

						_		
	US 4220646	А	19800902	US	1977-790801		19770425 <	
	FR 2313060	A1	19761231	FR	1974-36963		19741107	
	FR 2322600	A1	19770401	FR	1975-27160		19750904	
	FR 2322600	B1	19790914					
	FR 2322601	A1	19770401	FR	1975-27161		19750904	
	FR 2322601	В1	19790914					
	FR 2322602	A1	19770401	FR	1975-27162		19750904	
	FR 2322602	B1	19790914					
	JP 51070776	A	19760618	JP	1975-132198		19751105 <	
	ZA 7506954	A	19761027	ZA	1975-6954		19751105 <	
	AU 7586331	A	19770512	AU	1975-86331		19751105 <	
	AU 503200	В2	19790830					
	BE 835325	A1	19760506	BE	1975-161652		19751106 <	
	PL 100434	B1	19781031	PL	1975-184578		19751107 <	
	JP 52033685	A	19770314	JP	1976-1850		19760110 <	
	JP 61041919	В	19860918					
	AT 7704019	A	19771015	ΑT	1977-4019		19770607 <	
	AT 7704020	A	19771015	ΑT	1977-4020		19770607 <	
	CS 231958	В2	19850116	CS	1977-5983		19770914 <	
	CS 231959	В2	19850116	CS	1977-5984		19770914 <	
	JP 55040671	A	19800322	JP	1979-105633		19790821 <	
	JP 59019551	В	19840507					
	JP 55051087	A	19800414	JP	1979-105632		19790821 <	
	JP 60003397	В	19850128					
PRIOR	RITY APPLN. INFO.:				1974-36963	Α		
				FR	1975-27160	А		
					1975-27161	Α		
				FR	1975-27162	Α	19750904 <	
					1975-628926	Α2	19751105 <	
					1974-56963	Α		
					1975-8486	Α		
				CS	1975-7510	АЗ	19751107 <	
OTHER	COUDON (C)		04.156073					

OTHER SOURCE(S):

MARPAT 94:156973

GI

The heterocyclic compds. (.apprx.40) I (R1R2 together with the pyrroline ring form an isoindoline, a 2,3,6,7-tetrahydro-5H-1,4-oxathiino[2,3-c]pyrrole, or a 2,3,6,7-tetrahydro-5H-1,4-dithiino[2,3-c]pyrrole; R3 = H, C1-4 alkyl, C2-4 alkenyl, CF3; R4 = chloro-1,8-naphthyridin-2-yl), useful (no data) as tranquilizers, anticonvulsants, muscle relaxants, and hypnotics, were prepared Thus, acetylation of II (R = H) by AcCl gave II (R = Ac). Several pharmaceutical formulations were reported.

II 43200-83-5

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with thionyl chloride)

RN 43200-83-5 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)

L13 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1976:446758 CAPLUS

DOCUMENT NUMBER: 85:46758

ORIGINAL REFERENCE NO.: 85:7607a,7610a

TITLE: Heterocyclic compounds and compositions containing

them

INVENTOR(S): Cotrel, Claude; Crisan, Cornel; Jeanmart, Claude;

Messer, Mayer N.

PATENT ASSIGNEE(S): Rhone-Poulenc S. A., Fr.

SOURCE: Ger. Offen., 54 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2550111	A1	19760513	DE 1975-2550111	19751107 <
DE 2550111	C2	19830915		
FR 2313060	A1	19761231	FR 1974-36963	19741107
FR 2322600	A1	19770401	FR 1975-27160	19750904
FR 2322600	B1	19790914		
FR 2322601	A1	19770401	FR 1975-27161	19750904
FR 2322601	B1	19790914		
FR 2322602	A1	19770401	FR 1975-27162	19750904
FR 2322602	B1	19790914		
NL 7512732	A	19760511	NL 1975-12732	19751030 <
NL 177405	В	19850416		
NL 177405	С	19850916		
CA 1057755	A1	19790703	CA 1975-238909	19751103 <
JP 51070776	A	19760618	JP 1975-132198	19751105 <
DD 122684	A5	19761020	DD 1975-189261	19751105 <
ZA 7506954	A	19761027	ZA 1975-6954	19751105 <
AU 7586331	A	19770512	AU 1975-86331	19751105 <
AU 503200	В2	19790830		
HU 173108	В	19790228	HU 1975-RO868	19751105 <
IL 48423	А	19790312	IL 1975-48423	19751105 <
BE 835325	A1	19760506	BE 1975-161652	19751106 <
DK 7504992	A	19760508	DK 1975-4992	19751106 <
DK 141098	В	19800114		
DK 141098	С	19800707		
NO 7503713	A	19760510	NO 1975-3713	19751106 <
NO 143576	В	19801201		
NO 143576	С	19810311		
SE 7512477	A	19760510	SE 1975-12477	19751106 <
SE 407063	В	19790312		
SE 407063	С	19790621		
GB 1468497	A	19770330	GB 1975-46103	19751106 <
CH 609057	A5	19790215	CH 1975-14378	19751106 <
SU 673173	A3	19790705	SU 1975-2186208	19751106 <
FI 7503127	A	19760508	FI 1975-3127	19751107 <

	60707 60707	B C	19811130 19820310					
AT	7508486	A	19770915	ΑT	1975-8486		19751107	<
${ t PL}$	100434	B1	19781031	PL	1975-184578		19751107	<
${ t PL}$	101248	B1	19781230	PL	1975-199797		19751107	<
CS .	231957	B2	19850116	CS	1975-7510		19751107	<
JP .	52033685	A	19770314	JΡ	1976-1850		19760110	<
JP	61041919	В	19860918					
AT	7704019	A	19771015	ΑT	1977-4019		19770607	<
AT	7704020	A	19771015	ΑT	1977-4020		19770607	<
CS .	231958	B2	19850116	CS	1977-5983		19770914	<
CS .	231959	В2	19850116	CS	1977-5984		19770914	<
JP	54098790	A	19790803	JΡ	1978-125257		19781013	<
JP	55008508	В	19800304					
JP	55040671	A	19800322	JΡ	1979-105633		19790821	<
JP	59019551	В	19840507					
JP	55051087	A	19800414	JΡ	1979-105632		19790821	<
JP	60003397	В	19850128					
PRIORITY	APPLN. INFO.:			FR	1974-36963	A	19741107	<
				FR	1975-27160	Α	19750904	<
				FR	1975-27161	Α	19750904	<
				FR	1975-27162	Α	19750904	<
				FR	1974-56963	Α	19741107	<
				ΑT	1975-8486	Α	19751107	<
				CS	1975-7510	АЗ	19751107	<

OTHER SOURCE(S): CASREACT 85:46758

AB Tranquilizing (no data) piperazinocarbonyloxypyrrolones I [RR1 = (CH) 4, N:CHCH:N, CH:CHCC1:CH, OCH2CH2S, SCH2CH2S; R2 = H, Me, Et, Pr, CHMe2, CH:CH2, cyclopropyl, cyclohexyl, CH2Cl, CF3, 3-pyridyl, CH:CHMe, CMe:CH2, C.tplbond.CH, CH:CMe2, OEt, OCMe3] and some related compds. (39 compds.) were prepared Thus, 2-amino-1,8-naphthyridin-7-ol was treated with phthalic anhydride, the phthalimide chlorinated, reduced, the indolone II (R3 = H) treated with ClCO2Ph, II (R3 = CO2Ph) treated with piperazine, and II (R3 = piperazinocarbonyloxy) treated with CH2:CHCOCl to give I (RR1 = (CH) 4, R2 = CH:CH2].

IT 43200-83-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of)

CN 2-Pyrazinecarboxylic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)

L13 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1973:492284 CAPLUS

DOCUMENT NUMBER: 79:92284

ORIGINAL REFERENCE NO.: 79:14995a,14998a

TITLE: Anticonvulsive and tranquilizing pyrrolopyrazines INVENTOR(S): Cotrel, Claude; Jeanmart, Claude; Messer, Mayer N.

PATENT ASSIGNEE(S): Rhone-Poulenc S. A. SOURCE: Ger. Offen., 18 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2300491	A1	19730719	DE 1973-2300491	19730105 <
DE 2300491	В2	19770908		
FR 2166314	A1	19730817	FR 1972-505	19720107
FR 2205318	A2	19740531	FR 1972-39731	19721109
DD 102698	A5	19731220	DD 1972-167951	19721228 <
PL 82478	B1	19751031	PL 1972-159840	19721228 <
PL 91759	B1	19770331	PL 1972-174539	19721228 <
PL 91760	B1	19770331	PL 1972-174540	19721228 <
NL 7217852	A	19730710	NL 1972-17852	19721229 <
US 3862149	A	19750121	US 1972-319876	19721229 <
ZA 7300072	A	19730926	ZA 1973-72	19730104 <
HU 164821	В	19740411	HU 1973-RO691	19730104 <
AU 7350754	A	19740704	AU 1973-50754	19730104 <
BE 793730	A1	19730705	BE 1973-126194	19730105 <
JP 48076892	A	19731016	JP 1973-69	19730105 <
JP 52003952	В	19770131		
GB 1358680	A	19740703	GB 1973-796	19730105 <
СН 560702	A5	19750415	СН 1974-11606	19730105 <
СН 560703	A5	19750415	CH 1974-11607	19730105 <
AT 323181	В	19750625	AT 1973-100	19730105 <
CH 564558	A5	19750731	CH 1973-113	19730105 <
CA 991183	A1	19760615	CA 1973-160620	19730105 <
SU 548212	A3	19770225	SU 1973-1873290	19730105 <
NO 136843	В	19770808	NO 1973-62	19730105 <
CS 180649	B1	19770831	CS 1976-4995	19730105 <
CS 180650	В2	19770831	CS 1976-4996	19730105 <
SE 398503	В	19771227	SE 1973-159	19730105 <
SE 398503	С	19780406		
CS 180610	В2	19780131	CS 1973-122	19730105 <
FI 54124	В	19780630	FI 1973-27	19730105 <
FI 54124	С	19781010		
DK 139359	В	19790205	DK 1973-69	19730105 <

DK 139359	С	19790709			
SU 507240	A3	19760315	SU 1974-1993903		19740206 <
SU 504484	A3	19760225	SU 1974-1995434		19740213 <
JP 52048687	A	19770418	JP 1976-106831		19760908 <
JP 52031358	В	19770813			
JP 52048688	A	19770418	JP 1976-106832		19760908 <
PRIORITY APPLN. INFO.:			FR 1972-505	Α	19720107 <
			FR 1972-39731	Α	19721109 <

GI For diagram(s), see printed CA Issue.

AB Five pyrrolopyrazines (I; R = 3-02NC6H4, 5-chloro-2-pyridyl, 6-methyl-3-pyridazinyl, or 7-chloro-2-quinolyl; n = 0 or 1), useful as tranquilizers and anticonvulsants, were prepared by reaction of II with YCl or successively with ClCO2Ph and 1-methylpiperazine, optionally followed by oxidation II were prepared by reaction of RNH2 with 2,3-pyrazinedicarboxylic anhydride, followed by ring closure, and KBH4 reduction of the resulting 5,7-dioxopyrrolopyrazine derivs.

IT 43200-83-5P

RN 43200-83-5 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)

L13 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:434570 CAPLUS

DOCUMENT NUMBER: 77:34570
ORIGINAL REFERENCE NO.: 77:5763a,5766a

TITLE: Pyrazinamide derivatives as diuretics and natriuretics

INVENTOR(S): Cragoe, Edward J., Jr.; Shepard, Kenneth L.

PATENT ASSIGNEE(S): Merck and Co., Inc. SOURCE: Fr. Demande, 54 pp.

CODEN: FRXXBL DOCUMENT TYPE: Patent

LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2034542		19710108		<
PRIORITY APPLN.	INFO.:		US	19690212 <

GI For diagram(s), see printed CA Issue.

AB Refluxing a mixture of I (R1 = Me, R2 = R3 = H, R4 = C1), 5% aqueous NaOH, and iso-PrOH for 1 hr gave the carboxylic acid I (R1 = R2 = R3 = H, R4 = C1) (II). A mixture of CH.tplbond.CCH2NH2, Me 3-amino-5,6-dichloropyrazinoate, and Me2SO when stirred for 1 hr gave I (R1 = Me, R2 = H, R3 = CH.tplbond.CCH2, R4 = C1) which on hydrolysis gave the corresponding carboxylic acid, R1 = H. Using similar methods, 21 I were prepared in which R1 = H, R2 = H, Me, allyl, cyclopentyl, Me2NCH2CH2, 2-furylmethyl, MeO, NH2, etc., R3 = H or Me, R4 = C1, Br, or iodo. To a solution of II, Et3N, and Me2NCHO was added N-tert-butyl-5-methylisoxazolium perchlorate (III) and the mixture stirred 2 hr to give IV (R2 = R3 = H, R4 = C1, R5 = Me, R6 =

Me3C) (V). Nineteen IV were similarly prepared in which R2 = H, allyl, propargyl, cyclopentyl, hydroxyalkyl, benzyl, furylmethyl, phenyl, substituted phenyl, MeO, NH2, Me, or Et; R3 = H or Me; R4 = C1, Br, or iodo; R5 = Me or Ph; R6 = Et, CMe3, or Me. Refluxing a mixture of 1-aminopyrrolidine and V for 2 hr gave VI (R2 = R3 = H, R4 = C1, R1 =  $\frac{1}{2}$ pyrrolidino) as a high m.p. solid. Twenty-two VI were similarly prepared in which R2, R3, and R4 were as in V and R1 was a group such as MePrN(CH2)2, MeOCH2CH2, benzyl, Me2NCH2CH2, pyrrolidinoethyl, and 1-methyl-4-piperazinoethyl. VI (R2 = R3 = H, R4 = C1, R1 = 2-pyridylamino) was prepared by refluxing a mixture of 2-hydrazinopyridine (VII) and MeCN. Reacting III, 3,5-diamino-6-chloropyrazinoic acid (VIII) with Et3N in Me2NCHO, then addition of 2-hydrazinopyrimidine in DMF and further heating gave VI (R2 = R3 = H, R4 = C1, R1 = 2-pyrimidinylamino). In THF, under similar conditions were prepared a further 14 amides and hydrazines VI including VI (R2 = R3 = H, R4 = C1, R1 = 4H-1,2,4-triazolyl). Stirring a mixture of benzamidine and VII in H2O for 2 hr gave IX. Five analogs were prepared using other amidines. In a similar manner using quanidine in place of benzamidine was prepared X (R = H) (XI) giving a crystalline hydrochloride. XI could also be prepared directly from

VIII

without isolation of intermediates. By similar methods were prepared X (R = OH, CH2Ph) and 39 analogs of X in which the NH2 adjacent to the Cl could also be substituted. With aminoquanidine and 2-hydrazino-2-imidazoline were prepared X (R = NH2 and 2-aminoimidazoline). A mixture of CNNH2 and Na in iso-PrOH was refluxed for 0.5 hr and then heated with N-tert-butyl-3-(3,5-diamino-6-chloropyrazinylcarbonyloxy)crotonamide to give N-cyano-3,5-diamino-6-chloropyrazinecar-boxamide. Refluxing N-tert-butyl-3-methyl-3-(3,5-diamino-6chloropyrazinylcarbonyloxy)acrylamide (XII) and benzyloxydiguanide in THF gave XIII (R = H, R1 = CH2Ph). Twelve XI in which R was H and R1 1-6C alkyl, or R was a substituent such as cyclopentyl, PhCH2, and furylmethyl, and R1 was substituted benzyl were prepared Refluxing a mixture of 2-amino-2-thiazoline, XII, and THF gave N-(2-thiazolin-2-yl)-3,5-diamino-6-chloropyrazinecarboxamide (XIV, R = R1)= R2 = R3 = H). Three analogs were prepared in which R was cyclopentyl, benzyl and HO(CH2)2, the other substituents being H, Me, or C6H13. XIV where RNH was pyrrolidino was also prepared The 4- and 2-pyridyl groups and 2-pyrimidinyl could be substituted for the thiazoline. Reaction of V with sulfamide and Et3N in MeCN at room-temperature gave XV (R = R1 = R2 = H, X =Cl). Eighteen XV were similarly prepared Properties are also given for a further 19 amides XVI. containing a wide variety of substituents. The products are useful in treatment of hypertension and related conditions by causing diuresis without elimination of potassium. Daily doses are 5 mg-1 g.

IT 37804-11-8P

RN 37804-11-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-5-(dimethylamino)-N-2-pyridinyl-(CA INDEX NAME)

ACCESSION NUMBER: 1971:420438 CAPLUS

DOCUMENT NUMBER: 75:20438
ORIGINAL REFERENCE NO.: 75:3278h,3279a

TITLE: N-substituted 3,5-diamino-6-halopyrazinamides INVENTOR(S): Shepard, Kenneth L.; Cragoe, Edward J., Jr.

PATENT ASSIGNEE(S): Merck and Co., Inc.

SOURCE: U.S., 10 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE					
	US 3573306	A	19710330		19690305					
	NL 7001141	A	19700908							
	BE 746816	А	19700904	BE 1970-746816	19700304 <					
PRIC	RITY APPLN. INFO.:			US 1969-804663 A						
AB	Addition of dipheny	/lcarban	movl chloride	e to 3,5-diamino-6-chlo						
				5-chloropyrazinecarboxy						
	diphenylcarbamic anhydride (I). Refluxing Na in iso-PrOH with									
	guanidine-HCl and addition of I gave 1-(3,5-diamino-6-									
	chloropyrazinoyl)gu	anidine	e. Similarly	y prepared were						
	1,1,3,3-tetramethyl-2-(3,5-diamino-6-chloropyrazinoyl)guanidine,									
	1-(3,5-diamino-6-chloropyrazinoyl)-3-cyanoguanidine,									
	N-methyl-N-(cyanomethyl)-3,5-diamino-6-chloropyrazinecarboxamide,									
				nloropyrazinecarboxamid						
				nloropyrazinecarboxamid						
				propyrazinecarboxamide,						
	N-(2-pyridyl)-3,5-c									
				c acid 1,2-dimethylhydr	azide,					
	3,5-diamino-6-chlor			c acid						
	1-methyl-2-benzylic									
			razinoy1)morp	pholine. These compds.	had diuretic					
T. III	activity at 10-100	mg.								
ΙT	33249-56-8P		u '\ DDDD	(D   ' )						
	RL: SPN (Synthetic		ation); PREP	(Preparation)						
RN	(preparation of) 33249-56-8 CAPLUS									
CN		1do 3 F	i-diamino-6	chloro-N-2-pyridinyl-	(CA INDEX					
CIV	NAME)	iue, 3,5	)-u1am1110-6-(	mioro-n-z-pyriainyi-	(CA INDEX					

L13 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1963:53333 CAPLUS

DOCUMENT NUMBER: 58:53333

ORIGINAL REFERENCE NO.: 58:9094g-h,9095a-g

TITLE: 3,5-Diaminopyrazine-2,6-dicarboxamides

INVENTOR(S): Daglish, Anthony F.; Vonderwahl, R.; Tillotson, G. A.

PATENT ASSIGNEE(S): J. R. Geigy A.-G.

SOURCE: 8 pp.
DOCUMENT TYPE: Patent

LANGUAGE: Unavailable PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1087609		19600825	DE 1958-G24632	19580528 <
СН 358807			СН	
СН 358808			СН	
US 3043780		19620710	US 1958-737215	19580523
US 3175980		19650330	US 1961-179263	19611116
US 3201315		19650817	US 1962-168868	19620115
PRIORITY APPLN.	INFO.:		СН	19570529 <

GI For diagram(s), see printed CA Issue.

t.o

AB 1,3-Diethyl-4-amino-5-nitrosouracil (I) 212 and 1,3-diethyl-4-aminouracil 183 in AcOH 750 refluxed 3 h. with stirring, cooled, and filtered yielded 3,2;5,6-bis[(1,3-diethyl-2,4-dioxo-1,2,3,4-tetrahydro)-1,4-pyrimidino] pyrazine 320 parts (II), m. 235.5-36° (75% AcOH). II 10, EtOH 200 parts, and N NaOH 300 volume parts. refluxed 2.5 h., cooled, and filtered gave 3,5-bis(ethylamino)pyrazine-2,6-bis(N-ethylcarboxamide) 7.5 parts, m.  $133-4^{\circ}$  (EtOH). In the same manner as II were prepared the following IV (R1, R2, R3, R4 and m.p. given): Pr, Pr, Pr, Pr, 150-1°; Bu, Bu, Bu, Bu (V),  $115-16^{\circ}$ ; Me, Me, Me, Me (VI),  $390^{\circ}$ . Saponification of IV gave the corresponding VII (R1, R2, R3, R4, and m.p. given): Pr, Pr, Pr, Pr, 96-7°; Bu, Bu, Bu, Bu, 89-91°; Me, Me, Me, Me (VIIa), 232-3°. I 42 and 1,3-dipropyl-4-aminouracil 42 in AcOH 150 refluxed 3 h. with stirring, cooled, diluted with H2O, and filtered gave IV (R1 = R2 = Et, R3 = R4= Pr) 70 parts, m.  $150-1^{\circ}$  (EtOH); a portion 10 saponified in the usual manner gave VII (R1 = R2 = Et, R3 = R4 = Pr) 7.2 parts, m.  $91-2^{\circ}$ . In the same manner were prepared IV (R1 = R2 = Me, R3 = R4 = Pr), m.  $169-9.5^{\circ}$ , and IV(R1 = R2 = Me, R3 = R4 = Et)(VIII), m.  $253-4^{\circ}$ , and saponified to VII (R1 = R2 = Me, R3= R4 = Pr), m.  $136-7^{\circ}$  and VII (R1 = R2 = Me, R3 = R4 = Pr), m.  $169-70^{\circ}$ , resp. 1,3-Dimethyl-4-aminouracil (IX) 31 and 5-NO derivative 40 of IX in AcOH 200 refluxed 3 h. gave VI 51 parts, m. 390° (75% EtOH). VI 51 and a solution 152 of KOH 200 in EtOH 2400 refluxed 6 h. yielded VIIa.0.5H2O 117 parts, m. 214° (decomposition). VIIa.0.5H2O 20 and SOC12 150 kept 45 min. at room temperature and evaporated, the residue added slowly with cooling

PhNH2 10 and dry C5H5N 400 parts, stirred overnight, steam distilled to remove the C5H5N, and filtered yielded X (R1 = R2 = R3 = Me, R4 = NHPh), light yellow crystals, m. 198-8.5° (EtOH). Similarly were prepared the following X with R1 = R2 = R3 = Me) (R4, m.p., and color of fluorescence given): NH2, 290-2°, violet blue; NHCH2CH2OH, 210-10.5°, violet-blue; NHPr, 218-19°, violet-blue; NHEt, 197-8.5°, violet-blue; NHCH2Ph, 218.5-20°, blue-violet; NHCH2CH2Ph, 76-8°, blue-violet; m-NHC6H4-OMe, 126.5-27°, blue; NHBu, 194-6°, violet-blue; p-NHC6H4OPh, 252-4°, blue; NHCH2CH: CH2, 194-5.5°, violet-blue; NHC8H17, 121-21.5°, violet-blue; PhNH, 237-8°, blue-violet; NMe2, 128-9°, violet; NHCHEtMe, 188-90°, violet-blue; 2-pyridylamino, 223-4°, blue-violet; NHCMe3, 204-5°, violet-blue; p-NHC6H4Me, 211-12.5°, blue-violet; o-NHC6H4Me, 194-5°, blue-violet; m-NHC6H4Me, 172-3°, blue-violet; p-ClC6H4NH, 261-2.5°, blue-violet; m-ClC6H4NH, 185-7°, blue-violet; 3,4-Cl2C6H3NH, 216-17°, violet-blue; m-HO2CC6H4NH, 268-70°; m-HO3SC6H4NH, -, violet-blue; p-HO3SC6H4NH, -, violet-blue; m-(p-MeC6NH4SO2NH)C6H4NH, 226-7° violet-blue; m-H2NO2SC6H4NH, 234-6°, violet-blue; morpholino, 155-6°, violet-blue; NHCHMe2, 175-7°, violet-blue; NH(CH2)30H, 147-9°, violet blue; 3-pyridylamino, 209-11°, blue-violet; 3,4-dimethyl-1-phenylpyrazolylamino, 267-9°, blue-violet; 2-thiazolylamino, 262-3°, blue-violet; 1-phenyl-3-pyrazolylamino,

236-8°, blue-violet; 6-quinolylamino, 232-4°, blue-violet; NHCONHPh, 233-4°, blue; NHCONHCH2Ph, 190-1°, violet-blue; NHCONHMe, 215-17°, violet-blue. Similarly were prepared the following XII (R1, R2, R3, and m.p. given): PhCH2, PhCH2, PhCH2, 161-2°; Et, Et (XIII), 174-5°. XIII was converted in the usual manner to the anilide, m. 146.5-7.5°, and to the N-(2-pyridyl) amide, m. 108-9°. VIII 57, KOH 45, and EtOH 500 refluxed 6 h. and evaporated, and the residue acidified with dilute HCl gave

XII

(R1 = R2 = Et, R3 = Me) (XIV) 43 parts, m  $160-2^{\circ}$ . XIV 20 treated 45 min. with SOC12 100 and evaporated, and the residue stirred overnight with concentrated NH4OH 300 and EtOH 100 and filtered gave amide of XIV 16 parts, m.  $223-4^{\circ}$  (EtOH). Similarly were prepared the N-Et, N-Pr, and N-PhCH2 amides, m.  $162-4^{\circ}$ ,  $84-6^{\circ}$ , and  $87-9^{\circ}$ , resp., of XIV. VI 10 and PhCH2NH2 300 refluxed 24 h., cooled, diluted with H2O, and filtered yielded  $3,2-[(1,3-\text{dimethyl}-2,4-\text{diox}-1,2,3,4-\text{tetrahydro})-1,4-pyrimidino]-5-methylamino-6 - (Ar. benzylcarboxamido)pyrazine 9 parts, m. <math>204-5^{\circ}$  (EtOH). 1,3-Dibutyl-4-aminouracil (XV) 48 and 5-NO derivative 54 of XV in 2N H2SO4 300 refluxed 3 h. with stirring, cooled, and filtered, and the residue in EtOH 1200 refluxed 2 h. with N NaHCO3 1800 and filtered gave V 66 parts, needles, m.  $115-16^{\circ}$  (EtOH).

RN 94804-12-3 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-ethyl-3,5-bis(ethylamino)-N6-2-pyridinyl-(CA INDEX NAME)

=> fil stnquide COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 682.88 116.04 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -16.40-16.40

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 20, 2009 (20090220/UP).

=> => FIL STNGUIDE COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 1.82 684.70

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION
0.00 -16.40

CA SUBSCRIBER PRICE

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 20, 2009 (20090220/UP).

=> fil req

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 1.26 685.96 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -16.40

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STRUCTURE FILE UPDATES: 24 FEB 2009 HIGHEST RN 1111415-98-5 DICTIONARY FILE UPDATES: 24 FEB 2009 HIGHEST RN 1111415-98-5

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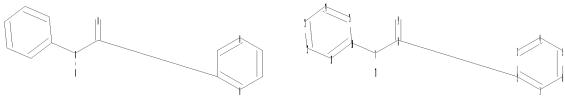
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http://www.cas.org/support/stngen/stndoc/properties.html

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14 15

chain nodes :
7 8 9 17
ring nodes :
1 2 3 4 5 6 10 11 12 13
chain bonds :
2-8 7-8 7-10 7-17 8-9

ring bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 10-11 \quad 10-15 \quad 11-12 \quad 12-13 \quad 13-14 \quad 14-15$ 

exact/norm bonds: 7-8 7-10 8-9 exact bonds:

2-8 7-17

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15

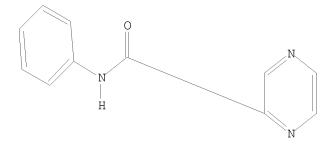
isolated ring systems : containing 1 : 10 :

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLASS

### L14 STRUCTURE UPLOADED

=> d 114 L14 HAS NO ANSWERS L14 STR



Structure attributes must be viewed using STN Express query preparation.

50 ANSWERS

3109 ANSWERS

=> s 114 sss sam

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SAMPLE SCREEN SEARCH COMPLETED - 598 TO ITERATE

100.0% PROCESSED 598 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 10493 TO 13427 PROJECTED ANSWERS: 2530 TO 4070

L15 50 SEA SSS SAM L14

=> s 114 sss full

FULL SEARCH INITIATED 16:15:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 12386 TO ITERATE

100.0% PROCESSED 12386 ITERATIONS

SEARCH TIME: 00.00.01

=> d scan

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

ININDEX NAME NOT YET ASSIGNED

C13 H9 C12 N3 O3 MF

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):20

3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-[4-(4-ethoxyphenoxy)phenyl]-

MF C19 H18 N4 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

REGISTRY COPYRIGHT 2009 ACS on STN L16 3109 ANSWERS

ΙN 2-Pyrazine carboxamide, N-[3-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-methyl-4H-1thiazin-4-yl]-4-fluorophenyl]-5-(3,5-dimethyl-4-isoxazolyl)-

MF C21 H21 F N6 O2 S

Absolute stereochemistry.

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[3-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl]phenyl]-5-chloro-

MF C16 H16 C1 N5 O S

Absolute stereochemistry.

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[3-[[(2-methoxyphenyl)amino]sulfonyl]-4-methylphenyl]-5-methyl-

MF C20 H20 N4 O4 S

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)-5benzoylphenyl]-

MF C23 H24 N6 O2

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(1-methylethyl)phenyl]-

MF C14 H16 N4 O

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[5-(acetylamino)-2-fluorophenyl]-

MF C13 H11 F N4 O2

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[5-[[4-(4-cyanophenyl)-1-piperidinyl]carbonyl]-2-methylphenyl]-5-methyl-

MF C26 H25 N5 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Pyrazinecarboxamide, N-[4-[(2,4-dimethylphenyl)thio]phenyl]MF C19 H17 N3 O S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Pyrazinecarboxamide, N-[4-[(6-phenyl-4-pyrimidinyl)amino]phenyl]MF C21 H16 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Pyrazinecarboxamide, N-[2-(1,3-dimethylbutyl)phenyl]-3-(trifluoromethyl)MF C18 H20 F3 N3 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Pyrazinecarboxamide, 3-(2-benzothiazoly1)-N-(3,5-dimethylphenyl)MF C20 H16 N4 O S

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-chloro-N-[2-(2,2-difluoro-1,3-benzodioxol-5-vl)phenyll-

yl)phenyl]-MF C18 H10 C1 F2 N3 O3

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-chloro-N-[3'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]-

MF C18 H11 C1 F3 N3 O

REGISTRY COPYRIGHT 2009 ACS on STN L16 3109 ANSWERS

2-Pyrazinecarboxamide, N-(2,4-difluorophenyl)-3-[[3-fluoro-4-(1H-INpyrrolo[2,3-b]pyridin-4-yloxy)phenyl]amino]-

MFC24 H15 F3 N6 O2

CI COM

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-[(4-chlorobenzoyl) amino]-N-[4-[cyano[2-[[(1,1-cyano)]]]])IN dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-

MFC27 H31 C1 N6 O3 Si

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

N 2-Pyrazinecarboxamide, N-[4-[[(3,4-dihydro-2H-pyrrol-5-

yl)amino]sulfonyl]phenyl]-

MF C15 H15 N5 O3 S

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-methyl-N-[3-(2-methylpropyl)-4-[1,1,2-trifluoro-2-methylpropyl)]

(trifluoromethoxy)ethoxy]phenyl]-

MF C19 H19 F6 N3 O3

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxylic acid, 3-[[[3-(methylthio)phenyl]amino]carbonyl]-

MF C13 H11 N3 O3 S

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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(FILE 'HOME' ENTERED AT 15:35:13 ON 25 FEB 2009)

FILE 'REGISTRY' ENTERED AT 15:35:28 ON 25 FEB 2009
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L4 STRUCTURE UPLOADED

L5 50 S L4 SSS SAM L6 3230 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:40:44 ON 25 FEB 2009 L7 187 S L6 AND (PRY<2005)

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FILE 'REGISTRY' ENTERED AT 15:42:35 ON 25 FEB 2009

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L10 11 S L9 SSS SAM

L11 178 S L9 SSS FULL

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L12 50 S L11

L13 20 S L12 AND (PRY<2005)

FILE 'STNGUIDE' ENTERED AT 15:46:34 ON 25 FEB 2009

FILE 'STNGUIDE' ENTERED AT 16:02:11 ON 25 FEB 2009

FILE 'REGISTRY' ENTERED AT 16:12:46 ON 25 FEB 2009

L14 STRUCTURE UPLOADED

L15 50 S L14 SSS SAM L16 3109 S L14 SSS FULL

=> fil cap

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 187.80 873.76

SINCE FILE TOTAL ENTRY SESSION

0.00 -16.40

CA SUBSCRIBER PRICE

FILE 'CAPLUS' ENTERED AT 16:15:33 ON 25 FEB 2009
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FILE COVERS 1907 - 25 Feb 2009 VOL 150 ISS 9 FILE LAST UPDATED: 24 Feb 2009 (20090224/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 116 and (pry<2005) 345 L16

4600131 PRY<2005

L17 173 L16 AND (PRY<2005)

=> d 1-20,160-173 ibib abs hitstr

L17 ANSWER 1 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1042502 CAPLUS

DOCUMENT NUMBER: 149:307845

TITLE: Preparation of imidazolidin-2-imines and their analogs

as aspartyl protease inhibitors for treating various

diseases

INVENTOR(S): Zhu, Zhaoning; McKittrick, Brian; Sun, Zhong-Yue; Ye, Yuanzan C.; Voigt, Johannes H.; Strickland, Corey;

Smith, Elizabeth M.; Stamford, Andrew; Greenlee, William J.; Mazzola, Robert D., Jr.; Caldwell, John; Cumming, Jared N.; Wang, Lingyan; Wu, Yusheng; Iserloh, Ulrich; Liu, Xiaoxiang; Huang, Ying; Li, Guoqing; Pan, Jianping; Misiaszek, Jeffrey A.; Guo, Tao; Le, Thuy X. H.; Saionz, Kurt W.; Babu, Suresh D.; Hunter, Rachael C.; Morris, Michelle L.; Gu, Huizhong;

Qian, Gang; Tadesse, Dawit; Lai, Gaifa; Duo, Jingqi; Qu, Chuanxing; Shao, Yuefei

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE: PCT Int. Appl., 702 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4 PATENT INFORMATION:

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$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NBoc} \\ \\ \text{Me} \\ \\ \text{H}_2 \text{N} \\ \end{array}$$

AB Disclosed are compds. I [W = a bond, C(S), S(O), etc.; X = 0, NR5 or CR6R7; U = a bond, S(O), SO2, C(O), etc.; R1, R2, R5 = H, alkyl, cycloalkyl, etc.; R3, R4, R6, R7 = H, alkyl, cycloalkyl, etc.; with provisos] or a stereoisomer, tautomer, or pharmaceutically acceptable salt or solvate thereof; and the pharmaceutical compns. comprising the compds. I. Over 1000 compds. I were prepared E.g., synthesis of imidazolidin-2-imine II, starting from III, was described. Compds. I were tested in various assays (data given for selected compds. I). Also disclosed is the method of inhibiting aspartyl protease, and in

particular, the methods of treating cardiovascular diseases, cognitive and neurodegenerative diseases, and the methods of inhibiting Human Immunodeficiency Virus, plasmepsin, cathepsin D, and protozoal enzymes. Also disclosed are methods of treating cognitive or neurodegenerative diseases using the compds. I in combination with a cholinesterase inhibitor or a muscarinic M1 agonist or M2 antagonist. This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.

IT 887911-28-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic aspartyl protease inhibitors for treating various diseases)

RN 887911-28-6 CAPLUS

CN 2-Pyrazinecarboxamide, N-[3-(2-amino-4,5-dihydro-1-methyl-5-oxo-4-phenyl-1H-imidazol-4-yl)phenyl]- (CA INDEX NAME)

L17 ANSWER 2 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1011066 CAPLUS

DOCUMENT NUMBER: 149:307842

TITLE: Preparation of imidazolidin-2-imines and their analogs

as aspartyl protease inhibitors for treating various

diseases

INVENTOR(S): Zhu, Zhaoning; McKittrick, Brian; Sun, Zhong-Yue; Ye,

Yuanzan C.; Voigt, Johannes H.; Strickland, Corey O.; Smith, Elizabeth M.; Stamford, Andrew; Greenlee, William J.; Mazzola, Robert D.; Caldwell, John P.;

Cumming, Jared N.; Wang, Lingyan; Wu, Yusheng;

Iserloh, Ulrich; Liu, Xiaoxiang; Guo, Tao; Le, Thuy X. E.; Saionz, Kurt W.; Babu, Suresh D.; Hunter, Rachael C.; Morris, Michelle L.; Gu, Huizhong; Qian, Gang; Tadesse, Dawit; Huang, Ying; Li, Guoqing; Pan, Jianping; Misiaszek, Jeffrey A.; Lai, Gaifa; Duo,

Jingqi; Qu, Chuanxing; Shao, Yuefei

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia Drug

Discovery, Inc.

SOURCE: U.S. Pat. Appl. Publ., 1209pp., Cont.-in-part of U.S.

Ser. No. 149,027.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

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US 20080200445	A1	20080821	US 2007-710582	20070223 <
US 20070072852	A1	20070329	US 2004-10772	20041213 <
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PRIORITY APPLN. INFO.:
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$$\begin{array}{c} \text{Me} \\ \mid \\ \text{N} \\ \text{NBoc} \\ \\ \text{H}_2 \text{N} \\ \hline \end{array}$$

Disclosed are compds. I [W = a bond, C(S), S(O), etc.; X = O, NR5 or AΒ CR6R7; U = a bond, S(0), SO2, C(0), etc.; R1, R2, R5 = H, alkyl,cycloalkyl, etc.; R3, R4, R6, R7 = H, alkyl, cycloalkyl, etc.; with provisos] or a stereoisomer, tautomer, or pharmaceutically acceptable salt or solvate thereof; and the pharmaceutical compns. comprising the compds. I. Over 1000 compds. I were prepared E.g., synthesis of imidazolidin-2-imine II, starting from III, was described. Compds. I were tested in various assays (data given for selected compds. I). Also disclosed is the method of inhibiting aspartyl protease, and in particular, the methods of treating cardiovascular diseases, cognitive and neurodegenerative diseases, and the methods of inhibiting of Human Immunodeficiency Virus, plasmepsin, cathepsin D and protozoal enzymes. Also disclosed are methods of treating cognitive or neurodegenerative diseases using the compds. I in combination with a cholinesterase inhibitor or a muscarinic M1 agonist or M2 antagonist.

IT 887911-28-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic aspartyl protease inhibitors for treating various diseases)

RN 887911-28-6 CAPLUS

CN 2-Pyrazinecarboxamide, N-[3-(2-amino-4,5-dihydro-1-methyl-5-oxo-4-phenyl-1H-imidazol-4-yl)phenyl]- (CA INDEX NAME)

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ACCESSION NUMBER: 2006:982164 CAPLUS

DOCUMENT NUMBER: 145:356811

Preparation of fused heterocyclic kinase inhibitors TITLE: INVENTOR(S): Borzilleri, Robert M.; Chen, Zhong; Huynh, Tram N.;

Vaccaro, Wayne; Chen, Xiao-Tao; Kim, Kyoung S.; Cai,

Zhen-Wei

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: U.S. Pat. Appl. Publ., 141 pp., Cont.-in-part of U.S.

Ser. No. 167,043.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

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IN	2006DN07	597		Α		2007	0803		IN 2006-DN7597						20061215 <			
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						1 4 5			WO 2005-US23198 W						20050628			
OPITED OF				TATA TO:			$\gamma = C \cap A$	a 1										

OTHER SOURCE(S): MARPAT 145:356811

GΙ

$$\begin{bmatrix} \begin{bmatrix} R2 \end{bmatrix}_n & R^3 \\ \downarrow & & & & & & \\ R^2 \end{bmatrix}_n & R^3 \\ \downarrow & & & & & & \\ R^2 \end{bmatrix}_n & R^4$$

$$\begin{bmatrix} R^2 \end{bmatrix}_n & R^4$$

$$\begin{bmatrix} R^3 \end{bmatrix}_n & R^4$$

The title compds. I and II [R1 = H, alkyl, cycloalkyl, etc.; R2 = H, halo, AB CN, etc.; B = O, NR8, S, SO, SO2, CR9C10; V = NR11 or (CR47R48)p; W or X = CR47R48C or N; Y = O, S, NR12; Z = CR13R14, (CR13R14)mNR15; m = 0-2; n = 0-4; p = 0-4, provided that if p = 0, R1 is not Ph; A = substitutedpyrrolo[2,1-f][1,2,4]triazin-4-yl, pyrrolo[1,2-b]pyridazin-4-yl,pyrrolo[2,3-b]pyridin-4-yl, etc.; R3, R8, R11, R15 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl, heterocycloalkyl; R9, R10 = H, halo, alkyl, etc.; R12 = H, alkyl, CN, etc.; R13-R15, R47, R48 = H, halo, alkyl, etc.; and their pharmaceutically acceptable salts], useful as protein kinase inhibitors for treating cancer and other protein kinase mediated diseases, were prepared E.g., a multi-step synthesis of III, starting from Et 5-methyl-4-oxo-3,4-dihydropyrrolo[2,1-f][1,2,4]triazine-6carboxylate, was given. Compds. I and II inhibit the Met kinase with IC50 values between 0.01 to 100  $\mu M$ . Pharmaceutical compns. comprising the compound I or II alone or in combination with other antitumor agent are disclosed.

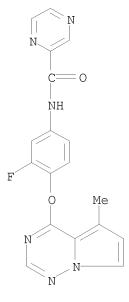
IT 888717-17-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyridines and pyrrolotriazines as kinase inhibitors for treating cancer)

RN 888717-17-7 CAPLUS

CN 2-Pyrazinecarboxamide, N-[3-fluoro-4-[(5-methylpyrrolo[2,1-f][1,2,4]triazin-4-yl)oxy]phenyl]- (CA INDEX NAME)



L17 ANSWER 4 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:710810 CAPLUS

DOCUMENT NUMBER: 145:159773

TITLE: Benzimidazole derivative transcription

factor-modulating compounds for use as antiinfective

agents

INVENTOR(S): Alekshun, Michael N.; Amoo, Victor; Kim, Oak K.;

Verma, Atul K.

PATENT ASSIGNEE(S): Paratek Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 405 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ΓΕΝΤ	NO.			KIN	D	DATE			APPL	_	ION I			DATE					
WO 2006076009 WO 2006076009							20060720 20071227							20050425 <						
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CA US	2005 2562 2006 1742 R:	763 0160 637 AT,	799 BE,	BG,	A1 A1 A2 CH,	CY,		0720 0720 0117 DE,	DK,	CA 2 US 2 EP 2 EE,	005- 005- 005- ES,	2562 1150 8566 FI,	763 24 51 FR,	GB,	2 2 2 GR,	0050 0050 0050 HU,	•			

HR, LV, MK, YU

JP 2008504233 20080214 JP 2007-509742 20050425 <--Т PRIORITY APPLN. INFO.: US 2004-565047P Р 20040423 <--US 2004-569032P Р 20040507 <--US 2004-623251P P 20041028 <--WO 2005-US14345 W 20050425

OTHER SOURCE(S): MARPAT 145:159773

AB The invention provides substituted benzimidazole compds. useful as antiinfectives that decrease resistance, virulence, or growth of microbes. Also provided are methods for making and using the substituted benzimidazole compds., as well as pharmaceutical prepns. for e.g. reducing antibiotic resistance and inhibiting biofilms.

IT 900142-29-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(benzimidazole derivative transcription factor-modulating compds. for use as antiinfective agents)

RN 900142-29-2 CAPLUS

CN 2-Pyrazinecarboxamide, N-[4-(1-hydroxy-6-nitro-1H-benzimidazol-2-yl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ NH-C \\ N \end{array}$$

L17 ANSWER 5 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:656503 CAPLUS

DOCUMENT NUMBER: 145:124568

TITLE: Preparation of benzimidazole derivatives for treatment

of prostatic hypertrophy

INVENTOR(S): Haruno, Akihiro; Miyoshi, Kazuhisa; Oda, Nobuyuki;

Hagiwara, Yuichi; Yamashita, Tomohiro; Konno, Yasuo;

Kazuno, Hideki

PATENT ASSIGNEE(S): Taiho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT	KIN	D	DATE			APPL	ICAT	ION :	DATE							
WO 2006070806			A1	_	20060706			WO 2	005-	 JP23		20051227 <				
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	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,
	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
	MZ,	NA,	NG,	NI,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
	SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
	VN,	YU,	ZA,	ZM,	ZW											
RW	: AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
	IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

JP 2004-381951 JP 2005-69693 A 20041228 <--A 20050311

OTHER SOURCE(S):

MARPAT 145:124568

GΙ

$$\mathbb{R}^{2} \xrightarrow{\mathbb{N}} \mathbb{N}$$

$$\mathbb{N}$$

AΒ 5-[(Pyridin-5-ylcarbonyl)amino]-1H-benzimidazole compds. represented by the general formula [I; wherein R1 and R2 each represents H, (un) substituted C1-6 alkyl, or (un) substituted C3-7 cycloalkyl, provided that R1 and R2 may form, in cooperation with the adjacent nitrogen atom, a 4- to 8-membered (un)substituted heterocycle optionally having N or O besides that nitrogen atom in the ring structure; and R3 represents a 5or 6-membered monocyclic unsatd. heterocyclic group having, in the ring structure, one to three heteroatoms selected among N, O, and S, benzofuryl, dihydrobenzofuryl, methylenedioxyphenyl (these groups are (un)substituted)] or pharmaceutically acceptable salts thereof are prepared These compds. or salts thereof are useful in the prevention or treatment of diseases attributable to abnormal proliferation of prostatic interstitial cells, in particular, prostatic hypertrophy (benign prostatic hyperplasia). Thus, N-(3,4-diaminophenyl)-6-morpholinonicotinamide was cyclocondensed with 2-dimethylaminomethylpyridine-5-carboxaldehyde to give 2-[(2-dimethylaminomethyl)pyridin-5-yl]-5-[[2-(morpholino)pyridin-5yl]carbonylamino]-1H-benzimidazole (II). II showed IC50 of 0.025  $\mu$ M against the proliferation of prostatic interstitial cells. Pharmaceutical formulation containing specific compds. I were described.

IT 897399-92-7P, N-[3-Amino-4-[(pyrazin-2-ylcarbonyl)amino]phenyl]-6-(pyrrolidin-1-yl)nicotinamide 897399-93-8P,

N-[4-Amino-3-[(pyrazin-2-ylcarbonyl)amino]phenyl]-6-(pyrrolidin-1-yl)nicotinamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzimidazole derivs. as inhibitors for abnormal proliferation of prostatic interstitial cells in treatment of prostatic hypertrophy)

RN 897399-92-7 CAPLUS

CN 2-Pyrazinecarboxamide, N-[2-amino-4-[[[6-(1-pyrrolidinyl)-3-pyridinyl]carbonyl]amino]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 897399-93-8 CAPLUS

CN

2-Pyrazinecarboxamide, N-[2-amino-5-[[[6-(1-pyrrolidinyl)-3-pyridinyl]carbonyl]amino]phenyl]- (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:655920 CAPLUS

DOCUMENT NUMBER: 145:124613

TITLE: Preparation of carboxylic acid derivatives having

three cyclic moieties as anticoagulants

INVENTOR(S): Ishihara, Tsukasa; Miura, Masanori; Ohne, Kazuhiko;

Takuwa, Tomofumi; Shirakami, Shohei; Ibuka, Ryotaro; Ohnuki, Kei; Seki, Norio; Shigenaga, Takeshi;

Hirayama, Fukushi; Hirabayashi, Akihito; Kai, Yuichiro; Kobayashi, Junichi; Hirasawa, Hideaki;

Kondou, Atsushi; Yamada, Ken Astellas Pharma Inc., Japan

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan SOURCE: PCT Int. Appl., 198 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT				KIN	D	DATE			APPL	ICAT	ION 1	NO.		DATE					
WO	WO 2006070878				A1	_	2006	0706	1	——— WO 2	005-	JP24	 096	20051228 <				<		
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		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,			
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	${ m ML}$ ,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,			
		GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,			
		KG,	KΖ,	MD,	RU,	ТJ,	TM													
RITY	APP	LN.	INFO	.:						JP 2	004-	3801	31		A 2	0041	228 <	<		

PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
MARPAT 145:124613
GI

 $(R^4)_p \xrightarrow{C} X - Y \xrightarrow{O} R^5$ 

 $(R^2)$  A J B  $(R^3)$  n

The title compds. [I; ring A = aryl or heteroaryl ring; ring B = benzene, naphthalene, or monocyclic or bicyclic heteroaryl ring; ring C = cycloalkyl, aryl, or heterocyclic ring; m, n, p = an integer of 0-3; R1 = NH2, CH2NH2, CONH2, C(:NH)NH2, C(:NOH)NH2, C(:NH)NH-CO2-(optionally substituted lower alkyl), 5-oxo-2,5-dihydro-1,2,4-oxadiazol-3-yl; R2, R3 = lower alkyl, halo-lower alkyl, halo, oxo, cyano, NO2, halo-lower alkoxy, NR0R00, SR0, S(0)R0, SO2R0, SO2NR0R00, NR0SO2R00, COR0, CO2R0, CONR0R00, NR0COR00, NR0CO-(halo-lower alkyl), cycloalkyl, aryl, heterocyclyl, etc.;

R0, R00 = H, lower alkyl; R4 = lower alkyl, lower alkenyl, cycloalkyl, aryl, heterocyclyl, halo, oxo, cyano, NO2, OR6, NR6R6a, SR6, SOR6, SO2R6, SO2NR6R6a, NR6SO2R6a, NR6SO2NR6R6a, NR6SO2NR6aCO2R6a, COR6, CO2R6, CONR6R6a, cycloalkyl, aryl, heterocyclyl, etc.; R6, R6a = H, each (un) substituted lower alkyl, lower alkenyl, cycloalkyl, aryl, or heterocyclyl; R5 = ORO, NROROO, N(RO)-lower alkylene-OROO; J = NROCO, CONRO, NROCONRO, NRO-lower alkylene, lower alkylene-NROCO; L = NRO-lower alkylene, NRO-lower alkenylene, lower alkylene, lower alkenylene; X = a single bond, (un)substituted NH, S, CO, SO, SO2, lower alkylene-O, lower alkylene-(un)substituted NH; Y = a single bond, each (un)substituted lower alkylene or lower alkenylene] or pharmaceutically acceptable salt thereof are prepared These compds. such as phenoxyacetic acid and phenylpropanoic acid derivs. or salts thereof have an anticoagulant effect based on the inhibition of the activated blood coagulation factor VII and, therefore, are useful as blood coagulation inhibitors or preventives/remedies for diseases caused by thrombus or embolus. They are also selective inhibitors of activated blood coagulation factor VII over activated blood coagulation factor X and thrombin. The above diseases include ischemic heart diseases, restenosis after angioplasty, cerebral thrombosis, transient cerebral ischemia, peripheral arterial obstruction, Charcot's syndrome (intermittent claudication), deep venous thrombosis, pulmonary embolism, disseminated intravascular coagulation (DIC), thrombogenesis after heart valve replacement surgery, coaquiation or inflammation of circulating blood during external blood circulation, arteriosclerosis, and cancer. For example, [(3-([(2-(((2-amino-1H-benzimidazol-5yl)amino]carbonyl)-4-chlorophenyl)amino]methyl)biphenyl-2-yl)oxy]acetic acid in vitro inhibited activated blood coagulation factor VII over activated blood coagulation factor X and thrombin with IC50 of 0.36,  $\geq 100$ , and  $\geq 100$   $\mu M$ , resp.

IT 897639-50-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carboxylic acid derivs. having three cyclic moieties as activated blood coagulation factor VII inhibitors and anticoagulants) 897639-50-8 CAPLUS

Acetic acid, 2-[2-[[[3-[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]-2-pyrazinyl]amino]methyl]-6-ethoxyphenoxy]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

RN

CN

CRN 897639-49-5 CMF C23 H24 N6 O5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 7 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:634786 CAPLUS

DOCUMENT NUMBER: 145:103692 TITLE: Preparation of

4H-spiro[1,3]benzodioxine-2,4'-piperidine derivatives

and related compounds

Barker, Emma; Jenmalm Jensen, Annika; Nordling, Erik; INVENTOR(S):

Proud, Andrew; Slater, Martin; Weber, Mikael

PATENT ASSIGNEE(S): Biovitrum AB, Swed. SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KIND DATE				APPL	ICAT	DATE								
	0 2006067224									WO 2	005-	20051222 <							
WO	0 2006067224 W: AE, AG, AL,							TO 70	DD	DC	DD	DLI	DM	DE	O.7	011			
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		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
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US	2006	0217	375		A1		2006	0928		US 2	005-	3181	26		2	0051	222 <		
PRIORIT	RIORITY APPLN. INFO.:									SE 2	004-	3160			A 2	0041	223 <		
										US 2	005-	6538	03P		P 20050217				
OTHER S	OTHER SOURCE(S):						US 2005-653803P P 20050217 MARPAT 145:103692												

GΙ

AB The invention relates to compds. I [m, n are 0 or 1; A, Y are independently CH2, O, NH or alkylimino; R1 is Ph, naphthyl or aza analogs (with provisos)] for use in the prophylaxis or treatment of orexin-1 or orexin-2 receptor-related disorders such as obesity and related disorders such as diabetes type II, dyslipidemia and the metabolic syndrome, cardiovascular diseases such as atherosclerotic vascular disease, angina pectoris, myocardial infarction and stroke, drug addiction, and sleeping disorders. Thus, I (m, n = 1, A = NH, Y = CH2, R1 = 5-quinolinyl), prepared by condensation of 5-bromo-2-hydroxybenzyl alc. with N-carboethoxy-4-piperidone, followed by deprotection and arylation reaction, showed Ki = 349 nM for inhibition of the orexin-1 receptor.

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of spirobenzodioxinepiperidine derivs. and related compds. for inhibition of orexin receptor)

RN 895525-09-4 CAPLUS

CN 2-Pyrazinecarboxamide, N-(3-spiro[4H-1,3-benzodioxin-2,4'-piperidin]-6-ylphenyl)- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 8 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:631033 CAPLUS

DOCUMENT NUMBER: 145:103956

TITLE: Preparation of peptides as Myd88 homodimerization

inhibitors

INVENTOR(S): Carminati, Paolo; Gallo, Grazia; Fanto', Nicola;

Ruggiero, Vito; Sassano, Marica; Mastroianni, Domenico

PATENT ASSIGNEE(S): Sigma-Tau Industrie Farmaceutiche Riunite S.p.A.,

Italy

SOURCE: PCT Int. Appl., 122 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2005-EP56847
     WO 2006067091
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                                20060629
                                                                   20051216 <--
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
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             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
             VN, YU, ZA, ZM, ZW
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PRIORITY APPLN. INFO.:
                                            EP 2004-425929
                                                                A 20041220 <--
                                                                W 20051216
                                            WO 2005-EP56847
OTHER SOURCE(S):
                        MARPAT 145:103956
     The invention relates to peptidic and peptidomimetic compds.
     AA1-AA2-AA3-AA4-AA5-AA6-AA7 [AA1-AA7 are L- or D-amino acid residues
     (defined), at least one of which is not a natural amino acid (if all are
     natural amino acids, the sequence is reversed); AA1, AA2, AA7 may be
     absent; AA2-AA3-AA4 may be a spacer group; AA5-AA6 may be a \beta-turn
     mimetic; a disulfide bond may exist between AA4 = AA7 = Cys or D-Cys; the
     N-terminal amine group may be acylated and the terminal carboxyl may be in
     the acid or amide form] or their pharmaceutically-acceptable salts, which
     mimic a particular protein portion of MyD88, preventing its
     homodimerization and interfering with its interaction with the TIR domain.
     The compds. are useful as medicaments, particularly for the treatment of
     inflammatory and autoimmune diseases. Thus,
     Ac-D-Thr-Gly-D-Pro-D-Leu-D-Val-D-Asp-D-Arg-NH2 was prepared by the
     solid-phase method and assayed for inhibition of homodimerization of Myd88
     (30% in the NF-kB assay).
ΤТ
     894787-19-0P 894787-23-6P 894787-31-6P
     894787-33-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of peptides as Myd88 homodimerization inhibitors)
RN
     894787-19-0 CAPLUS
CN
     Spiro[pyrrolidine-2,7'(6'H)-[2H]pyrrolo[2,1-b][1,3]thiazine]-3'-
```

carboxamide, 1-[4-chloro-3-[(2-pyrazinylcarbonyl)amino]benzoyl]tetrahydro-

Absolute stereochemistry.

6'-oxo-, (2R,3'S,8'aR)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 894787-23-6 CAPLUS

CN Spiro[pyrrolidine-2,7'(6'H)-[2H]pyrrolo[2,1-b][1,3]thiazine]-3'-carboxamide, tetrahydro-1-[3-[[4-[[(5-methyl-2-pyrazinyl)carbonyl]amino]benzoyl]amino]-1-oxopropyl]-6'-oxo-, (2R,3'S,8'aR)- (CA INDEX NAME)

Absolute stereochemistry.

Me N H N O O O N 
$$H_2N$$
 S  $R$   $R$ 

RN 894787-31-6 CAPLUS

CN Spiro[pyrrolidine-2,7'(6'H)-[2H]pyrrolo[2,1-b][1,3]thiazine]-4'-carboxamide, tetrahydro-1-[3-[[4-[[(5-methyl-2-pyrazinyl)carbonyl]amino]benzoyl]amino]-1-oxopropyl]-6'-oxo-, (2R,4'R,8'aR)- (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} H & M & M \\ H_2N & O & N \\ \hline R & N & R \\ \hline S & H \end{array}$$

RN 894787-33-8 CAPLUS

CN Spiro[pyrrolidine-2,7'(6'H)-[2H]pyrrolo[2,1-b][1,3]thiazine]-4'-carboxamide, 1-[4-chloro-3-[(2-pyrazinylcarbonyl)amino]benzoyl]tetrahydro-6'-oxo-, (2R,4'R,8'aR)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 9 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:558961 CAPLUS

DOCUMENT NUMBER: 145:62922

TITLE: Preparation of pyrazinedicarboxamides and related

compounds for the treatment of thromboembolic diseases

INVENTOR(S): Roehrig, Susanne; Jeske, Mario; Akbaba, Metin;

Rosentreter, Ulrich; Boyer, Stephen; Fischer, Karin; Pohlmann, Jens; Tuch, Arounarith; Perzborn, Elisabeth; Gerdes, Christoph; Schlemmer, Karl-Heinz; Burkhardt, Nils; Allerheiligen, Swen; Nell, Peter; Arndt, Sabine;

Lobell, Mario

PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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KIND DATE
    WO 2006061116 A1 20000
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                                                                DATE
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PRIORITY APPLN. INFO.:
OTHER SOURCE(S): CASREACT 145:62922; MARPAT 145:62922
GΙ
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
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AB
     Title compds. I [A = substituted pyrrolidonyl, imidazolidinonyl,
     2-oxazolidinonyl, etc.; R1, R2 = H, F, CL, etc.; R3 = H, alkyl, OH, etc.;
     Z = Ph, pyridyl, pyrimidinyl, etc.] and their pharmaceutically acceptable
     salts and their formulations were prepared For example,
     1,1'-Carbonyldiimidazole mediated cyclization of aminoalc. II afforded
     pyrazinedicarboxamide III in 19% yield. In blood-coaqulation factor Xa
     inhibition assays, 8-examples of compds. I exhibited IC50 values ranging
     from 0.16-16 nM.
ΤТ
    890822-15-8P 890822-23-8P 890822-31-8P
     890822-39-6P 890822-47-6P 890822-55-6P
     890822-63-6P 890822-71-6P 890822-79-4P
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     890823-35-5P 890823-43-5P 890823-51-5P
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     890824-73-4P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of pyrazinedicarboxamides and related compds. for the treatment
        of thromboembolic diseases)
RN
     890822-15-8 CAPLUS
```

2,3-Pyrazinedicarboxamide, N2-(4-chlorophenyl)-N3-[4-(2-oxo-1-

CN

## pyrrolidinyl)phenyl]- (CA INDEX NAME)

RN 890822-23-8 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-oxo-1-pyrrolidinyl)phenyl]- (CA INDEX NAME)

RN 890822-31-8 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(6-chloro-3-pyridinyl)-N3-[4-(2-oxo-1-pyrrolidinyl)phenyl]- (CA INDEX NAME)

RN 890822-39-6 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-fluorophenyl)-N3-[4-(2-oxo-1-pyrrolidinyl)phenyl]- (CA INDEX NAME)

RN 890822-47-6 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-methylphenyl)-N3-[4-(2-oxo-1-pyrrolidinyl)phenyl]- (CA INDEX NAME)

RN 890822-55-6 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-chlorophenyl)-N3-[4-(2-oxo-3-oxazolidinyl)phenyl]- (CA INDEX NAME)

RN 890822-63-6 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-oxo-3-oxazolidinyl)phenyl]- (CA INDEX NAME)

RN 890822-71-6 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-chlorophenyl)-N3-[4-(2-oxo-1-imidazolidinyl)phenyl]- (CA INDEX NAME)

RN 890822-79-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(3-hydroxy-2-oxo-1-piperidinyl)phenyl]- (CA INDEX NAME)

RN 890822-87-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-[(3S)-3-hydroxy-2-oxo-1-piperidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 890822-95-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-[(3R)-3-hydroxy-2-oxo-1-piperidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

CN 2,3-Pyrazinedicarboxamide, N2-[4-(3-amino-2-oxo-1-piperidinyl)phenyl]-N3-(4-chlorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 890823-11-7 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-chlorophenyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-19-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-27-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-35-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(6-chloro-3-pyridinyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-43-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(2-chlorophenyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-51-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(3,5-dichlorophenyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-59-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-fluorophenyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-67-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-methylphenyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-75-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-(3-oxo-4-morpholiny1)pheny1]-N3-phenyl-(CA INDEX NAME)

RN 890823-83-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-ethynylphenyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-91-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-chlorophenyl)-N3-[4-[2-(2-hydroxyethyl)-3-oxo-4-morpholinyl]phenyl]- (CA INDEX NAME)

RN 890823-99-1 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-chlorophenyl)-N3-[4-(tetrahydro-3-methyl-2-oxo-1(2H)-pyrimidinyl)phenyl]- (CA INDEX NAME)

RN 890824-07-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(tetrahydro-3-methyl-2-oxo-1(2H)-pyrimidinyl)phenyl]- (CA INDEX NAME)

RN 890824-15-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(6-chloro-3-pyridinyl)-N3-[4-(tetrahydro-3-methyl-2-oxo-1(2H)-pyrimidinyl)phenyl]- (CA INDEX NAME)

RN 890824-22-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-fluorophenyl)-N3-[4-(tetrahydro-3-methyl-2-oxo-1(2H)-pyrimidinyl)phenyl]- (CA INDEX NAME)

RN 890824-29-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-methylphenyl)-N3-[4-(tetrahydro-3-methyl-2-oxo-1(2H)-pyrimidinyl)phenyl]- (CA INDEX NAME)

RN 890824-36-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[tetrahydro-3-(2-hydroxyethyl)-2-oxo-1(2H)-pyrimidinyl]phenyl]- (CA INDEX NAME)

RN 890824-43-8 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[tetrahydro-2-oxo-3-[2-(1-pyrrolidinyl)ethyl]-1(2H)-pyrimidinyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 890824-50-7 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-[3-(hydroxymethyl)-2-oxo-1(2H)-pyridinyl]phenyl]- (CA INDEX NAME)

RN 890824-58-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[3-

[(cyclopropylamino)methyl]-2-oxo-1(2H)-pyridinyl]-2-fluorophenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 890824-57-4 CMF C26 H21 C1 F N7 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 890824-65-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-cyanophenyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890824-73-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[2-(2-aminoethoxy)-4-(3-oxo-4-morpholinyl)phenyl]-N3-(5-chloro-2-pyridinyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 890824-72-3 CMF C23 H22 C1 N7 O5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 278610-25-6P 693252-02-7P 890052-00-3P

890052-06-9P 890826-85-4P 890826-92-3P

890826-99-0P 890827-06-2P 1096601-39-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazinedicarboxamides and related compds. for the treatment of thromboembolic diseases)

RN 278610-25-6 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(4-chlorophenyl)amino]carbonyl]- (CA INDEX NAME)

RN 693252-02-7 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(4-cyanophenyl)amino]carbonyl]- (CA INDEX NAME)

RN 890052-00-3 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(4-ethynylphenyl)amino]carbonyl]- (CA INDEX NAME)

RN 890052-06-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

RN 890826-85-4 CAPLUS

CN Carbamic acid, [1-[4-[[[3-[[(4-chlorophenyl)amino]carbonyl]pyrazinyl]carbonyl]amino]phenyl]-2-oxo-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 890826-92-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-chlorophenyl)-N3-[4-[2-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-3-oxo-4-morpholinyl]phenyl]- (CA INDEX NAME)

RN 890826-99-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(3-formyl-2-oxo-1(2H)-pyridinyl)phenyl]- (CA INDEX NAME)

RN 890827-06-2 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]-4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 1096601-39-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:542131 CAPLUS

DOCUMENT NUMBER: 145:46051

TITLE: Preparation of 2-imino-3-phenyloxazolidines and

related compounds for the treatment of thromboembolic

diseases

INVENTOR(S): Roehrig, Susanne; Pohlmann, Jens; Arndt, Sabine;

Jeske, Mario; Akbaba, Metin; Perzborn, Elisabeth; Gerdes, Christoph; Schlemmer, Karl-Heinz; Tuch, Arounarith; Lobell, Mario; Nell, Peter; Burkhardt,

Nils

PATENT ASSIGNEE(S): Bayer Healthcare AG, Germany

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PRIORITY APPLN. INFO.:
                                           WO 2005-EP12465
                                                           W 20051122
                       MARPAT 145:46051
OTHER SOURCE(S):
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GΙ

AB Title compds. I [Y = (CH2)n; n = 1-3; R1 = H, alkyl, CN, etc.; R2, R3 = H, halo, CN, etc.; A = phenylene, 5 or 6-membered heteroaryl ring with provisos; Z = Ph, pyridyl, pyrimidinyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, methanesulfonic acid mediated cyclization of cyanoamine II afforded the methanesulfonic acid salt of claimed phenyloxazolidine III in 81% yield. In blood-coagulation factor Xa inhibition assays, 4-examples of compds. I

exhibited IC50 values ranging 0.3-4.4 nM. ΙT 890051-67-9P 890051-68-0P 890051-71-5P 890051-72-6P 890051-73-7P 890051-74-8P 890051-75-9P 890051-76-0P 890051-77-1P 890051-78-2P 890051-79-3P 890051-80-6P 890051-81-7P 890051-82-8P 890051-95-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 2-imino-3-phenyloxazolidines and related compds. for the treatment of thromboembolic diseases) RN 890051-67-9 CAPLUS CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3oxazolidinyl)phenyl]- (CA INDEX NAME)

RN 890051-68-0 CAPLUS
CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(dihydro-2-imino-2H-1,3-oxazin-3(4H)-yl)phenyl]- (CA INDEX NAME)

RN 890051-71-5 CAPLUS
CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 890051-67-9

CMF C20 H16 C1 N7 O3

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 890051-72-6 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, hydrobromide (1:?) (CA INDEX NAME)

## •x HBr

RN 890051-73-7 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, hydrochloride (1:?) (CA INDEX NAME)

## ●x HCl

RN 890051-74-8 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(dihydro-2-imino-2H-1,3-oxazin-3(4H)-yl)phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 890051-68-0 CMF C21 H18 C1 N7 O3

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 890051-75-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-(2-imino-3-oxazolidinyl)phenyl]-N3-(5-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 890051-76-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-(2-imino-3-oxazolidinyl)phenyl]-N3-(5-methyl-2-pyridinyl)-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 890051-77-1 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-cyano-2-pyridiny1)-N3-[4-(2-imino-3-oxazolidiny1)pheny1]- (CA INDEX NAME)

RN 890051-78-2 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-cyano-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 890051-77-1 CMF C21 H16 N8 O3

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 890051-79-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-cyanophenyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]- (CA INDEX NAME)

RN 890051-80-6 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-cyanophenyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 890051-79-3 CMF C22 H17 N7 O3

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 890051-81-7 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-ethynylphenyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]- (CA INDEX NAME)

RN 890051-82-8 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-ethynylphenyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 890051-81-7 CMF C23 H18 N6 O3

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 890051-95-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[(2Z)-2-(hydroxyimino)-3-oxazolidinyl]phenyl]- (CA INDEX NAME)

Double bond geometry as shown.

IT 693252-02-7P 890052-00-3P 890052-06-9P

890052-07-0P 890052-08-1P 890052-09-2P

890052-10-5P 890052-11-6P 890052-12-7P

890052-13-8P 890052-14-9P 890052-15-0P

890052-16-1P 890052-34-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-imino-3-phenyloxazolidines and related compds. for the treatment of thromboembolic diseases)

RN 693252-02-7 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(4-cyanophenyl)amino]carbonyl]- (CA INDEX NAME)

RN 890052-00-3 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(4-ethynylphenyl)amino]carbonyl]- (CA INDEX NAME)

RN 890052-06-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

RN 890052-07-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} CN & \text{Me} \\ N-CH_2-CH_2-O-Si-Bu-t \\ N-C-NH & \text{Me} \\ \end{array}$$

RN 890052-08-1 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]amino]phenyl]- (CA INDEX NAME)

RN 890052-09-2 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[cyano[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]amino]phenyl]- (CA INDEX NAME)

RN 890052-10-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(5-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 890052-11-6 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(5-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 890052-12-7 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(5-cyano-2-pyridinyl)- (CA INDEX NAME)

RN 890052-13-8 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-cyanophenyl)-N3-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

RN 890052-14-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(4-cyanophenyl)-(CA INDEX NAME)

RN 890052-15-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(4-ethynylphenyl)-(CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{NH-CH}_2\text{-CH}_2\text{-O-Si-Bu-t} \\ \text{NH-CH}_2\text{-CH}_2\text{-O-Si-Bu-t} \\ \text{Me} \\ \text{NH} \\ \text{HC} \end{array}$$

RN 890052-16-1 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(4-ethynylphenyl)-(CA INDEX NAME)

$$\begin{array}{c|c} CN & Me \\ N-CH_2-CH_2-O-Si-Bu-t \\ N & Me \\ \end{array}$$

RN 890052-34-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-cyano-2-pyridiny1)-N3-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 11 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:534761 CAPLUS

DOCUMENT NUMBER: 145:28024

TITLE: Preparation of fused heterocyclic kinase inhibitors INVENTOR(S): Borzilleri, Robert M.; Chen, Zhong; Huynh, Tram N.;

Vaccaro, Wayne; Chen, Xiao-Tao; Kim, Kyoung S.; Cai,

Zhen-Wei

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 141 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

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OTHER SOURCE(S): MARPAT 145:28024

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AB The title compds. I and II [R1 = H, alkyl, cycloalkyl, etc.; R2 = H, halo, CN, etc.; B = O, NR8, S, SO, SO2, CR9C10; V = NR11 or (CR47R48)p; W or X = C or N; Y = O, S, NR12; Z = CR13R14, (CR13R14)mNR15; m = 0-2; n = 0-4; p = 0-4, provided that if p = 0, R1 is not Ph; A = substituted pyrrolo[2,1-f][1,2,4]triazin-4-yl, pyrrolo[1,2-b]pyridazin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, etc.; R3, R8, R11, R15 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl, heterocycloalkyl; R9, R10 = H, halo, alkyl, etc.; R12 = H, alkyl, CN, etc.; R13-R15, R47, R48 = H, halo, alkyl, etc.; and their pharmaceutically acceptable salts], useful as protein kinase inhibitors for treating cancer and other protein kinase mediated diseases, were prepared E.g., a multi-step synthesis of III, starting from Et 5-methyl-4-oxo-3, 4-dihydropyrrolo[2,1-f][1,2,4]triazine-6-carboxylate, was given. Compds. I and II inhibit the Met kinase with IC50 values between 0.01 to 100 μM. Pharmaceutical compns. comprising the

compound I or II alone or in combination with other antitumor agent are  $\operatorname{disclosed}$ .

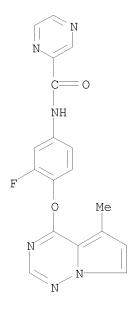
IT 888717-17-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyridines and pyrrolotriazines as kinase inhibitors for treating cancer)

RN 888717-17-7 CAPLUS

CN 2-Pyrazinecarboxamide, N-[3-fluoro-4-[(5-methylpyrrolo[2,1-f][1,2,4]triazin-4-yl)oxy]phenyl]- (CA INDEX NAME)



L17 ANSWER 12 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:534671 CAPLUS

DOCUMENT NUMBER: 145:28023

TITLE: Preparation of pyrrolopyridines and pyrrolotriazines

as kinase inhibitors for treating cancer

INVENTOR(S): Borzilleri, Robert M.; Chen, Zhong; Hunt, John T.;

Huynh, Tram; Poss, Michael A.; Schroeder, Gretchen M.;

Vaccaro, Wayne; Wong, Tai W.; Chen, Xiao-Tao; Kim,

Kyoung S.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 135 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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OTHER SOURCE(S): MARPAT 145:28023

$$\begin{bmatrix} R2 \\ n \end{bmatrix} \begin{bmatrix} X \\ Y \end{bmatrix} \begin{bmatrix} X$$

$$\begin{bmatrix} R^2 \\ n \end{bmatrix} \begin{bmatrix} R^3 \\ N \end{bmatrix} \begin{bmatrix} R^4 \\ N \end{bmatrix}$$

Ι

AB The title compds. I and II [R1 = H, alkyl, cycloalkyl, etc.; R2 = H, halo, CN, etc.; B = 0, NR8, S, S0, S02, CR9C10; V = NR11 or (CR47R48)p; W or X = C or N; Y = O, S, NR12; Z = CR13R14, (CR13R14)mNR15; m = 0-2; n = 0-4; p = 0-4, provided that if p = 0, R1 is not Ph; A = substitutedpyrrolo[2,1-f][1,2,4]triazin-4-yl, pyrrolo[1,2-b]pyridazin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, etc.; R3, R8, R11, R15 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl, heterocycloalkyl; R9, R10 = H, halo, alkyl, etc.; R12 = H, alkyl, CN, etc.; R13-R15, R47, R48 = H, halo, alkyl, etc.; and their pharmaceutically acceptable salts], useful as protein kinase inhibitors for treating cancer and other protein kinase mediated diseases, were prepared E.g., a multi-step synthesis of III, starting from Et 5-methyl-4-oxo-3,4-dihydropyrrolo[2,1-f][1,2,4]triazine-6carboxylate, was given. Compds. I and II inhibit the Met kinase with IC50 values between 0.01 to  $100~\mu\mathrm{M}$ . Pharmaceutical compns. comprising the compound I or II alone or in combination with other antitumor agent are disclosed.

IT 888717-17-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyridines and pyrrolotriazines as kinase inhibitors

III

for treating cancer) 888717-17-7 CAPLUS

CN 2-Pyrazinecarboxamide, N-[3-fluoro-4-[(5-methylpyrrolo[2,1-f][1,2,4]triazin-4-yl)oxy]phenyl]- (CA INDEX NAME)

RN

REFERENCE COUNT: 205 THERE ARE 205 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L17 ANSWER 13 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:513602 CAPLUS

DOCUMENT NUMBER: 145:46271

TITLE: Preparation of glycopeptide antibiotic monomer

derivatives having antibacterial activity against

vancomycin-resistant bacteria

INVENTOR(S): Arimoto, Hirokazu; Lu, Jun; Yamano, Yoshinori;

Yasukata, Tatsuro; Yoshida, Osamu; Iwaki, Tsutomu;

Yoshida, Yutaka; Kato, Issei; Morimoto, Kenji;

Yasoshima, Kayo

PATENT ASSIGNEE(S): National University Corporation Nagoya University,

Japan; Shionogi & Co., Ltd.

SOURCE: PCT Int. Appl., 244 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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                                          JP 2005-212471
                                                            A 20050722
                                          WO 2005-JP21587
                                                            W 20051124
                      MARPAT 145:46271
OTHER SOURCE(S):
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GI

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB Title compds. A-(Sac-NH)-RA [A = a part formed by removing the sugar part from a known glycopeptide antibiotic derivative; (Sac-NH) = an amino sugar part or a sugar chain part containing an amino sugar; RA =  $-X1-Ar1-X2-Y-X3-Ar2; X1, X2, X3 = \text{single bond, } -O-, -S-, \text{ etc.; } Y = -NR2CO-, -CONR2-, Q1, \text{ etc.; } R2 = H, \text{ alkyl; } Ar1, Ar2 = (un) \text{ substituted, } (un) \text{ saturated carbocycle or heterocycle] and their pharmaceutically acceptable salts were prepared For example, reductive amination of 3-benzyloxy-N-(4-formylphenyl)-4-methyl-2-nitrobenzamide, e.g., prepared from 3-hydroxy-4-methyl-2-nitrobenzoic acid in 4 steps, with vancomycin hydrochloride afforded compound I in 62% yield. In antibacterial test against E. faecalis SR7914 (VRE: VanA), MIC values of compound I and vancomycin were 4 and >64 <math display="inline">\mu\text{g/mL}$  (sic), resp.

IT 889680-06-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of glycopeptide antibiotic monomer derivs. having antibacterial activity against vancomycin-resistant bacteria)

RN 889680-06-2 CAPLUS

Absolute stereochemistry.

## PAGE 1-B

PAGE 2-A

Cl

PAGE 3-A

## ●6/5 HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 14 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:493876 CAPLUS

DOCUMENT NUMBER: 145:8167

TITLE: Preparation of imidazolidin-2-imines and their analogs

as aspartyl protease inhibitors for treating various

diseases

INVENTOR(S): Zhu, Zhaoning; McKittrick, Brian A.; Sun, Zhong-Yue;

Ye, Yuanzan C.; Voigt, Johannes H.; Strickland, Corey;

Smith, Elizabeth M.; Stamford, Andrew; Greenlee,

William J.; Mazzola, Robert; Caldwell, John; Cumming, Jared N.; Wang, Lingyan; Wu, Yusheng; Iserloh, Ulrich;

Guo, Tao; Le, Thuy X. H.; Saionz, Kurt W.; Babu, Suresh D.; Hunter, Rachael C.; Morris, Michelle L.;

Gu, Huizhong; Qian, Gang; Tadesse, Dawit

Schering Corporation, USA; Pharmacopeia Drug PATENT ASSIGNEE(S):

Discovery, Inc.

U.S. Pat. Appl. Publ., 568 pp., Cont.-in-part of U.S. Ser. No. 10,772. SOURCE:

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
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20070329 US 2004-10772
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US 2005-149027 A2 20050609
WO 2005-US20446 W 20050609
PRIORITY APPLN. INFO.:
OTHER SOURCE(S): MARPAT 145:8167
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$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NBoc} \\ \text{Me} \\ \text{H}_2 \text{N} \\ \end{array}$$

Disclosed are compds. I [W = a bond, C(S), S(O), etc.; X = O, NR5 orAΒ CR6R7; U = a bond, S(0), SO2, C(0), etc.; R1, R2, R5 = H, alkyl,cycloalkyl, etc.; R3, R4, R6, R7 = H, alkyl, cycloalkyl, etc.] or a stereoisomer, tautomer, or pharmaceutically acceptable salt or solvate thereof; and the pharmaceutical compns. comprising the compds. I. Over 1000 compds. I were prepared E.g., synthesis of imidazolidin-2-imine II, starting from III, was described. Compds. I were tested in various assays (data given for selected compds. I). Also disclosed is the method of inhibiting aspartyl protease, and in particular, the methods of treating cardiovascular diseases, cognitive and neurodegenerative diseases, and the methods of inhibiting of Human Immunodeficiency Virus, plasmepsin, cathepsin D and protozoal enzymes. Also disclosed are methods of treating cognitive or neurodegenerative diseases using the compds. I in combination with a cholinesterase inhibitor or a muscarinic M1 agonist or M2 antagonist.

IT 887911-28-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic aspartyl protease inhibitors for treating various diseases)

RN 887911-28-6 CAPLUS

CN 2-Pyrazinecarboxamide, N-[3-(2-amino-4,5-dihydro-1-methyl-5-oxo-4-phenyl-1H-imidazol-4-yl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} H_2N & Ph & O \\ N & NH-C & N \\ Me & O \end{array}$$

2006:437125 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 144:468165

TITLE: Preparation of benzimidazole derivatives containing

aryloxy moiety as glucokinase activators

Hashimoto, Noriaki; Takahashi, Keiji; Nakama, Chisato; INVENTOR(S):

Ogino, Yoshio; Sakai, Fumiko; Nishimura, Teruyuki;

Eiki, Junichi

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd, Japan

SOURCE: PCT Int. Appl., 162 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GT

PA'	PATENT NO.								APPLICATION NO.							ATE		
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EP	1810														_	–		
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OTHER SO	ER SOURCE(S):					PAT	144:	4681							_		_	

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Title compds. I [R1, R2 = H, halo, alkyl, etc.; R3 = H, halo, alkyl, etc.; R4 = H, alkyl, alkoxy, etc.; Q = carbon, nitrogen, , sulfur atom with the proviso that the sulfur atom may be mono- or di-substituted with oxo; R5, R6 = H, alkyl, halo, etc.; X1-X4 = carbon, nitrogen; Z = oxygen, sulfur, nitrogen; Ar = optionally substituted aryl with alkyl, alkoxy, halo, etc., optionally substituted heteroaryl with alkyl, alkoxy, halo, etc.; ring A = aromatic heterocycle containing nitrogen represented by Q1; X = carbon; m =1-6;

n = 0-3; p = 0-2 with the proviso that at least two of X1 to X4 are each

carbon; q = 0, 1] and their pharmaceutically acceptable salts were prepared For example, DEAD mediated reaction of a mixture of compound II [R = OH; R' = CH2OCH2CH2SiMe3] and compound III [R = OH; R' = CH2OCH2CH2SiMe3], e.g., prepared from 4-bromo-3-fluoroaniline in 9 steps, with succinimide followed by treatment with trifluoroacetic acid and silica-gel purification afforded comound II [R = 2,5-dioxopyrrolidin-1-yl; R' = H]. In glucokinase activation assays, the EC50 value of compound II [R = 2,5-dioxopyrrolidin-1-yl; R' = H] was 0.12  $\mu M$ . Compds. I are claimed useful for the treatment of diabetes and obesity.

IT 886977-03-3P 886978-99-0P 886979-01-7P

886979-96-0P 886979-97-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzimidazole derivs. containing aryloxy moiety as  $% \left( 1\right) =\left( 1\right) +\left( 1\right) +\left$ 

glucokinase activators for treatment of diabetes and obesity)

RN 886977-03-3 CAPLUS

CN Benzoic acid, 2-fluoro-5-nitro-4-[(2-pyrazinylcarbonyl)amino]-, methyl ester (CA INDEX NAME)

RN 886978-99-0 CAPLUS

CN 2-Pyrazinecarboxamide, N-[5-fluoro-2-nitro-4-[(2-oxo-1-pyrrolidinyl)methyl]phenyl]- (CA INDEX NAME)

RN 886979-01-7 CAPLUS

CN Benzoic acid, 4-[[(5-bromo-2-pyrazinyl)carbonyl]amino]-2-fluoro-, methyl ester (CA INDEX NAME)

RN 886979-96-0 CAPLUS

CN Benzoic acid, 5-[[6-(ethylsulfonyl)-3-pyridinyl]oxy]-3-nitro-2-[(2-pyrazinylcarbonyl)amino]-, methyl ester (CA INDEX NAME)

RN 886979-97-1 CAPLUS

CN Benzoic acid, 5-[[6-(ethylsulfonyl)-3-pyridinyl]oxy]-2-[(2-pyrazinylcarbonyl)amino]-, methyl ester (CA INDEX NAME)

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 16 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:367034 CAPLUS

DOCUMENT NUMBER: 144:412543

TITLE: Preparation of quinoxalines as B Raf inhibitors

INVENTOR(S): Aquila, Brian; Dakin, Les; Deegan, Tracey; Ioannidis,

Stephanos; Lee, Stephen; Lyne, Paul; Pontz, Timothy;

Su, Mei

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Ltd.

SOURCE: PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.					KIND DATE			APPLICATION NO.						D	ATE		
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$$\begin{bmatrix} R^2 \\ R^3 \\ R^4 \\ N \\ R^7 \\ R^5 \\ R^6 \\ I$$

GΙ

AB The title compds. I [A = carbocyclyl or heterocyclyl; R1 is a substituent on carbon and is selected from halo, nitro, cyano, etc.; n = 0-4; Z = CONH, NHCO, CH2NH; R2 = H, halo, nitro, etc.; R3 = halo, hydroxy, Me, methoxy or hydroxymethyl; X = NR18CO, NR19, NR20CH2; R4-R8 = H, halo, nitro, etc.; R18-R20 = H, alkyl, alkanoyl, etc.] which possess B Raf inhibitory activity and are accordingly useful for their anti cancer activity, were prepared Thus, amidation of N-(5-amino-2-methylphenyl)quinoxaline-6-carboxamide (preparation given) with 3-(methyllthio)benzoic acid afforded 73% N-(2-methyl-5-{[3-(methylthio)benzoyl]amino}phenyl)quinoxaline-6-carboxamide. The compds. I exhibited activity less than 30 μM when tested in B-Raf in vitro ELISA assay. The invention also relates to processes for the manufacture of compds. I, to pharmaceutical compns. containing

them and to their use in the manufacture of medicaments of use in the production of

an anti-cancer effect in a warm blooded animal such as man.

IT 884000-09-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinoxalines as B Raf inhibitors for treating cancer)

RN 884000-09-3 CAPLUS

CN 6-Quinoxalinecarboxamide, N-[2-methyl-5-[(2-pyrazinylcarbonyl)amino]phenyl]- (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 17 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:353676 CAPLUS

DOCUMENT NUMBER: 144:369921

TITLE: Preparation of phenylaminopyridines for treatment of

neoplastic and autoimmune disease.

INVENTOR(S):
Eberle, Martin; Bachmann, Felix; Strebel, Alessandro;

Roy, Subho; Saha, Goutam; Nandi, Godhuli

PATENT ASSIGNEE(S): Basilea Pharmaceutica A.-G., Switz.

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.				KIND DATE				APPLICATION NO.						D.	ATE	
WO					A1		2006	0316							2	0050	905 <
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KΖ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NA,
		NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
		SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,
		ZA,	ZM,	ZW													
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ΤJ,	TM										
EP	1634	871			A1		2006	0315		EP 2	004-	4055	52		2	0040	906
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK, HR
CA	2578	047			A1		2006	0316		CA 2	005-	2578	047		2	0050	905 <
EP	1789	044			A1		2007	0530		EP 2	005-	7871	55		2	0050	905 <
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR	·
US	2008	0221	171	•	A1	·	2008	0911		US 2	008-	6620	47		2	0800	111 <
PRIORIT																	906 <
										WO 2	005-	EP54	371		W 2	0050	905

Title compds. [I; A = CH, N, CX; X = alkyl; R1 = COR9, SO2R10, PO(OR11)2, AΒ (substituted) Ph, heteroaryl; R2 = H, alkyl; R3 = 1-2 of H, alkyl, cycloalkyl heterocyclyl, hydroxyalkyl, haloalkyl, alkoxyalkyl, (substituted) alkenyl, alkynyl, aryl, heteroaryl, aryloxy, etc.; R4, R5 = H, alkyl, haloalkyl, alkoxy, amino, halo; R6 = H, alkyl, alkylcarbonyl, alkoxycarbonyl; R7 = 1-2 of H, alkyl, cycloalkyl, heterocyclyl, hydroxyalkyl, haloalkyl, alkoxyalkyl, (substituted) alkenyl, alkynyl, aryl, heteroaryl, etc.; R8 = H, OH, alkoxy, alkylcarbonyloxy, alkoxycarbonyl, aminocarbonyl, halo, cyano, NO2, etc.; R9 = alkyl, haloalkyl, cycloalkylalkyl, heterocyclylalkyl, hydroxyalkyl, alkoxyalkyl, etc.; R10 = alkyl, haloalkyl, cycloalkylalkyl, heterocyclylalkyl, hydroxyalkyl, alkoxyalkyl, alkylcarbonyl, (substituted) alkenyl, heterocyclyl, aryl, heteroaryl, etc.; R11 = alkyl, haloalkyl, alkoxyalkyl, aryl, aralkyl], were prepared Thus, 3,5-dibromopyridine, p-anisidine, (R)-(+)-2, 2'-bis(diphenylphosphino)-1,1'-binaphthyl, NaOCMe3, and Pd2(dba)3 were heated together in PhMe at 70° for 16 h to give 3-bromo-5-(p-methoxyphenylamino)pyridine. The latter was refluxed with 3-aminophenylboronic acid, Na2CO3, and Pd(PPh3)4 in dimethoxyethane for 16 h to give 3-(m-aminophenyl)-5-(p-methoxyphenylamino)pyridine. This was stirred with MsCl in pyridine at  $-20^{\circ}$  to room temperature to give 3-(m-mesylaminophenyl)-5-(p-methoxyphenylamino)pyridine. I induced apoptosis in various cancer cell lines.

ΙT 882183-54-2P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of phenylaminopyridines for treatment of neoplastic and autoimmune disease)

882183-54-2 CAPLUS RN

CN 2-Pyrazinecarboxamide, N-[3-[5-[(4-methoxyphenyl)amino]-3pyridinyl]phenyl]- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 18 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:318485 CAPLUS

DOCUMENT NUMBER: 144:370081

TITLE: Carbostyril compounds and their preparation,

pharmaceutical compositions, and their transcription

promoting activity of TFF2 for treatment and/or

prevention of various diseases

INVENTOR(S): Kuroda, Takeshi; Yamauchi, Takahito; Shinohara,

Tomoichi; Oshima, Kunio; Kitajima, Chiharu; Nagao, Hitoshi; Fukushima, Tae; Tomoyasu, Takahiro; Ishiyama,

Hironobu; Ohta, Kazuhide; Takano, Masaaki; Sumida,

Takumi

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 468 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIND DATE			APPLICATION NO.						D.	ATE			
WO 2006	0359	 54		A1		2006	0406		WO 2	005-	 JP18	 217		2	0050	 926 <	_
W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,	
	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	
	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	
	SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	
			ZM,		·	•	·	·	•	•	•	•	•	•	·	·	
RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,	
						MC,											
						GN,											
	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
	KG,	KΖ,	MD,	RU,	ΙJ,	TM											
AU 2005	2880	80		A1		2006	0406		AU 2	005-	2880	80		2	0050	926 <	_
CA 2580	811			A1		2006	0406		CA 2	005-	2580	811		2	0050	926 <	_
JP 3906	471			В1		2007	0418		JP 2	006-	5190	41		2	0050	926 <	_
JP 2007	5122	20		Т		2007	0517										
EP 1797	082			A1		2007	0620		EP 2	005-	7881	52		2	0050	926 <	_
R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
						LV,									•	,	

CN	101068810	A	20071107	CN	2005-80037090		20050926	<
BR	2005016219	A	20080826	BR	2005-16219		20050926	<
US	20070179173	A1	20070802	US	2006-582014		20060607	<
IN	2007DN01824	A	20070817	IN	2007-DN1824		20070308	<
MΧ	200703735	A	20070423	MX	2007-3735		20070328	<
KR	2007061902	A	20070614	KR	2007-709483		20070426	<
KR	823414	В1	20080417					
KR	2007072632	A	20070704	KR	2007-714064		20070621	<
KR	840465	B1	20080620					
PRIORITY	APPLN. INFO.:			JΡ	2004-282814	Α	20040928	<
				WO	2005-JP18217	W	20050926	
				KR	2007-709483	А3	20070426	

OTHER SOURCE(S): GI

CASREACT 144:370081; MARPAT 144:370081

Ι

$$R^3$$
 $N$ 
 $S$ 
 $R^5$ 
 $R^4$ 
 $R^2$ 
 $R^2$ 
 $R^3$ 
 $R^4$ 

AΒ The invention provides carbostyril compds. represented by formula I or salts thereof, and their pharmaceutical compns., prepns. and use for transcription promotion activity of TFF2. The carbostyril compds. or salts thereof, of the invention, induces the production of TFF, and thus is usable for the treatment and/or prevention of disorders such as alimentary tract diseases, oral diseases, upper respiratory tract diseases, respiratory tract diseases, eye diseases, cancers, and wounds. Compds. of formula I wherein A is a bond, a lower alkylene group, or a lower alkylidene group; X is O or S; the dotted line is a single or a double bond; R4 and R5 are independently H, with the provision that dotted line is a double bond; or R4-R5 may be linked together to form a CH=CH-CH=CHgroup; R1 is H, lower alkyl, (un)substituted Ph lower alkyl, cycloalkyl lower alkyl, phenoxy lower alkyl, naphthyl lower alkyl, lower alkoxy lower alkyl, carboxyl lower alkyl, lower alkoxycarbonyl lower alkyl, (un) substituted pyridyl lower alkyl, cyano lower alkyl, etc.; R2 is H, lower alkoxy, lower alkyl, carboxy lower alkyl, lower alkoxycarbonyl lower

alkoxy, HO, (un)substituted Ph lower alkoxy, (un)substituted piperidinyl(oxy) lower alkyl, lower alkenyloxy, (un)substituted pyridyl lower alkoxy, lower alkynyloxy, Ph lower alkenyloxy, Ph lower alkynyloxy, (un)substituted furyl lower alkoxy, (un)substituted oxadiazolyl lower alkyl, or (un)substituted thiazolyl lower alkoxy, etc.; R3 is H, lower (HO-substituted) alkyl, cycloalkyl lower alkyl, carboxyl lower alkyl, lower alkyl, un)substituted Ph lower alkyl, naphthyl lower alkyl, (un)substituted furyl lower alkyl, (un)substituted thiazolyl lower alkyl, (un)substituted tetrazolyl, or (un)substituted benzothienyl, etc.; and their pharmaceutically acceptable salts are claimed. Example compound II was prepared by heterocyclization of 2-chloro-3-(8-methoxy-1-methyl-2-oxo-1,2-dihydroquinolin-5-yl)propionic acid with thiourea. All the invention compds. were evaluated for the transcription promoting activity of hTFF2. From the assay, it was determined

acid with thiourea. All the invention compds. were evaluated for the transcription promoting activity of hTFF2. From the assay, it was determined that some invention compds., including compound III, showed TFF2 production activity of 1000% or higher at a test compound concentration of 10-6M concentration Some

invention compds. showed a TFF2 production promoting activity of 300% or higher at a test compound concentration is less than  $10-5\mathrm{M}$  and preferably more than

10-6M. Example compound III and a few other compds. showed >20% healing ratio of the ulcerated area, which indicated that these compds. may be effective in preventing and/or treating mucosal injury.

IT 882009-63-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of carbostyril compds. and their transcription promoting activity of TFF2 for treatment and/or prevention of various diseases)

RN 882009-63-4 CAPLUS

CN 2-Pyrazinecarboxamide, N-[4-[[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-3,4-dihydro-8-methoxy-2-oxo-1(2H)-quinolinyl]methyl]phenyl]- (CA INDEX NAME)

PAGE 1-A



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 19 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

2006:273658 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 144:331457

TITLE: Preparation of substituted pyrazolo[1,5-a]pyrimidines

and methods of their use as antiproliferative agents Wang, Yanong Daniel; Gopalsamy, Ariamala; Honores,

INVENTOR(S): Erick Eduardo; Jennings, Lee Dalton; Johnson, Steven

Lawrence; Powell, Dennis William; Sum, Fuk-Wah; Tsou,

Hwei-Ru; Wu, Biqi; Zhang, Nan

PATENT ASSIGNEE(S):

SOURCE: U.S. Pat. Appl. Publ., 83 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.				KIN	D	DATE			APPL	-	-				ATE		
US WO	2006 2006 2006	0063 0337	784 95		A2		 2006 2006 2006	0330		US 2 WO 2	005-		46		2		 909 < 901 <	
WO		AE, CN, GE, LC, NG,	AG, CO, GH, LK, NI,	AL, CR, GM, LR, NO,	AM, CU, HR, LS, NZ,	AT, CZ, HU, LT, OM,	AU, DE, ID, LU, PG, TN,	AZ, DK, IL, LV, PH,	DM, IN, MA, PL,	DZ, IS, MD, PT,	EC, JP, MG, RO,	EE, KE, MK, RU,	EG, KG, MN, SC,	ES, KM, MW, SD,	FI, KP, MX, SE,	GB, KR, MZ, SG,	GD, KZ, NA, SK,	
	RW:	AT, IS, CF, GM,	IT, CG,	BG, LT, CI, LS,	LU, CM, MW,	LV, GA, MZ,	CZ, MC, GN, NA, TM	NL, GQ,	PL, GW,	PT, ML,	RO, MR,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	BJ, GH,	
	APP		-												P 2	0040	917 <	[

PRIC OTHER SOURCE(S): CASREACT 144:331457; MARPAT 144:331457

GΙ

AΒ The invention is related to novel methods of use of pyrazolo[1,5-a]pyrimidines I [R1 = H, CN, halo, CHO, CO2H, etc.; R2-R4 = H, CF3, alkyl; R5 = (un)substituted hetero/aryl], and theirtherapeutically acceptable salts and prodrugs, as antiproliferative agents, particularly antitumor agents, in mammals, including humans. use of pyrazolpyrimidines I in regulating the expression of p21 in cells, and the preparation of certain I are given. Thus, reacting (3-Amino-1H-pyrazol-4-yl)(thien-2-yl)methanone (preparation given) with 3-(Dimethylamino)-1-(2-thienyl)-2-propen-1-one (preparation given) gave pyrazolopyrimidine II. In a cytotoxicity test against 80S14 (p21-deficient) cells, II had an IC50 in the range of 1-10  $\mu$ M. ΙT 879372-18-6P, N-[3-[3-[(Thien-2-yl)carbonyl]pyrazolo[1,5a]pyrimidin-7-yl]phenyl]pyrazine-2-carboxamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of substituted pyrazolo[1,5-a]pyrimidines as antitumor agents)

RN 879372-18-6 CAPLUS

(Uses)

CN 2-Pyrazinecarboxamide, N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)

L17 ANSWER 20 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

2006:273618 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 144:312112

TITLE: Preparation of substituted pyrazolo[1,5-a]pyrimidines

as antiproliferative agents

INVENTOR(S): Wang, Yanong Daniel; Gopalsamy, Ariamala; Powell,

Dennis William; Tsou, Hwei-Ru; Zhang, Nan

PATENT ASSIGNEE(S):

SOURCE: U.S. Pat. Appl. Publ., 84 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT	NO.			KIN	D	DATE		,	APPL	ICAT	ION I	NO.		D.	ATE	
US	2006	0063	 785		A1	_	2006	0323		US 2	005-	2218	47		2	0050	909 <
WO	2006	0337	96		A1		2006	0330		WO 2	005-	US31	880		2	0050	901 <
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KP,	KR,	KZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NA,
		NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
		SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,
		ZA,	ZM,	ZW													
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ТJ,	TM										
RITY	Z APP	LN.	INFO	.:						US 2	004-	6105	20P		P 2	0040	917 <
CR SC	URCE	(S):			MAR:	PAT	144:	3121	12								

OTHER SOURCE(S):

GΙ

$$R^3$$
 $R^4$ 
 $R^2$ 
 $R^2$ 
 $R^3$ 
 $R^4$ 
 $R^5$ 
 $R^2$ 
 $R^2$ 
 $R^3$ 
 $R^4$ 
 $R^5$ 
 $R^2$ 
 $R^3$ 
 $R^4$ 
 $R^5$ 
 $R^5$ 

This invention relates to novel pyrazolo[1,5-a]pyrimidine compds. I AΒ (wherein R1 = H, cyano, halogen, carbamoyl, formyl, carboxy, C(O)O-alkyl, C(0)O-cycloalkyl, C(0) cycloalkyl, R6, C(0)R6, and C(S)R6; R6 = (un)substituted, aryl or heteroaryl; R2, R3, and R4 = H, CF3, or alkyl; R5 = (un)substituted aryl or heteroaryl) and the therapeutically acceptable salts thereof. These compds. are useful as anti-proliferative agents in mammals, including humans. The compds., their use in regulating the expression of p21 in cells, as well as a method of preparation are claimed. For example, II is prepared from (3-amino-1H-pyrazol-4-yl)-2thienylmethanone and 3-(dimethylamino)-1-[3-(cyclopentyloxy)phenyl]-2propen-1-one, which in turn was prepared from 3-cyclopentyloxyacetophenone

and DMF-di-Me acetal. In a cytotoxicity test against 80S14 (p21-deficient) cells, II had an IC50 in the range of 1-10  $\mu\text{M}.$ 

879372-18-6P, N-[3-[3-[(Thien-2-yl)carbonyl]pyrazolo[1,5a]pyrimidin-7-yl]phenyl]pyrazine-2-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted pyrazolo[1,5-a]pyrimidines as antiproliferative agents)

RN 879372-18-6 CAPLUS

ΤT

CN 2-Pyrazinecarboxamide, N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)

L17 ANSWER 160 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

1981:532666 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 95:132666

ORIGINAL REFERENCE NO.: 95:22215a,22218a

TITLE: Aminopropanol derivatives and their pharmaceutical use

INVENTOR(S): Friebe, Walter Gunar; Kampe, Wolfgang; Bartsch,

Wolfgang; Sponer, Gisbert; Dietmann, Karl

PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 22 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 2948056 US 4378363 EP 29992 EP 29992	A1 A A1 B1	19810604 19830329 19810610 19830629	DE 1979-2948056 US 1980-207527 EP 1980-107337	_	19791129 19801117 < 19801125 <
R: AT, BE, CH,			, NL, SE		
AT 3977	${f T}$	19830715	AT 1980-107337		19801125 <
JP 56092863	A	19810727	JP 1980-166857		19801128 <
PRIORITY APPLN. INFO.:			DE 1979-2948056	Α	19791129 <
			EP 1980-107337	Α	19801125 <
OTHER SOURCE(S):	CASREA	CT 95:132666	; MARPAT 95:132666		

GΙ

$$R^1$$
NHCH<sub>2</sub>CH (OR) CH<sub>2</sub>O NHCOZR<sup>3</sup>

The  $\beta$ -adrenergic blocking agents (no data) I [R = H, acyl, aroyl; R1 = alkyl optionally substituted by Z1R4 (Z1 = bond, O, S; R4 = optionally substituted aryl or heteroaryl); R2 = H, acyl; R3 = optionally substituted heterocyclyl; Z = bond, CH2] and their salts were prepared Thus, 4-H2NC6H4OCH2CH(OH)CH2N(CH2Ph)CHMe reacted with 2-indolecarbonyl chloride in CH2Cl2 containing NaHCO3, and the product was hydrogenated over Pd-C to give I.HCl (R = H, R1 = Me2CH, R2 = H, R3Z = 2-indolyl).

I

ΙT 79112-24-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

79112-24-6 CAPLUS RN

CN 2-Pyrazinecarboxamide, N-[4-[2-hydroxy-3-[(1methylethyl)amino]propoxy]phenyl]-5-methyl- (CA INDEX NAME)

L17 ANSWER 161 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

1981:3857 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 94:3857 ORIGINAL REFERENCE NO.: 94:715a,718a

TITLE:

Carboxylic acid derivatives

INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Motomura, Toshiharu; Tsuji, Masayoshi; Amano, Hidetoshi; Ide, Hiroyuki

PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Pat.ent.

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55040650	A	19800322	JP 1978-114394	19780916 <
PRIORITY APPLN. INFO.:			JP 1978-114394 A	19780916 <

For diagram(s), see printed CA Issue.

AΒ Sixteen carboxylic acid derivs. I (the ring is a benzene, cyclohexane, pyridine, or pyrazine ring; R = H, halo, alkyl, alkoxy, NO2; R1 = substituted Ph) were prepared by reaction of II with R1NH2. The data of homologous passive dermal reaction were given in rats. Thus, reaction of 2.96 g phthalic anhydride with 3.66 g 3,4,5-(MeO)3C6H2NH2 in EtOH 24 h at room temperature gave 5.7 g N-(3,4,5-trimethoxyphenyl)phthalamidic acid.

75893-58-2P 75893-59-3P ΤТ

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 75893-58-2 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(3,4,5-trimethoxyphenyl)amino]carbonyl]- (CA INDEX NAME)

RN 75893-59-3 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(3,5-dichloro-4-hydroxyphenyl)amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \begin{array}{c} O \\ \parallel \\ C - NH \end{array} \end{array} \begin{array}{c} C1 \\ OH \end{array}$$

L17 ANSWER 162 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1979:151840 CAPLUS

DOCUMENT NUMBER: 90:151840

ORIGINAL REFERENCE NO.: 90:24125a,24128a

TITLE: Methyl N-acylanthranilates

INVENTOR(S): Kirino, Osamu; Yamamoto, Shigeo; Kato, Hisao

PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53130655	А	19781114	JP 1977-45269	19770419 <
JP 58048560	B	19831028		

PRIORITY APPLN. INFO.: JP 1977-45269 A 19770419 <--

AB 2-RCONHC6H4CO2Me (I; R = 2- or 3-furyl, 2-thienyl, 2-, 3-, or 4-pyridyl, pyrazinyl) were prepared by treating 2-H2NC6H4CO2Me (II) with RCO2H or their reactive derivs. Antibacterial test data of I against Sphaerotheca fuliginea and Erysiphe graminis are given. Thus, stirring 15.1 g II, pyrazinecarboxylic acid, and dicyclohexylcarbodiimide in C6H6 4 h at room temperature gave 21.5 g I (R = pyrazinyl).

IT 69873-69-4P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and bactericidal activity of)

RN 69873-69-4 CAPLUS

CN Benzoic acid, 2-[(2-pyrazinylcarbonyl)amino]-, methyl ester (CA INDEX NAME)

L17 ANSWER 163 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1977:140042 CAPLUS

DOCUMENT NUMBER: 86:140042

ORIGINAL REFERENCE NO.: 86:21993a,21996a
TITLE: 1,5-Diphenylpyrazoles

INVENTOR(S): Reis, Hermann; Vilhuber, Heinz G.; Schulz, Lothar;

Lenke, Dieter

PATENT ASSIGNEE(S): BASF A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 13 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

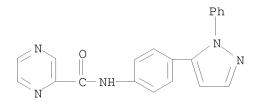
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2525024 PRIORITY APPLN. INFO.: GI	A1	19761230	DE 1975-2525024 DE 1975-2525024	19750605 < A 19750605 <

AB Antiinflammatory (carboxamidophenyl)pyrazoles (I; R = 2-, 3-, and 4-pyridinyl, 2-chloro-3-pyridinyl, 2,6-dichloro-4-methyl-3-pyridinyl, 4-pyrimidinyl, 2-pyrazinyl) are prepared by acylation of 5-(4-aminophenyl)-1-phenylpyrazole (II) with the appropriate acyl chlorides. Thus, reaction of ClCH:CHCOC6H4NO2-4 with PhNH2 gives 94% PhNHCH:CHCOC6H4NO2-4 which on condensation with PhNHNH2 gives 63% 5-(4-nitrophenyl)-1-phenylpyrazole (III). Hydrogenation of III gives 87% II. Nicotinic acid is converted with SOC12 to the acid chloride which reacts with II in PhMe in the presence of Et3N at 60° to give 76% I (R = 3-pyridinyl) (IV). IV has 87% of the activity of phenylbutazone with <20% of its toxicity.

IT 62089-25-2P

RN 62089-25-2 CAPLUS

CN 2-Pyrazinecarboxamide, N-[4-(1-phenyl-1H-pyrazol-5-yl)phenyl]- (CA INDEX NAME)



L17 ANSWER 164 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1976:542990 CAPLUS

DOCUMENT NUMBER: 85:142990

ORIGINAL REFERENCE NO.: 85:22917a,22920a

TITLE: N-monosubstituted-2,3-pyridinedicarboxamides, and

related compounds

INVENTOR(S): Jacobs, Richard L.

PATENT ASSIGNEE(S): Sherwin-Williams Co., USA

SOURCE: U.S., 10 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3960877	А	19760601	US 1974-536947	19741223 <
PRIORITY APPLN. INFO.:			US 1968-740046	A1 19680626 <
			US 1970-82804	A1 19701021 <
			US 1973-381770	A1 19730723 <

GΙ

AB Amides I-III (R or R1 = alkyl, cycloalkyl, substituted benzyl, etc.) were prepared by treating dicarboximides with RNH2 or N-substituted dicarboximides with NH3. The amides are intermediates for herbicidal condensed pyrimidines. Thus I (R = CHMe2, R1 = H) on heating with base gave pyridopyrimidinedione IV.

IV

IT 60554-71-4P

RN 60554-71-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-phenyl- (CA INDEX NAME)

L17 ANSWER 165 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1973:492284 CAPLUS

DOCUMENT NUMBER: 79:92284

ORIGINAL REFERENCE NO.: 79:14995a,14998a

TITLE: Anticonvulsive and tranquilizing pyrrolopyrazines
INVENTOR(S): Cotrol Claude: Jeanmart Claude: Masser Mayor N

INVENTOR(S): Cotrel, Claude; Jeanmart, Claude; Messer, Mayer N.

PATENT ASSIGNEE(S): Rhone-Poulenc S. A. SOURCE: Ger. Offen., 18 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2300491	A1	19730719	DE 1973-2300491	19730105 <
DE 2300491	В2	19770908		
FR 2166314	A1	19730817	FR 1972-505	19720107
FR 2205318	A2	19740531	FR 1972-39731	19721109
DD 102698	A5	19731220	DD 1972-167951	19721228 <
PL 82478	В1	19751031	PL 1972-159840	19721228 <
PL 91759	В1	19770331	PL 1972-174539	19721228 <
PL 91760	B1	19770331	PL 1972-174540	19721228 <
NL 7217852	A	19730710	NL 1972-17852	19721229 <
US 3862149	A	19750121	US 1972-319876	19721229 <
ZA 7300072	A	19730926	ZA 1973-72	19730104 <
HU 164821	В	19740411	HU 1973-RO691	19730104 <
AU 7350754	A	19740704	AU 1973-50754	19730104 <
BE 793730	A1	19730705	BE 1973-126194	19730105 <
JP 48076892	A	19731016	JP 1973-69	19730105 <
JP 52003952	В	19770131		
GB 1358680	A	19740703	GB 1973-796	19730105 <
CH 560702	A5	19750415	CH 1974-11606	19730105 <
CH 560703	A5	19750415	CH 1974-11607	19730105 <
AT 323181	В	19750625	AT 1973-100	19730105 <
CH 564558	A5	19750731	CH 1973-113	19730105 <
CA 991183	A1	19760615	CA 1973-160620	19730105 <
SU 548212	A3	19770225	SU 1973-1873290	19730105 <
NO 136843	В	19770808	NO 1973-62	19730105 <
CS 180649	B1	19770831	CS 1976-4995	19730105 <
CS 180650	B2	19770831	CS 1976-4996	19730105 <
SE 398503	В	19771227	SE 1973-159	19730105 <
SE 398503	С	19780406		
CS 180610	B2	19780131	CS 1973-122	19730105 <
FI 54124	В	19780630	FI 1973-27	19730105 <
FI 54124	С	19781010		
DK 139359	В	19790205	DK 1973-69	19730105 <
DK 139359	С	19790709		
SU 507240	A3	19760315	SU 1974-1993903	19740206 <
SU 504484	A3	19760225	SU 1974-1995434	19740213 <

A 19770418 JP 1976-106831 JP 52048687 19760908 <--В JP 52031358 19770813 JP 52048688 А 19770418 JP 1976-106832 19760908 <--FR 1972-505 A 19720107 <--PRIORITY APPLN. INFO.: FR 1972-39731 A 19721109 <--

GI For diagram(s), see printed CA Issue.

AB Five pyrrolopyrazines (I; R = 3-02NC6H4, 5-chloro-2-pyridyl, 6-methyl-3-pyridazinyl, or 7-chloro-2-quinolyl; n = 0 or 1), useful as tranquilizers and anticonvulsants, were prepared by reaction of II with YCl or successively with ClCO2Ph and 1-methylpiperazine, optionally followed by oxidation II were prepared by reaction of RNH2 with 2,3-pyrazinedicarboxylic anhydride, followed by ring closure, and KBH4 reduction of the resulting 5,7-dioxopyrrolopyrazine derivs.

IT 43200-87-9P

RN 43200-87-9 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(3-nitrophenyl)amino]carbonyl]- (CA INDEX NAME)

L17 ANSWER 166 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1973:99069 CAPLUS

DOCUMENT NUMBER: 78:99069

ORIGINAL REFERENCE NO.: 78:15905a,15908a

TITLE: Azo dyes for color photography

INVENTOR(S): Piller, Bernhard; Lenoir, John; Froehlich, Alfred;

Stauner, Thomas; Tschopp, Paul

PATENT ASSIGNEE(S): Ciba-Geigy A.-G. SOURCE: Ger. Offen., 104 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2216592	 A	19721019	DE 1972-2216592	19720406 <
DE 2216592	C2	19820930		
CH 572230	A5	19760130	CH 1971-5058	19710407
СН 566029	A5	19750829	CH 1971-7208	19710514
CH 572231	A5	19760130	СН 1971-13605	19710916
AU 7240352	A	19730927	AU 1972-40352	19720323 <
AU 7240651	A	19731004	AU 1972-40651	19720330 <
CA 985675	A1	19760316	CA 1972-138612	19720330 <
CA 987310	A1	19760413	CA 1972-138614	19720330 <
IT 958675	В	19731030	IT 1972-89525	19720405 <
IT 958676	В	19731030	IT 1972-89526	19720405 <
GB 1372448	А	19741030	GB 1972-15612	19720405 <
BE 781728	A1	19721006	BE 1972-115988	19720406 <
BE 781729	A1	19721006	BE 1972-115989	19720406 <
NL 7204615	А	19721010	NL 1972-4615	19720406 <

NL 7	7204616	A	19721010	NL	1972-4616		19720406	<
FR 2	2132697	A5	19721124	FR	1972-12026		19720406	<
FR 2	2132697	B1	19740913					
FR 2	2132734	A5	19721124	FR	1972-12183		19720406	<
FR 2	2132734	B1	19740802					
JP 5	56011941	В	19810318	JΡ	1972-33985		19720406	<
AT 3	317672	В	19740910	ΑT	1972-3022		19720407	<
JP 5	56011942	В	19810318	JΡ	1972-34511		19720407	<
US 4	1118232	A	19781003	US	1977-777867		19770315	<
PRIORITY	APPLN. INFO.:			СН	1971-5058	Α	19710407	<
				СН	1971-7208	Α	19710514	<
				СН	1971-13605	Α	19710916	<
				US	1972-238944	A1	19720328	<
				US	1975-606395	АЗ	19750821	<

AB Approx. 300 disazo dyes (I, R=H, Me, alkylaryl; X = halogen, Me, OMe, SMe, CF3, NHBz; Q = aromatic or heterocyclic dicarboxylic acid residue) were prepared by the reaction of an amino azo compound with a diacyl chloride and are especially useful for diffusion transfer Ag-dye bleach processes. Thus, 5,4,2-Me(O2N)(H2N)C6H2SO3NH4 was diazotized and coupled with ZH (R = 2,6-Me2C6H3), reduced with Na2S, and acylated with m-C6H4(COC1)2 to give disazo dye (II R = 2,6-Me2C6H3 in Z) [38215-20-2], \( \lambda\)maximum 524 and 542 nm in DMF. In another example, 4,3-C1(H2N)C6H3CO2Me was acylated with 4-MeC6H4COC1 to give 2,5-C1(MeO2C)C6H3NHCOC6H4Me-4, followed by hydrolysis, oxidation with KMnO4, and treatment with SOC12 to give 2,5-C1(C1CO)C6H3NHCOC6H4COC1-4 which was condensed with 5,4,2-Me(H2N)(HO3S)C6H2N:NZ (R = 2,6-Me2C6H3 in Z) to give disazo dye (III R = 2,6-Me2C6H3) [38359-32-9], \( \lambda\)maximum 526 and 545 in DMF-H2O.

IT 41522-66-1 41522-67-2 41522-68-3

41675-97-2

CN

RL: USES (Uses)

(photog. sensitization maximum of)

RN 41522-66-1 CAPLUS

2-Naphthalenesulfonic acid, 5,5'-[(3,6-dimethyl-2,5-pyrazinediyl)bis[carbonylimino(4-methoxy-6-sulfo-3,1-phenylene)azo]]bis[6-(2,6-dimethylphenyl)amino]-4-hydroxy-(9CI) (CA INDEX NAME)

RN 41522-67-2 CAPLUS

CN 2-Naphthalenesulfonic acid, 5,5'-[(3,6-dimethyl-2,5-pyrazinediyl)bis[carbonylimino(4-methyl-6-sulfo-3,1-phenylene)azo]]bis[6-[(2,6-dimethylphenyl)amino]-4-hydroxy-(9CI) (CA INDEX NAME)

RN 41522-68-3 CAPLUS

CN 2-Naphthalenesulfonic acid, 5,5'-[2,5-pyrazinediylbis[carbonylimino(4-methoxy-6-sulfo-3,1-phenylene)azo]]bis[6-[(2,6-dimethylphenyl)amino]-4-hydroxy- (9CI) (CA INDEX NAME)

RN 41675-97-2 CAPLUS

CN 2-Naphthalenesulfonic acid, 5,5'-[(3,6-dimethyl-2,5-pyrazinediyl)bis[carbonylimino[2-sulfo-5-(trifluoromethyl)-4,1-phenylene]azo]]]bis[6-(2,6-dimethylphenyl)-4-hydroxy- (9CI) (CA INDEX NAME)

L17 ANSWER 167 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:434570 CAPLUS

DOCUMENT NUMBER: 77:34570
ORIGINAL REFERENCE NO.: 77:5763a,5766a

TITLE: Pyrazinamide derivatives as diuretics and natriuretics

INVENTOR(S): Cragoe, Edward J., Jr.; Shepard, Kenneth L.

PATENT ASSIGNEE(S): Merck and Co., Inc. SOURCE: Fr. Demande, 54 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2034542		19710108		<
PRIORITY APPLN. INFO.:			US	19690212 <

For diagram(s), see printed CA Issue. Refluxing a mixture of I (R1 = Me, R2 = R3 = H, R4 = C1), 5% aqueous NaOH, and iso-PrOH for 1 hr gave the carboxylic acid I (R1 = R2 = R3 = H, R4 = C1)(II). A mixture of CH.tplbond.CCH2NH2, Me 3-amino-5,6-dichloropyrazinoate, and Me2SO when stirred for 1 hr gave I (R1 = Me, R2 = H, R3 = CH.tplbond.CCH2, R4 = C1) which on hydrolysis gave the corresponding carboxylic acid, R1 = H. Using similar methods, 21 I were prepared in which R1 = H, R2 = H, Me, allyl, cyclopentyl, Me2NCH2CH2, 2-furylmethyl, Me0, NH2, etc., R3 = H or Me, R4 = C1, Br, or iodo. To a solution of II, Et3N, and Me2NCHO was added N-tert-butyl-5-methylisoxazolium perchlorate (III) and the mixture stirred 2 hr to give IV (R2 = R3 = H, R4 = C1, R5 = Me, R6 =Me3C) (V). Nineteen IV were similarly prepared in which R2 = H, allyl, propargyl, cyclopentyl, hydroxyalkyl, benzyl, furylmethyl, phenyl, substituted phenyl, MeO, NH2, Me, or Et; R3 = H or Me; R4 = C1, Br, or iodo; R5 = Me or Ph; R6 = Et, CMe3, or Me. Refluxing a mixture of 1-aminopyrrolidine and V for 2 hr gave VI (R2 = R3 = H, R4 = C1, R1 =pyrrolidino) as a high m.p. solid. Twenty-two VI were similarly prepared in which R2, R3, and R4 were as in V and R1 was a group such as MePrN(CH2)2, MeOCH2CH2, benzyl, Me2NCH2CH2, pyrrolidinoethyl, and 1-methyl-4-piperazinoethyl. VI (R2 = R3 = H, R4 = C1, R1 = 2-pyridylamino) was prepared by refluxing a mixture of 2-hydrazinopyridine (VII) and MeCN. Reacting III, 3,5-diamino-6-chloropyrazinoic acid (VIII) with Et3N in Me2NCHO, then addition of 2-hydrazinopyrimidine in DMF and further heating gave VI (R2 = R3 = H, R4 = C1, R1 = 2-pyrimidinylamino).

In THF, under similar conditions were prepared a further 14 amides and hydrazines VI including VI (R2 = R3 = H, R4 = C1, R1 = 4H-1,2,4-triazolyl). Stirring a mixture of benzamidine and VII in H2O for 2 hr gave IX. Five analogs were prepared using other amidines. In a similar manner using guanidine in place of benzamidine was prepared X (R = H) (XI) giving a crystalline hydrochloride. XI could also be prepared directly from

VIII

without isolation of intermediates. By similar methods were prepared X (R = OH, CH2Ph) and 39 analogs of X in which the NH2 adjacent to the Cl could also be substituted. With aminoquanidine and 2-hydrazino-2-imidazoline were prepared X (R = NH2 and 2-aminoimidazoline). A mixture of CNNH2 and Nain iso-PrOH was refluxed for 0.5 hr and then heated with N-tert-buty1-3-(3,5-diamino-6-chloropyrazinylcarbonyloxy)crotonamide to give N-cyano-3,5-diamino-6-chloropyrazinecar-boxamide. Refluxing N-tert-butyl-3-methyl-3-(3,5-diamino-6chloropyrazinylcarbonyloxy)acrylamide (XII) and benzyloxydiguanide in THF gave XIII (R = H, R1 = CH2Ph). Twelve XI in which R was H and R1 1-6C alkyl, or R was a substituent such as cyclopentyl, PhCH2, and furylmethyl, and R1 was substituted benzyl were prepared Refluxing a mixture of 2-amino-2-thiazoline, XII, and THF gave N-(2-thiazolin-2-yl)-3,5-diamino-6-chloropyrazinecarboxamide (XIV, R = R1)= R2 = R3 = H). Three analogs were prepared in which R was cyclopentyl, benzyl and HO(CH2)2, the other substituents being H, Me, or C6H13. XIV where RNH was pyrrolidino was also prepared The 4- and 2-pyridyl groups and 2-pyrimidinyl could be substituted for the thiazoline. Reaction of V with sulfamide and Et3N in MeCN at room-temperature gave XV (R = R1 = R2 = H, X =Cl). Eighteen XV were similarly prepared Properties are also given for a further 19 amides XVI. containing a wide variety of substituents. The products are useful in treatment of hypertension and related conditions by causing diuresis without elimination of potassium. Daily doses are 5 mg-1

IT 32209-55-5P

RN 32209-55-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3,5-diamino-6-chloro-N-phenyl- (CA INDEX NAME)

L17 ANSWER 168 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1971:420438 CAPLUS

DOCUMENT NUMBER: 75:20438
ORIGINAL REFERENCE NO.: 75:3278h,3279a

TITLE: N-substituted 3,5-diamino-6-halopyrazinamides INVENTOR(S): Shepard, Kenneth L.; Craqoe, Edward J., Jr.

PATENT ASSIGNEE(S): Merck and Co., Inc.

SOURCE: U.S., 10 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

\_\_\_\_ \_\_\_\_\_ \_\_\_\_\_\_ 19710330 US 1969-804663 19700908 NL 1970-1141 US 3573306 Α 19690305 A NL 7001141 19700127 <--BE 1970-746816 19700304 <-- US 1969-804663 A 19690305 <--BE 746816 19700904 A PRIORITY APPLN. INFO.: Addition of diphenylcarbamoyl chloride to 3,5-diamino-6-chloropyrazinoic acid and Et3N in HCONMe2 gave 3,5-diamino-6-chloropyrazinecarboxylic diphenylcarbamic anhydride (I). Refluxing Na in iso-PrOH with quanidine-HCl and addition of I gave 1-(3,5-diamino-6chloropyrazinoyl) quanidine. Similarly prepared were 1,1,3,3-tetramethyl-2-(3,5-diamino-6-chloropyrazinoyl)guanidine, 1-(3,5-diamino-6-chloropyrazinoyl)-3-cyanoguanidine, N-methyl-N-(cyanomethyl)-3,5-diamino-6-chloropyrazinecarboxamide, N-(2,2-diethoxyethyl)-3,5-diamino-6-chloropyrazinecarboxamide,N-(2-morpholinoethyl)-3,5-diamino-6-chloropyrazinecarboxamide,N-(4-pyridylmethyl)-3,5-diamino-6-chloropyrazinecarboxamide,N-(2-pyridy1)-3,5-diamino-6-chloropyrazinecarboxamide,3,5-diamino-6-chloropyrazinecarboxylic acid 1,2-dimethylhydrazide, 3,5-diamino-6-chloropyrazinecarboxylic acid 1-methyl-2-benzylidenehydrazide, and N-(3,5-diamino-6-chloropyrazinoyl) morpholine. These compds. had diuretic activity at 10-100 mg. ΙT 32209-55-5P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 32209-55-5 CAPLUS RN 2-Pyrazinecarboxamide, 3,5-diamino-6-chloro-N-phenyl- (CA INDEX NAME) CN

L17 ANSWER 169 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1969:78013 CAPLUS

DOCUMENT NUMBER: 70:78013

ORIGINAL REFERENCE NO.: 70:14573a,14576a

TITLE: 2-Methyl-3-phenyl-4(3H)-pteridinones

INVENTOR(S): Nakanishi, Michio; Tahara, Tetsuya; Maruyama, Yutaka

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd.

SOURCE: U.S., 2 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 3426022	 А	19690204	US 1967-641143		19670525 <
SE 307365	В	19690107	SE 1967-7240		19670523 <
FR 6890	M	19690421	FR 1967-6890		19670526 <
GB 1181284	А	19700211	GB 1967-1181284		19670530 <
PRIORITY APPLN. INFO.:			JP 1966-34445	А	19660528 <
OTHER SOURCE(S):	MARPAT	70:78013			

GI For diagram(s), see printed CA Issue.

AB The title compds. (I), are prepared by treating

3-(acetamido)pyrazine-2-carboxylic acid (II) or its cyclized derivs. 2-methyl-4H-pyrazino[2,3-d]-1,3-oxazin-4-one (III) with an aniline in the presence of a dehydrating agent. Thus, 2 g. PhNH2 and 2 ml. PCl3 were added to 4 g. II in 100 ml. toluene, and refluxed 3 hrs. giving 3.2 g. I (R1 = R2 = R3 = H), m. 230-2° (EtOH). I (R1 = R2 = H, R3 = MeO), m. 209-11°, was prepared by stirring 4.5 g. III, 3.5 g. p-anisidine, in 70 ml. tetrahydrofuran (THF) with 6 g. dicyclohexylcarbodiimide (IV) 6 hrs. at room temperature Similarly prepared were the following I (R1 R2, R3,

and

m.p. given): Me, H, H,  $184-6^\circ$ ; H, H, Br,  $224-5^\circ$ ; H, F3C, H,  $201-4^\circ$ ; Me, H, Me,  $183-4^\circ$ ; H, H, Cl,  $190-1^\circ$ ; H, H, F,  $233-4^\circ$ . A solution of 5 g. III and 5 g. 3,4-dichloroaniline in 50 ml. THF was stirred 30 min. at room temperature and filtered, giving 9.5 g. 3-(acetamido)pyrazine-2-carboxy-3',4'-dichloroanilide (V), m.  $120-2^\circ$ . A suspension of 6 g. V in 5; ml. THF was stirred 5.5 hrs. at room temp with 2.5 g. IV, filtered, and the filtrate evaporated to give 5.2 g. I (R1 = H, R2 = R3 = Cl), m.  $293-4^\circ$ . 3-(Acetamido)pyrazine-2-carboxy-3'-(trifluoromethyl)-anilide, m.  $154-5^\circ$  (decomposition) was similarly prepared and cyclized. These compds. are useful as antiinflammatory agents.

IT 21635-46-1P 21635-48-3P

RN 21635-46-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-(acetylamino)-N-(3,4-dichlorophenyl)- (CA INDEX NAME)

RN 21635-48-3 CAPLUS

CN 2-Pyrazinecarboxamide, 3-(acetylamino)-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

L17 ANSWER 170 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1966:52786 CAPLUS

DOCUMENT NUMBER: 64:52786
ORIGINAL REFERENCE NO.: 64:9905f-q

TITLE: Poly(oxymethylene) articles

PATENT ASSIGNEE(S): J. R. Geigy A. -G.

SOURCE: 13 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
BE 652264			19641216	BE	19640825 <
PRIORITY APPLN.	INFO.:			СН	19640604 <

AB Poly(oxymethylenes) or their copolymers are treated with 0.005-0.05% 3-phenyl-7-triazolyl (or triazinylamino)coumarin, or a 2(or 3 or 4)-chloro-2'-cyano-4'-(1,2-naphthotriazolyl)stilbene, or a 4,4'-bis [4-(substituted amino)-6-anilino-s-triazin-2-ylamino] stilbene-2,2'-disulfonic acid, or 3,5-bis(alkylamino)-2-benzamido-6-alkanoylamino-1,4-diazine as optical brighteners. Thus, a mixture of 500 g. Delrin and 0.045 g. 3-phenyl-7-(3-methylpyrazol-1-yl)coumarin is injection-molded at 120-250° to give pure white plaques, as compared with yellowish plaques for the control.

IT 6994-55-4, 2,6-Pyrazinedicarboxamide, N-methyl-3,5-bis(methylamino)-N'-phenyl-6994-56-5, Benzoic acid, m-[3,5-bis(methylamino)-6-(methylcarbamoyl)pyrazinecarboxamido]-, methyl ester

(as optical brightening agent for polyoxymethylenes)

RN 6994-55-4 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-methyl-3,5-bis(methylamino)-N6-phenyl- (CA INDEX NAME)

RN 6994-56-5 CAPLUS

CN Benzoic acid, 3-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-pyrazinyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)

L17 ANSWER 171 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1965:499120 CAPLUS

DOCUMENT NUMBER: 63:99120

ORIGINAL REFERENCE NO.: 63:18317f-h,18318a

TITLE: Fluorescent brightening agents

INVENTOR(S): Tanaka, Tosbiki

PATENT ASSIGNEE(S): Japan Chemical Works Co., Ltd.

SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 40006100	B4	19650326	JP	19620904 <
PRIORITY APPLN. INFO.:			JP	19620904 <

GI For diagram(s), see printed CA Issue.

AΒ Compds. of the formula I, where R, R1, R2, and R3 are H, alkyl, or alkylene radicals and X and Z are O, S, or NH, and A, A1, and Y are H, are fluorescent brightening agents for polyesters and polyolefins. Thus, 24 parts 2-(paminophenyl)-6-methylbenzothiazole was diazotized and added at  $0-5^{\circ}$  to a stirred solution of 10 parts maleic anhydride in aqueous Me2CO in the presence of 40 parts NaOAc. The mixture was treated with 20 parts 10% HCl containing 0.5 part CuCl, stirred 30 min. at  $<10^{\circ}$ , and kept 1 h. at  $50^{\circ}$  to give p-(6-methylbenzothiazol-2-yl)cinnamic acid (II), which was purified by dissoln. in 3% aqueous Na2CO3. A mixture of 30 parts II and 12 parts 2,5-HO(Me)C6H3NH2 (III) was heated under N at  $160-70^{\circ}$ for 6 h. and at  $200-10^{\circ}$  for 2 h. to give pale yellow I (R R2 Me, R1 R3 Y A A1 H, X S, Z O), m. 240°(PhCl). ZnCl2 or H3BO3 may be used as a condensing agent. Similarly, the following I (A Y Y1 H) were prepared (R, R1, R2, R3, X, Z, color, and m.p. given): Me, Me, H, H, S, S, pale yellow, 263-5°; Me, H, H, H, S, NH, pale yellow-green, 270-2°; H, H, H, H, S, O, pale yellow, >300°; H, H, H, H, S, S, pale yellow, >300°; H, H, H, H, S, NH, pale yellow,  $>300^{\circ}$ ; H, H, R2R3 = CH:CHCH:CH, S, O, pale yellow,  $>300^{\circ}$ . Cf. following abstract

IT 4086-36-6P, Ammonium, benzyl[3-[p-[3,5-bis(methylamino)-6-(methylcarbamoyl)-2-pyrazinecarboxamido]benzamido]propyl]dimethyl, chloride 4129-06-0P, Ammonium, benzyl[3-[m-[3,5-bis(methylamino)-6-(methylcarbamoyl)-2-pyrazinecarboxamido]benzamido]propyl]dimethyl, chloride 6820-71-9P, Ammonium, triethyl(2-hydroxyethyl), ethyl sulfate, p-[3,5-bis(methylamino)-6-(methylcarbamoyl)pyrazinecarboxamido]benzoate RL: PREP (Preparation)

(preparation of)

RN 4086-36-6 CAPLUS

CN Benzenemethanaminium, N-[3-[[4-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-pyrazinyl]carbonyl]amino]benzoyl]amino]propyl]-N,N-dimethyl-, chloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Ph-CH}_2-\text{N}^+ \text{ (CH}_2)_3-\text{NH-C} \\ \text{Me} \\ \end{array} \begin{array}{c} \text{O} \\ \text{N} \\ \text{NH-C} \\ \end{array} \begin{array}{c} \text{O} \\ \text{N} \\ \text{NHMe} \\ \end{array}$$

● c1-

RN 4129-06-0 CAPLUS

• c1-

RN 6820-71-9 CAPLUS

CN Ethanaminium, 2-[[4-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-pyrazinyl]carbonyl]amino]benzoyl]oxy]-N,N,N-triethyl-, ethyl sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 48028-76-8 CMF C2 H5 O4 S

Et-0-503-

CM 2

CRN 47766-06-3 CMF C24 H36 N7 O4

L17 ANSWER 172 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1965:499119 CAPLUS

DOCUMENT NUMBER: 63:99119
ORIGINAL REFERENCE NO.: 63:18317b-f

TITLE: Fluorescent brightening agents for polyacrylonitrile

PATENT ASSIGNEE(S): J. R. Geigy A.-G.

SOURCE: 18 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6412539		19650503	NL 1964-12539	19641028 <
BE 654991			BE	

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FR 1412795
                                            FR
                                            GB
     GB 1031548
                                            СН
                                                                    19631029 <--
PRIORITY APPLN. INFO.:
     For diagram(s), see printed CA Issue.
AΒ
     Compds. of the general formula I are prepared and quaternized to give II. A
     suspension of 25.7 g. ACl (III) in 500 mL. PhCl is added dropwise at
     -5° to a stirred solution of 25.7 g. m-H2NC6H4SO2NH(CH2)3NMe2 in 300
     mL. C5H5N and 200 mL. PhCl. The mixture is stirred 12-16 h. at 0°,
     briefly at 50°, and steam distilled, the residue neutralized with
     .apprx. 20 g. Na2CO3, and steam distilled again, to yield I (X = H, Y =
     3-SO2NH, n = 3, R = Me) (IV), yellow crystals, m. 161-3^{\circ} (1:1
     PhCl-ligroine). Similarly are obtained the following I (X, Y, n, R, and
     m.p. given): H, 3-CONH, 3, Me, 214-16° (EtOAc) (V); H, 4-CONH, 3,
     Me, 192-5° (VI); H, 3-CONH, 2, Et, 158-60°; H, 4-CONH, 2,
     Et, 153-6°; H, 3-CONH, 3, Et, 176-7°; H, 4-CONH, 3, Et,
     168-70°; 3-Cl, 4-CONH, 3, Me, 197-9°; 4-Me, 3-CONH, 3, Me,
     203-5°; H, 4-CO2, 2, Et, 91-2° (VII); H, 3-CO2, 2, PhCH2,
     110-.14° (VIII); H, 4-CO2, 2, PhCH2, 91-6° (IX); H,
     4-CO2CH2CH2O, 2, Et, 144-5° (X). Compds. VII-X, before crystallization
     from 1:1 Me2COC5H12, are dissolved in Me2CO and filtered through an
     Al2O3column. Me2N(CH2)3NH2 (XI) (20.4 g.) is added dropwise to a
     suspension of 41.2 g. ANHC6H4SO2Cl-4 in 500 mL. PhCl, the mixture is stirred
     6 h. at 90°, steam distilled, and the residue in Me2CO filtered
     through Al2O3 to yield I (X = H, Y = 4-SO2NH, n = 3, R = Me), m.
     204-7^{\circ}; similarly V is prepared from XI and ANHC6H4COCl. A solution of
     47.8 g. IV in 300 mL. PhCl is stirred and reacted slowly at 90-5^{\circ}
     with 13.8 g. PhCH2Cl (XII), stirred 7 h. at 90-5^{\circ}, and cooled to
     yield II (X = H, Y = 3-SO2NH, n = 3, R = Me, R' = PhCH2, Z = C1), white
     powder, m. 193-5^{\circ} (iso-BuOH). Similarly, other II (X = H) are
     prepared (starting amine, quaternizing agent, and m.p. given): V, Me2SO4
     (XIII), 208-10°; V, XII, 234-6°; VI, XIII, 226-7°;
     VI, XII, 170-1°; VII, Et2SO4, 230-3°. Compds. I and II in
     0.01-0.2% acid or neutral solns. with nonionic detergents, develop a
     strong bleaching effect on polyacrylonitrile fibers.
ΙT
     3991-89-7P, 2,6-Pyrazinedicarboxamide,
     N-[m-[[3-(diethylamino)propyl]carbamoyl]phenyl]-N'-methyl-3,5-
     bis(methylamino) - 3991-90-0P, 2,6-Pyrazinedicarboxamide,
     N-[p-[[3-(diethylamino)propyl]carbamoyl]phenyl]-N'-methyl-3,5-
     bis(methylamino) - 3991-95-5P, 2,6-Pyrazinedicarboxamide,
     N-[p-[[3-(dimethylamino)propyl]carbamoyl]phenyl]-N'-methyl-3,5-
     bis (methylamino) - 4037-74-5P, Benzoic acid,
     p-[3,5-bis(methylamino)-6-(methylcarbamoyl)pyrazinecarboxamido]-,
     2-[2-(diethylamino)ethoxy]ethyl ester 4046-41-7P,
     2,6-Pyrazinedicarboxamide, N-[m-[[3-
     (dimethylamino)propyl]sulfamoyl]phenyl]-N'-methyl-3,5-bis(methylamino)-
     4046-42-8P, 2,6-Pyrazinedicarboxamide,
     N-[p-[[2-(diethylamino)ethyl]carbamoyl]phenyl]-N'-methyl-3,5-
     bis(methylamino) - 4086-34-4P, 2,6-Pyrazinedicarboxamide,
     N-[p-[[3-(dimethylamino)propyl]sulfamoyl]phenyl]-N'-methyl-3,5-
     bis (methylamino) - 4086-35-5P, Ammonium,
     [3-[m-[3,5-bis(methylamino)-6-
     (methylcarbamoyl)pyrazinecarboxamido]benzamido]propyl]trimethyl, methyl
     sulfate 4086-36-6P, Ammonium,
     benzyl[3-[p-[3,5-bis(methylamino)-6-(methylcarbamoyl)-2-
     pyrazinecarboxamido]benzamido]propyl]dimethyl, chloride 4108-49-0P
     , 2,6-Pyrazinedicarboxamide, N-[m-[2-(diethylamino)ethyl]carbamoyl]phenyl]-
     N'-methyl-3,5-bis(methylamino)- 4129-05-9P, Benzoic acid,
     m-[3,5-bis(methylamino)-6-(methylcarbamoyl)pyrazinecarboxamido]-,
     2-(dibenzylamino)ethyl ester 4129-06-0P, Ammonium,
     benzyl[3-[m-[3,5-bis(methylamino)-6-(methylcarbamoyl)-2-
     pyrazinecarboxamido]benzamido]propyl]dimethyl, chloride 4129-07-1P
     , Ammonium, [3-[p-[3,5-bis(methylamino)-6-
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(methylcarbamoyl)pyrazinecarboxamido]benzamido]propyl]trimethyl, methyl sulfate 4168-67-6P, Benzoic acid, p-[3,5-bis(methylamino)-6-(methylcarbamoyl)pyrazinecarboxamido]-, 2-(dibenzylamino)ethyl ester 4180-46-5P, 2,6-Pyrazinedicarboxamide, N-[m-[[3-(dimethylamino)propyl]carbamoyl]phenyl]]-N'-methyl-3,5-bis(methylamino)-4180-47-6P, Ammonium, benzyl[3-[N3-[(3,5-bis(methylamino)-6-(methylcarbamoyl)pyrazinyl)carbonyl]metanilamido]propyl]dimethyl, chloride 4189-28-0P, Benzoic acid, p-[3,5-bis(methylamino)-6-(methylcarbamoyl)pyrazinecarboxamido]-, 2-(diethylamino)ethyl ester 4193-51-5P, 2,6-Pyrazinedicarboxamide, N-[3-chloro-4-[[3-(dimethylamino)propyl]carbamoyl]phenyl]-N'-methyl-3,5bis (methylamino) - 4366-29-4P, 2,6-Pyrazinedicarboxamide, N-[3-[[3-(dimethylamino)propyl]carbamoyl]-p-tolyl]-N'-methyl-3,5bis(methylamino) - 6820-71-9P, Benzoic acid, p-[3,5-bis(methylamino)-6-(methylcarbamoyl)pyrazinecarboxamido]-, ester with triethyl(2-hydroxyethyl)ammonium ethyl sulfate RL: PREP (Preparation) (preparation of) 3991-89-7 CAPLUS RM2,6-Pyrazinedicarboxamide, N2-[3-[[[3-CN (diethylamino)propyl]amino]carbonyl]phenyl]-N6-methyl-3,5-bis(methylamino)-(CA INDEX NAME)

RN 3991-90-0 CAPLUS
CN 2,6-Pyrazinedicarboxamide, N2-[4-[[[3-(diethylamino)propyl]amino]carbonyl]phenyl]-N6-methyl-3,5-bis(methylamino)-(CA INDEX NAME)

RN 3991-95-5 CAPLUS
CN 2,6-Pyrazinedicarboxamide, N2-[4-[[[3-(dimethylamino)propyl]amino]carbonyl]phenyl]-N6-methyl-3,5-bis(methylamino)- (CA INDEX NAME)

RN 4037-74-5 CAPLUS

CN Benzoic acid, 4-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-pyrazinyl]carbonyl]amino]-, 2-[2-(diethylamino)ethoxy]ethyl ester (CA INDEX NAME)

RN 4046-41-7 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[3-[[[3-(dimethylamino)propyl]amino]sulfonyl]phenyl]-N6-methyl-3,5-bis(methylamino)- (CA INDEX NAME)

RN 4046-42-8 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[4-[[[2-(diethylamino)ethyl]amino]carbonyl]phenyl]-N6-methyl-3,5-bis(methylamino)-(CA INDEX NAME)

RN 4086-34-4 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[4-[[[3-(dimethylamino)propyl]amino]sulfonyl]phenyl]-N6-methyl-3,5-bis(methylamino)- (CA INDEX NAME)

RN 4086-35-5 CAPLUS

CN Ammonium, [3-[m-[3,5-bis(methylamino)-6- (methylcarbamoyl)pyrazinecarboxamido]benzamido]propyl]trimethyl-, methyl sulfate (8CI) (CA INDEX NAME)

CM 1

CRN 47731-82-8 CMF C22 H33 N8 O3

$$Me_3+N-(CH_2)_3-NH-C$$
 $NH-C$ 
 $NH-C$ 
 $NHMe$ 
 $NHMe$ 

CM 2

CRN 21228-90-0 CMF C H3 O4 S

Me-0-SO3-

RN 4086-36-6 CAPLUS

CN Benzenemethanaminium, N-[3-[[4-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-pyrazinyl]carbonyl]amino]benzoyl]amino]propyl]-N,N-dimethyl-, chloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{O} & \text{O} \\ \text{Ph-CH}_2 - \text{N}^+ \text{ (CH}_2\text{)}_3 - \text{NH-C} & \text{O} & \text{O} \\ \text{Me} & \text{NH-C} & \text{NH-C} & \text{NHMe} \\ \end{array}$$

● C1-

RN 4108-49-0 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[3-[[[2-(diethylamino)ethyl]amino]carbonyl]phenyl]-N6-methyl-3,5-bis(methylamino)-(CA INDEX NAME)

RN 4129-05-9 CAPLUS

CN Benzoic acid, 3-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-pyrazinyl]carbonyl]amino]-, 2-[bis(phenylmethyl)amino]ethyl ester (CA INDEX NAME)

RN 4129-06-0 CAPLUS

CN Benzenemethanaminium, N-[3-[[3-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-pyrazinyl]carbonyl]amino]benzoyl]amino]propyl]-N,N-dimethyl-, chloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} & \text{O} & \text{O} \\ | & \text{Ph-CH}_2-\text{N}^+ \text{(CH}_2)_3-\text{NH-C} \\ | & \text{Me} \\ \text{Me} & \text{NH-C} \\ \end{array}$$

• c1-

RN 4129-07-1 CAPLUS

CN 1-Propanaminium, 3-[[4-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]pyrazinyl]carbonyl]amino]benzoyl]amino]-N,N,N-trimethyl-, methyl sulfate (9CI) (CA INDEX NAME)

CM 1

CRN 50567-59-4 CMF C22 H33 N8 O3

$$Me_3^+N-(CH_2)_3-NH-C$$
 $NH-C$ 
 $NH-C$ 
 $NHMe$ 
 $NHMe$ 

CM 2

CRN 21228-90-0 CMF C H3 O4 S

 $Me^{-0-SO_3^{-}}$ 

RN 4168-67-6 CAPLUS

CN Benzoic acid, 4-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-pyrazinyl]carbonyl]amino]-, 2-[bis(phenylmethyl)amino]ethyl ester (CA INDEX NAME)

RN 4180-46-5 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[3-[[[3-(dimethylamino)propyl]amino]carbonyl]phenyl]-N6-methyl-3,5-bis(methylamino)- (CA INDEX NAME)

RN 4180-47-6 CAPLUS

CN Benzenemethanaminium, N-[3-[[[3-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-pyrazinyl]carbonyl]amino]phenyl]sulfonyl]amino]propyl]-N,N-dimethyl-, chloride (1:1) (CA INDEX NAME)

● Cl-

RN 4189-28-0 CAPLUS

CN Benzoic acid, 4-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-pyrazinyl]carbonyl]amino]-, 2-(diethylamino)ethyl ester (CA INDEX NAME)

RN 4193-51-5 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[3-chloro-4-[[[3-(dimethylamino)propyl]amino]carbonyl]phenyl]-N6-methyl-3,5-bis(methylamino)- (CA INDEX NAME)

$$Me_2N-(CH_2)_3-NH-C$$
 $NH-C$ 
 $NH-C$ 
 $NHMe$ 
 $NHMe$ 

RN 4366-29-4 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[3-[[[3-(dimethylamino)propyl]amino]carbonyl]-4-methylphenyl]-N6-methyl-3,5-bis(methylamino)- (CA INDEX NAME)

$$Me_2N-(CH_2)_3-NH-C$$
 $NH-C$ 
 $NH-C$ 
 $NHMe$ 
 $NHMe$ 

RN 6820-71-9 CAPLUS

CN Ethanaminium, 2-[[4-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-

pyrazinyl]carbonyl]amino]benzoyl]oxy]-N,N,N-triethyl-, ethyl sulfate (1:1)
 (CA INDEX NAME)

CM 1

CRN 48028-76-8 CMF C2 H5 O4 S

Et-0-503-

CM 2

CRN 47766-06-3 CMF C24 H36 N7 O4

L17 ANSWER 173 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1963:53333 CAPLUS

DOCUMENT NUMBER: 58:53333

ORIGINAL REFERENCE NO.: 58:9094g-h,9095a-g

TITLE: 3,5-Diaminopyrazine-2,6-dicarboxamides

INVENTOR(S): Daglish, Anthony F.; Vonderwahl, R.; Tillotson, G. A.

PATENT ASSIGNEE(S): J. R. Geigy A.-G.

SOURCE: 8 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
	DE 1087609		19600825	DE 1958-G24632	19580528 <	
	СН 358807			СН		
	CH 358808			СН		
	US 3043780		19620710	US 1958-737215	19580523	
	US 3175980		19650330	US 1961-179263	19611116	
	US 3201315		19650817	US 1962-168868	19620115	
PRIO	RITY APPLN. INFO.:			СН	19570529 <	
$\sim$ $\tau$	- 1! ( )		1 O 7 T			

GI For diagram(s), see printed CA Issue.

1,3-Diethyl-4-amino-5-nitrosouracil (I) 212 and 1,3-diethyl-4-aminouracil 183 in AcOH 750 refluxed 3 h. with stirring, cooled, and filtered yielded 3,2;5,6-bis[(1,3-diethyl-2,4-dioxo-1,2,3,4-tetrahydro)-1,4-pyrimidino] pyrazine 320 parts (II), m. 235.5-36° (75% AcOH). II 10, EtOH 200 parts, and N NaOH 300 volume parts. refluxed 2.5 h., cooled, and filtered gave 3,5-bis(ethylamino)pyrazine-2,6-bis(N-ethylcarboxamide) 7.5 parts, m. 133-4° (EtOH). In the same manner as II were prepared the following IV (R1, R2, R3, R4 and m.p. given): Pr, Pr, Pr, Pr, 150-1°; Bu, Bu, Bu, Bu (V), 115-16°; Me, Me, Me, Me (VI), 390°. Saponification of IV gave the corresponding VII (R1, R2, R3, R4, and m.p. given): Pr, Pr,

Pr, Pr, 96-7°; Bu, Bu, Bu, Bu, 89-91°; Me, Me, Me, Me (VIIa), 232-3°. I 42 and 1,3-dipropyl-4-aminouracil 42 in AcOH 150 refluxed 3 h. with stirring, cooled, diluted with H2O, and filtered gave IV (R1 = R2 = Et, R3 = R4= Pr) 70 parts, m. 150-1° (EtOH); a portion 10 saponified in the usual manner gave VII (R1 = R2 = Et, R3 = R4 = Pr) 7.2 parts, m. 91-2°. In the same manner were prepared IV (R1 = R2 = Me, R3 = R4 = Pr), m. 169-9.5°, and IV(R1 = R2 = Me, R3 = R4 = Et) (VIII), m. 253-4°, and saponified to VII (R1 = R2 = Me, R3 = R4 = Pr), m. 136-7° and VII (R1 = R2 = Me, R3 = R4 = Pr), m. 169-70°, resp. 1,3-Dimethyl-4-aminouracil (IX) 31 and 5-NO derivative 40 of IX in AcOH 200 refluxed 3 h. gave VI 51 parts, m. 390° (75% EtOH). VI 51 and a solution 152 of KOH 200 in EtOH 2400 refluxed 6 h. yielded VIIa.0.5H2O 117 parts, m. 214° (decomposition). VIIa.0.5H2O 20 and SOC12 150 kept 45 min. at room temperature and evaporated, the residue added slowly with cooling

to

PhNH2 10 and dry C5H5N 400 parts, stirred overnight, steam distilled to remove the C5H5N, and filtered yielded X (R1 = R2 = R3 = Me, R4 = NHPh), light yellow crystals, m.  $198-8.5^{\circ}$  (EtOH). Similarly were prepared the following X with R1 = R2 = R3 = Me) (R4, m.p., and color of fluorescence given): NH2, 290-2°, violet blue; NHCH2CH2OH, 210-10.5°, violet-blue; NHPr, 218-19°, violet-blue; NHEt, 197-8.5°, violet-blue; NHCH2Ph, 218.5-20°, blue-violet; NHCH2CH2Ph, 76-8°, blue-violet; m-NHC6H4-OMe, 126.5-27°, blue; NHBu, 194-6°, violet-blue; p-NHC6H4OPh, 252-4°, blue; NHCH2CH:CH2, 194-5.5°, violet-blue; NHC8H17, 121-21.5°, violet-blue; PhNH, 237-8°, blue-violet; NMe2, 128-9°, violet; NHCHEtMe, 188-90°, violet-blue; 2-pyridylamino, 223-4°, blue-violet; NHCMe3, 204-5°, violet-blue; p-NHC6H4Me, 211-12.5°, blue-violet; o-NHC6H4Me, 194-5°, blue-violet; m-NHC6H4Me, 172-3°, blue-violet; p-ClC6H4NH, 261-2.5°, blue-violet; m-ClC6H4NH, 185-7°, blue-violet; 3,4-C12C6H3NH, 216-17°, violet-blue; m-HO2CC6H4NH, 268-70°; m-HO3SC6H4NH, -, violet-blue; p-HO3SC6H4NH, -, violet-blue; m-(p-MeC6NH4SO2NH)C6H4NH, 226-7° violet-blue; m-H2NO2SC6H4NH, 234-6°, violet-blue; morpholino, 155-6°, violet-blue; NHCHMe2, 175-7°, violet-blue; NH(CH2)30H, 147-9°, violet blue; 3-pyridylamino, 209-11°, blue-violet; 3,4-dimethyl-1-phenylpyrazolylamino, 267-9°, blue-violet; 2-thiazolylamino, 262-3°, blue-violet; 1-phenyl-3-pyrazolylamino, 236-8°, blue-violet; 6-quinolylamino, 232-4°, blue-violet; NHCONHPh, 233-4°, blue; NHCONHCH2Ph, 190-1°, violet-blue; NHCONHMe, 215-17°, violet-blue. Similarly were prepared the following XII (R1, R2, R3, and m.p. given): PhCH2, PhCH2, PhCH2, 161-2°; Et, Et, Et (XIII), 174-5°. XIII was converted in the usual manner to the anilide, m.  $146.5-7.5^{\circ}$ , and to the N-(2-pyridy1) amide, m.  $108-9^{\circ}$ . VIII 57, KOH 45, and EtOH 500 refluxed 6 h. and evaporated, and the residue acidified with dilute HCl gave

XII

(R1 = R2 = Et, R3 = Me) (XIV) 43 parts, m  $160-2^{\circ}$ . XIV 20 treated 45 min. with SOC12 100 and evaporated, and the residue stirred overnight with concentrated NH4OH 300 and EtOH 100 and filtered gave amide of XIV 16 parts, m.  $223-4^{\circ}$  (EtOH). Similarly were prepared the N-Et, N-Pr, and N-PhCH2 amides, m.  $162-4^{\circ}$ ,  $84-6^{\circ}$ , and  $87-9^{\circ}$ , resp., of XIV. VI 10 and PhCH2NH2 300 refluxed 24 h., cooled, diluted with H2O, and filtered yielded  $3,2-[(1,3-\text{dimethyl}-2,4-\text{dioxo}-1,2,3,4-\text{tetrahydro})-1,4-\text{pyrimidino}]-5-\text{methylamino}-6-(Ar. benzylcarboxamido)pyrazine 9 parts, m. <math>204-5^{\circ}$  (EtOH). 1,3-Dibutyl-4-aminouracil (XV) 48 and 5-NO derivative 54 of XV in 2N H2SO4 300 refluxed 3 h. with stirring, cooled, and filtered, and the residue in EtOH 1200 refluxed 2 h. with N NaHCO3 1800 and filtered gave V 66 parts, needles, m.  $115-16^{\circ}$  (EtOH). 93997-91-2P, 2,6-Pyrazinedicarboxamide,

IT 93997-91-2P, 2,6-Pyrazinedicarboxamide, N-ethyl-3,5-bis(ethylamino)-N'-phenyl-

RL: PREP (Preparation) (preparation of)

RN 93997-91-2 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-ethyl-3,5-bis(ethylamino)-N6-phenyl- (CA INDEX NAME)

=> fil stnguide

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 195.50 1069.26 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -27.88-44.28

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* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS	2	NOV	21	CAS patent coverage to include exemplified prophetic
				substances identified in English-, French-, German-,
				and Japanese-language basic patents from 2004-present
NEWS	3	NOV	26	MARPAT enhanced with FSORT command
NEWS	4	NOV		CHEMSAFE now available on STN Easy
NEWS	5	NOV	26	Two new SET commands increase convenience of STN searching
NEWS	6	DEC	01	ChemPort single article sales feature unavailable
NEWS	7		12	GBFULL now offers single source for full-text
NEWD	,	DLC	12	coverage of complete UK patent families
NEWS	8	DEC	17	Fifty-one pharmaceutical ingredients added to PS
NEWS	9	JAN		The retention policy for unread STNmail messages
		01111	0.0	will change in 2009 for STN-Columbus and STN-Tokyo
NEWS	10	JAN	0.7	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
112110		01111	0 ,	Classification Data
NEWS	11	FEB	02	Simultaneous left and right truncation (SLART) added
			-	for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS	12	FEB	02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS		FEB		Patent sequence location (PSL) data added to USGENE
NEWS		FEB		COMPENDEX reloaded and enhanced
NEWS	15	FEB	11	WTEXTILES reloaded and enhanced
NEWS	16	FEB	19	New patent-examiner citations in 300,000 CA/CAplus
				patent records provide insights into related prior
				art
NEWS	17	FEB	19	Increase the precision of your patent queries use
				terms from the IPC Thesaurus, Version 2009.01
NEWS	18	FEB	23	Several formats for image display and print options
				discontinued in USPATFULL and USPAT2
NEWS	19	FEB	23	MEDLINE now offers more precise author group fields
				and 2009 MeSH terms
NEWS	20	FEB	23	TOXCENTER updates mirror those of MEDLINE - more
				precise author group fields and 2009 MeSH terms
NEWS	21	FEB	23	Three million new patent records blast AEROSPACE into
				STN patent clusters
NEWS	22	FEB	25	USGENE enhanced with patent family and legal status
				display data from INPADOCDB
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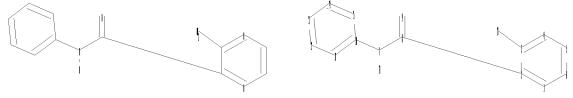
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=>

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chain nodes : 7 8 9 17 18 ring nodes : 1 2 3 4 5 6 10 11 12 13 chain bonds : 2-8 3-18 7-8 7-10 7-17 8-9 ring bonds :  $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 10-11 \quad 10-15 \quad 11-12 \quad 12-13 \quad 13-14 \quad 14-15$ exact/norm bonds : 3-18 7-8 7-10 8-9 exact bonds : 2-8 7-17 normalized bonds :  $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 10-11 \quad 10-15 \quad 11-12 \quad 12-13 \quad 13-14 \quad 14-15$ isolated ring systems : containing 1 : 10 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLASS 18:CLASS

#### L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 18:05:38 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 196 TO ITERATE

100.0% PROCESSED 196 ITERATIONS 13 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 3081 TO 4759
PROJECTED ANSWERS: 44 TO 476

L2 13 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 18:05:43 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 4135 TO ITERATE

100.0% PROCESSED 4135 ITERATIONS 250 ANSWERS

SEARCH TIME: 00.00.01

L3 250 SEA SSS FUL L1

=> d scan

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[5-acetyl-2-(3-amino-1-piperidinyl)phenyl]-3amino-

MF C18 H22 N6 O2

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

### HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):200

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(5,6,7,8,9,10-hexahydro-1,2,4-triazolo[4,3-a]azocin-3-y1)pheny1]-

MF C19 H21 N7 O

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 3-Pyridinepropanoic acid,  $\beta$ -[[[3-[[[3-amino-6-[(aminoiminomethyl)amino]-5-cyano-2-pyrazinyl]carbonyl]amino]phenyl]sulfonyl]amino]-

MF C21 H20 N10 O5 S

CI COM

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(2-hydroxy-4-methylphenyl)-

MF C12 H12 N4 O2

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(6-amino-3,4-dihydro-2,5,7,8-tetramethyl-4-oxo-2H-1-benzopyran-2-yl)methoxy]phenyl]-

MF C25 H27 N5 O4

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)-5-(cyclopropylcarbonyl)phenyl]-

MF C20 H24 N6 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazine carboxamide, 3-amino-N-[3-[[4-(4-ethyl-1-piperazinyl)methyl]-3-ΙN (trifluoromethyl)phenyl]amino]carbonyl]-5-methoxyphenyl]-MF C27 H30 F3 N7 O3

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(1,3-benzodioxol-5-ΙN ylcarbonyl)amino]phenyl]-

MF C19 H15 N5 O4

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

ΙN 2-Pyrazinecarboxamide, 3-amino-N-[5-(aminocarbonyl)-2-(1piperidinyl)phenyl]-

MF C17 H20 N6 O2

COM CI

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

ΙN 2-Pyrazinecarboxamide, N-(3-acetylphenyl)-3-amino-6-[4-[(4-methyl-1piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)

● HCl

- L3
- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)phenyl]-6-(4-ΙN methoxyphenyl)-
- MF C23 H26 N6 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3
- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(4-IN fluorophenyl)sulfonyl]amino]phenyl]-
- C17 H14 F N5 O3 S MF

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-[3-(4-methyl-4H-1,2,4-triazol-3-IN yl)phenyl]-

C14 H13 N7 O MF

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3

ΙN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)-4fluorophenyl]-

C16 H19 F N6 O MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-6-(4-methoxyphenyl)-N-phenyl-IN

MFC18 H16 N4 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-6-(2-fluoro-5-methoxyphenyl)-N-[2-(1-piperazinyl)phenyl]-

MF C22 H23 F N6 O2

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(3-methyl-1-oxobutyl)amino]phenyl]-

MF C16 H19 N5 O2

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(1-methylethyl)phenyl]-

MF C14 H16 N4 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)-5-(trifluoromethyl)phenyl]-
- MF C17 H19 F3 N6 O

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]amino]phenyl]-
- MF C19 H17 N5 O5 S

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(4-methoxyphenyl)amino]phenyl]-
- MF C18 H17 N5 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, 3-amino-N-(4-fluorophenyl)-MF C11 H9 F N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, 3-amino-N,6-diphenyl-MF C17 H14 N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-[4-(1-methylpropyl)phenyl]-ΙN

MFC15 H18 N4 O

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3

2-Pyrazinecarboxamide, 3-amino-N-[4-(diethylamino)phenyl]-IN

MFC15 H19 N5 O

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[5-cyano-2-(1-piperidinyl)phenyl]-, IN 2,2,2-trifluoroacetate (1:?)

MF C17 H18 N6 O .  $\times$  C2 H F3 O2

> CM 1

CM

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-6-bromo-N-(3-methoxyphenyl)-ΙN

C12 H11 Br N4 O2 MF

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS

2-Pyrazinecarboxamide, 3-amino-6-[3-(aminocarbonyl)phenyl]-N-[2-(1- $\frac{1}{2}$ - $\frac{1}{2}$ ΙN piperazinyl)phenyl]-

MFC22 H23 N7 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-chloro-4-[[3-

(methylsulfonyl)benzoyl]amino]phenyl]-

MF C19 H16 C1 N5 O4 S

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-methoxy-3-(3-pyridinylmethoxy)phenyl]-

MF C18 H17 N5 O3

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)-5-

chlorophenyl]-

MF C16 H19 C1 N6 O

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[5-[[3-(1-cyano-1methylethyl)benzoyl]amino]-2-methylphenyl]-

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)-5-methoxyphenyl]-6-phenyl-
- MF C23 H26 N6 O2

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[[(4-ethoxyphenyl)amino]sulfonyl]-4methoxyphenyl]-
- MF C20 H21 N5 O5 S

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-butoxyphenyl)-
- MF C15 H18 N4 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)-4-methylphenyl]-

MF C17 H22 N6 O

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(1-methyl-1H-tetrazol-5-yl)phenyl]-

MF C13 H12 N8 O

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl]-4-fluorophenyl]-5-(2-methoxyethoxy)-

MF C19 H23 F N6 O3 S

Absolute stereochemistry.

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3,4-dimethoxyphenyl)-

MF C13 H14 N4 O3

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(4-methoxyphenyl)-6-[4-[(4-methyl-1-

piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)

MF C23 H26 N6 O4 S . Cl H

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)phenyl]-6-(2-

fluorophenyl)-C22 H23 F N6 O

MF

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 4-Morpholineacetamide, N-[4-[[(3-amino-2-pyraziny1)carbony1]amino]pheny1]-

MF C17 H20 N6 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[2-(ethylamino)-2-oxoethoxy]phenyl]-

MF C15 H17 N5 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)phenyl]-MF C16 H20 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(aminocarbonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-

MF C23 H25 N7 O4 S

CI COM

$$\begin{array}{c|c} O \\ H_2N-C \\ \hline \\ NH \\ C \\ \hline \\ O \\ N \\ \end{array}$$

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-6-(1-naphthalenyl)-N-[2-(1-piperazinyl)phenyl]-

MF C25 H24 N6 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-[4-(2-benzothiazolylmethyl)phenyl]-IN

MFC19 H15 N5 O S

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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INDEX NAME NOT YET ASSIGNED IN

C13 H12 C1 N5 O2 MF

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)-5-IN methylphenyl]-

MF C17 H22 N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Thieno[2,3-b]quinoline-2-carboxamide,
   N-[(1S)-2-amino-1-[3-[[(3-amino-2-pyrazinyl)carbonyl]amino]phenyl]ethyl]-6 (1,1-dimethylpropyl)-5,6,7,8-tetrahydro-, (6S)MF C30 H35 N7 O2 S

Absolute stereochemistry.

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[5-benzoyl-2-(1-piperazinyl)phenyl]-6-(4-methoxyphenyl)-
- MF C29 H28 N6 O3

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(2-hydroxyphenyl)-

MF C11 H10 N4 O2

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(4-chlorophenyl)-

MF C11 H9 C1 N4 O

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-5-

benzoylphenyl]-

MF C23 H24 N6 O2

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-methoxy-5-[[[3-

(trifluoromethy1)pheny1]amino]carbony1]pheny1]C20 H16 F3 N5 O3

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[(2,2,2-

trifluoroethyl)amino]carbonyl]phenyl]-

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3,5-dimethoxyphenyl)-

MF C13 H14 N4 O3

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(2-bromophenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)

MF C22 H23 Br N6 O3 S . Cl H

● HCl

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)phenyl]-6-ΙN methyl-

C17 H22 N6 O MF

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-[4-(4-morpholinyl)phenyl]-IN

MFC15 H17 N5 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C29 H30 N6 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)-5-(1H-pyrazol-3-yl)phenyl]-

MF C19 H22 N8 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-(3-acetylphenyl)-3-amino-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-

MF C24 H26 N6 O4 S

CI COM

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)phenyl]-6-(2-fluoro-5-methoxyphenyl)-

MF C23 H25 F N6 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-methyl-4-(2-oxo-1-pyrrolidinyl)phenyl]-

MF C16 H17 N5 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3-methylphenyl)-

MF C12 H12 N4 O

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)-5-methoxyphenyl]-

MF C17 H22 N6 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(4-ethyl-1-piperazinyl)-3-methylphenyl]-

MF C18 H24 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C21 H20 N10 O5 S . C2 H F3 O2

CM 1

CM 2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(1-methylethyl)phenyl]-

MF C14 H16 N4 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[6-amino-3,4-dihydro-4-(methoxyimino)-

2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]-

MF C26 H30 N6 O4

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[5-(cyclopropylcarbonyl)-2-(1-

piperazinyl)phenyl]-

MF C19 H22 N6 O2

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-methyl-4-(1-pyrrolidinyl)phenyl]-

MF C16 H19 N5 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(4-fluorophenyl)methoxy]phenyl]-

MF C18 H15 F N4 O2

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[5-(aminocarbonyl)-2-(1-piperidinyl)phenyl]-6-bromo-

MF C17 H19 Br N6 O2

$$\begin{array}{c|c} O & NH2 \\ H_2N-C & NH-C & N\\ N & N & N \end{array}$$

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-6-[4-[(4-methyl-1piperazinyl)sulfonyl]phenyl]-N-[3-(trifluoromethyl)phenyl]-, hydrochloride
(1:1)

MF C23 H23 F3 N6 O3 S . C1 H

● HCl

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-6-phenyl-N-[2-(1-piperazinyl)phenyl]-

MF C21 H22 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(4-tricyclo[3.3.1.13,7]dec-1-ylphenyl)-

MF C21 H24 N4 O

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3

2-Pyrazinecarboxamide, 3-amino-N-(2-aminophenyl)-6-(4-hydroxy-3-ΙN methoxyphenyl)-

MF C18 H17 N5 O3

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)-5-ΙN methoxyphenyl]-6-bromo-

C17 H21 Br N6 O2 MF

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 REGISTRY COPYRIGHT 2009 ACS on STN 250 ANSWERS

2-Pyrazinecarboxamide, 3-amino-N-[3-[(3,4-dihydro-1(2H)-IN quinolinyl)sulfonyl]phenyl]-

MF C20 H19 N5 O3 S

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)phenyl]-6-phenyl-

MF C22 H24 N6 O

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3,4-dimethylphenyl)-

MF C13 H14 N4 O

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(2-ethoxyphenyl)-

MF C13 H14 N4 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)-5-(trifluoromethyl)phenyl]-

MF C17 H19 F3 N6 O

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(4-imidazo[1,2-a]pyridin-2-ylphenyl)-

MF C18 H14 N6 O

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(hexahydro-1H-azepin-1-yl)phenyl]-

MF C17 H21 N5 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(3-pyridinylmethyl)thio]phenyl]-

MF C17 H15 N5 O S

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 6-Quinolinecarboxamide, 4-amino-N-[4-[[(3-amino-2-

pyrazinyl)carbonyl]amino]phenyl]-2-methyl-

MF C22 H19 N7 O2

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Carbamic acid, N-[1-[2-[[(3-amino-6-bromo-2-pyrazinyl)carbonyl]amino]-4methoxyphenyl]-4-piperidinyl]-, 1,1-dimethylethyl ester

MF C22 H29 Br N6 O4

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(4-phenoxyphenyl)-

MF C17 H14 N4 O2

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(cyclopentyloxy)phenyl]-

MF C16 H18 N4 O2

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)phenyl]-

MF C16 H20 N6 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3-methoxyphenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-

MF C23 H26 N6 O4 S

CI COM

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-6-(4-methoxyphenyl)-N-[2-(1-piperazinyl)phenyl]-

MF C22 H24 N6 O2

250 ANSWERS L3 REGISTRY COPYRIGHT 2009 ACS on STN

 $2-Pyrazine carboxamide, \ 3-amino-N-[5-[[(3-chlorophenyl)amino]sulfonyl]-2-[(3-chlor$ ΙN hydroxyphenyl]-

C17 H14 C1 N5 O4 S MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3

ΙN INDEX NAME NOT YET ASSIGNED

MFC11 H9 F N4 O

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)-5-IN chlorophenyl]-

MFC16 H19 C1 N6 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-5-[(3S)-4-[1-[(2-amino-6-chloro-3pyridinyl)carbonyl]-4-piperidinyl]-3-ethyl-1-piperazinyl]-6-chloro-N-(4chlorophenyl)-

MF C28 H32 C13 N9 O2

Absolute stereochemistry.

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[5-benzoyl-2-(1-piperazinyl)phenyl]-6-(2-fluorophenyl)-

MF C28 H25 F N6 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, 3-amino-N-(3-ethylphenyl)-

MF C13 H14 N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-6-bromo-N-phenyl-

MF C11 H9 Br N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)-5-cyanophenyl]-

MF C17 H19 N7 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-imidazo[2,1-b]thiazol-6-ylphenyl)-
- MF C16 H12 N6 O S

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl]-4-fluorophenyl]-5-methoxy-
- MF C17 H19 F N6 O2 S

Absolute stereochemistry.

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(1H-benzimidazol-1-yl)phenyl]-
- MF C18 H14 N6 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(aminocarbonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)

MF C23 H25 N7 O4 S . Cl H

# ● HCl

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)phenyl]-6-(4-methoxyphenyl)-

MF C23 H26 N6 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(phenylmethoxy)phenyl]-

MF C18 H16 N4 O2

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[2-(dimethylamino)-2-oxoethoxy]phenyl]-

MF C15 H17 N5 O3

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)phenyl]-6-bromo-

MF C16 H19 Br N6 O

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-(3-cyanophenyl)-6-[4-[(4-methyl-1-ΙN piperazinyl)sulfonyl]phenyl]-

C23 H23 N7 O3 S MF

CI COM

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-6-(2-methoxy-3-pyridinyl)-N-[2-(1- $^{\circ}$ ΙN piperazinyl)phenyl]-

C21 H23 N7 O2 MF

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS

2-Pyrazinecarboxamide, 3-amino-N-[4-(2-methoxyphenoxy)phenyl]-IN

C18 H16 N4 O3 MF

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3,5-diamino-6-chloro-N-phenyl-

MF C11 H10 Cl N5 O

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[5-acetyl-2-(1-piperazinyl)phenyl]-3-amino-

MF C17 H20 N6 O2

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Thieno[2,3-b]quinoline-2-carboxamide,
 N-[(1S)-2-amino-1-[3-[[(3-amino-2-pyrazinyl)carbonyl]amino]phenyl]ethyl]-6 (1,1-dimethylethyl)-

MF C29 H29 N7 O2 S

Absolute stereochemistry.

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-6-[3-(4-morpholinylcarbonyl)phenyl]-N-[2-(1-piperazinyl)phenyl]-

MF C26 H29 N7 O3

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-methoxy-4-(2-oxo-1-pyrrolidinyl)phenyl]-

MF C16 H17 N5 O3

REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS

2-Pyrazinecarboxamide, 3-amino-N-(2-chlorophenyl)-IN

MFC11 H9 C1 N4 O

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)-5-ΙN (methylsulfonyl)phenyl]-

C17 H22 N6 O3 S MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-[2-methyl-5-[[[3-ΙN (trifluoromethyl)phenyl]amino]carbonyl]phenyl]-

C20 H16 F3 N5 O2 MF

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(4-ethoxyphenoxy)phenyl]-

MF C19 H18 N4 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[2-[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]-2-oxoethyl]phenyl]-

MF C20 H22 N6 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3-bromophenyl)-6-[4-[(4-methyl-1- $\frac{1}{2}$ )]

piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)

MF C22 H23 Br N6 O3 S . Cl H

● HCl

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)phenyl]-6-(3furanyl)-

MF C20 H22 N6 O2

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[2-(2-pyridinyl)ethenyl]phenyl]-

MF C18 H15 N5 O

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazine carboxamide, 3-amino-N-[3-(2-methyl-4-pyrimidinyl)phenyl]-

MF C16 H14 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3

2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)-5-ΙN fluorophenyl]-

C16 H19 F N6 O MF

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-6-[4-[(4-methyl-1-  $^{\circ}$ INpiperazinyl)sulfonyl]phenyl]-N-[3-(trifluoromethyl)phenyl]-

C23 H23 F3 N6 O3 S MF

CI COM

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)phenyl]-6-IN(3,4,5-trimethoxyphenyl)-

C25 H30 N6 O4 MF

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-[4-(1,1-dimethylethyl)phenyl]-ΙN

C15 H18 N4 O MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3

2-Pyrazinecarboxamide, 3-amino-N-(4-methylphenyl)-IN

C12 H12 N4 O MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)-5-ΙN methoxyphenyl]-

C17 H22 N6 O2 MF

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl)phenyl]-5-methoxy-

MF C17 H20 N6 O2 S

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C16 H21 N5 O

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(methylthio)phenyl]-

MF C12 H12 N4 O S

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, 3-amino-N-(2-aminophenyl)-

MF C11 H11 N5 O

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Carbamic acid, N-[1-[2-[[(3-amino-6-bromo-2-pyrazinyl)carbonyl]amino]-4-benzoylphenyl]-4-piperidinyl]-, 1,1-dimethylethyl ester

MF C28 H31 Br N6 O4

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3-chloro-4-methylphenyl)-

MF C12 H11 Cl N4 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-[4-(2-methyl-4-oxazolyl)phenyl]-ΙN

MFC15 H13 N5 O2

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS

2-Pyrazinecarboxamide, 3-amino-N-[5-(aminocarbonyl)-2-(1-ΙN

piperidinyl)phenyl]-, 2,2,2-trifluoroacetate (1:?)
C17 H20 N6 O2 . x C2 H F3 O2

MF

CM 1

$$\begin{array}{c|c} O & O & NH_2 \\ H_2N-C & NH-C & N \\ \hline & N & N \\ \end{array}$$

CM 2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

ΙN 2-Pyrazinecarboxamide, N-[3-(acetylamino)phenyl]-3-amino-6-[4-[(4-methyl-1piperazinyl)sulfonyl]phenyl]C24 H27 N7 O4 S

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-6-(2-fluorophenyl)-N-[2-(1- $\frac{1}{2}$ )-N-[2-(1- $\frac{1}{2}$ 

piperazinyl)phenyl]-

MF C21 H21 F N6 O

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-methyl-4-(1-pyrrolidinyl)phenyl]-

MF C16 H19 N5 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-[(dimethylamino)methyl]phenyl]-

MF C14 H17 N5 O

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)-5-methoxyphenyl]-6-bromo-

MF C17 H21 Br N6 O2

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS

2-Pyrazinecarboxamide, 3-amino-N-[4-[[[5-(1,1-dimethylethyl)-3-(1,1-dimethylethyl)]]ΙN

isoxazolyl]amino]carbonyl]amino]phenyl]-

MF C19 H21 N7 O3

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)-5-ΙN benzoylphenyl]-6-phenyl-

C29 H28 N6 O2 MF

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS

2-Pyrazinecarboxamide, 3-amino-N-(4-ethylphenyl)-ΙN

MFC13 H14 N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3-ethoxyphenyl)-

MF C13 H14 N4 O2

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-methyl-2-(1-piperazinyl)phenyl]-

MF C16 H20 N6 O

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(trifluoromethoxy)phenyl]-

MF C12 H9 F3 N4 O2

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(dimethylamino)sulfonyl]phenyl]-

MF C13 H15 N5 O3 S

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3,5-dimethylphenyl)-

MF C13 H14 N4 O

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3-nitrophenyl)-6-[4-(1-

pyrrolidinylsulfonyl)phenyl]-

MF C21 H20 N6 O5 S

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)phenyl]-6-phenyl-

MF C22 H24 N6 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-methoxy-3-(4-methoxyphenoxy)phenyl]-

MF C19 H18 N4 O4

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(2-methyl-1-oxopropyl)amino]phenyl]-

MF C15 H17 N5 O2

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-[(3S)-3-amino-1-piperidinyl]phenyl]-

MF C16 H20 N6 O

Absolute stereochemistry.

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(4-methoxyphenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-

MF C23 H26 N6 O4 S

CI COM

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-6-(2-phenoxyphenyl)-N-[2-(1-piperazinyl)phenyl]-

MF C27 H26 N6 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[3-(acetylamino)-4-fluorophenyl]-3-amino-

MF C13 H12 F N5 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C15 H17 N5 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[5-methyl-2-(1-piperazinyl)phenyl]-

MF C16 H20 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Thieno[2,3-b]quinoline-2-carboxamide, N-[(1S)-2-amino-1-[3-[[(3-amino-2-pyrazinyl)carbonyl]amino]phenyl]ethyl]-6-(1,1-dimethylethyl)-5,6,7,8-tetrahydro-, (6R)-

MF C29 H33 N7 O2 S

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)-5benzoylphenyl]-6-(2-fluorophenyl)-

MF C29 H27 F N6 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-[4-(4-methylphenoxy)phenyl]-ΙN

C18 H16 N4 O2 MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

REGISTRY COPYRIGHT 2009 ACS on STN 250 ANSWERS L3

2-Pyrazinecarboxamide, 3-amino-6-bromo-N-(2-methylphenyl)-IN

C12 H11 Br N4 O MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)-5-IN benzoylphenyl]-

MFC23 H24 N6 O2

REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(1-cyclopropyl-1H-tetrazol-5yl)phenyl]-

C15 H14 N8 O MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3

2-Pyrazinecarboxamide, 3-amino-N-[4-(2-amino-2-oxoethoxy)phenyl]-IN

MFC13 H13 N5 O3

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[3-(methylthio)phenyl]-ΙN

MF C12 H12 N4 O S

REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS

ΙN 2- Pyrazine carboxamide, 3-amino-N-[3-(aminocarbonyl)phenyl]-6-[4-[(4-methyl

1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)

MF $C23\ H25\ N7\ O4\ S$  .  $C1\ H$ 

$$H_2N-C$$
 $NH$ 
 $C$ 
 $O$ 
 $NH$ 
 # ● HCl

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)phenyl]-6-IN methyl-

MF C17 H22 N6 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(4-pentylphenyl)-

MF C16 H20 N4 O

$$\begin{array}{c|c} N & O \\ N & C - NH \end{array}$$

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[(tetrahydro-2-

furanyl)carbonyl]amino]phenyl]-

MF C16 H17 N5 O3

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)phenyl]-6-bromo-

MF C16 H19 Br N6 O

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(2-bromophenyl)-6-[4-[(4-methyl-1-

piperazinyl)sulfonyl]phenyl]-MF C22 H23 Br N6 O3 S CI COM

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-6-(2-methoxy-5-pyrimidinyl)-N-[2-(1-  $^{\circ}$ ΙN piperazinyl)phenyl]-

MF C20 H22 N8 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS

2-Pyrazinecarboxamide, 3-amino-N-(4-propylphenyl)-IN

C14 H16 N4 O MF

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-phenyl-ΙN

C11 H10 N4 O MF

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3

2-Pyrazinecarboxamide, N-[5-acetyl-2-(4-amino-1-piperidinyl)phenyl]-3-ΙN amino-

MFC18 H22 N6 O2

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[4-methoxy-3-(phenylmethyl)phenyl]-ΙN

C19 H18 N4 O2 MF

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

INDEX NAME NOT YET ASSIGNED ΙN

MF C32 H38 N10 O9 S

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

ΙN 2-Pyrazinecarboxamide, 3-amino-N-[3-(2-amino-2-oxoethoxy)phenyl]-

MFC13 H13 N5 O3

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-1]]ΙN isoquinolinyl)ethyl]phenyl]-

MF C24 H27 N5 O3

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)-5-(cyclopropylcarbonyl)phenyl]-
- MF C20 H24 N6 O2

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[5-[[[4-[(4-ethyl-1-piperazinyl)methyl]-3-(trifluoromethyl)phenyl]amino]carbonyl]-2-methylphenyl]-
- MF C27 H30 F3 N7 O2

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-methoxyphenyl)-
- MF C12 H12 N4 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(tetrahydro-2-furanyl)methoxy]phenyl]-

MF C16 H18 N4 O3

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3-methoxyphenyl)-6-[4-[(4-methyl-1-

piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)

MF C23 H26 N6 O4 S . Cl H

● HCl

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-6-[3-(aminocarbonyl)phenyl]-N-[2-(4-amino-1-piperidinyl)phenyl]-

MF C23 H25 N7 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(cyclopropylamino)carbonyl]phenyl]-

MF C15 H15 N5 O2

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(1H-imidazol-1-ylmethyl)phenyl]-

MF C15 H14 N6 O

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)-6-fluorophenyl]-

MF C16 H19 F N6 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(2-methoxyphenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-

MF C23 H26 N6 O4 S

CI COM

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(1-piperazinyl)phenyl]-6-(3,4,5-trimethoxyphenyl)-

MF C24 H28 N6 O4

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-[4-(2-chlorophenoxy)phenyl]-ΙN

C17 H13 C1 N4 O2 MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3

2-Pyrazinecarboxamide, 3-amino-N-[2-(1-methylethyl)phenyl]-IN

MFC14 H16 N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

# HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(1-piperaziny1)-5-ΙN (trifluoromethyl)phenyl]-

MF C16 H17 F3 N6 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(4-amino-3,5-dichlorophenyl)-

MF C11 H9 C12 N5 O

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(1-piperidinyl)phenyl]-

MF C16 H19 N5 O

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3,4,5-trimethoxyphenyl)-

MF C14 H16 N4 O4

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[2-[(1-naphthalenylmethyl)amino]-2-oxoethyl]phenyl]-

MF C24 H21 N5 O2

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PAGE 2-A

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(phenylamino)phenyl]-

MF C17 H15 N5 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3-ethynylphenyl)-

MF C13 H10 N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[5-cyano-2-(1-piperidinyl)phenyl]-

MF C17 H18 N6 O

CI COM

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(aminosulfonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-

MF C22 H25 N7 O5 S2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):100

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-6-(3-furanyl)-N-[2-(1-piperazinyl)phenyl]-

MF C19 H20 N6 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3-chloro-4-fluorophenyl)-

MF C11 H8 C1 F N4 O

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[1-oxo-2-(1H-1,2,4-triazol-1-

yl)propyl]amino]phenyl]-

MF C16 H16 N8 O2

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[5-chloro-2-(1-piperazinyl)phenyl]-

MF C15 H17 C1 N6 O

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-phenyl-ΙN C11 H9 C1 N4 O MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS

ΙN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)-5benzoylphenyl]-6-phenyl-

C29 H28 N6 O2 MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-(3-chloro-4-methoxyphenyl)-ΙN

C12 H11 C1 N4 O2 MF

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-(4-ethoxyphenyl)-

MF C13 H14 N4 O2

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)-4-methylphenyl]-

MF C17 H22 N6 O

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(2,2,2-trifluoroethoxy)phenyl]-

MF C13 H11 F3 N4 O2

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3

 $2- Pyrazine carboxamide, \ 3-amino-N-[3-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-methy$ ΙN 1,3-thiazin-4-yl]phenyl]-5-methoxy-

MFC17 H20 N6 O2 S

Absolute stereochemistry.

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS

2-Pyrazinecarboxamide, 3-amino-N-(3-fluoro-4-methylphenyl)-ΙN

C12 H11 F N4 O MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-(2-methoxyphenyl)-6-[4-[(4-methyl-1-ΙN piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)

MF  $\text{C23}\ \text{H26}\ \text{N6}\ \text{O4}\ \text{S}$  .  $\text{C1}\ \text{H}$ 

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-6-[3-(aminocarbonyl)phenyl]-N-[2-(3-amino-1-piperidinyl)phenyl]-

MF C23 H25 N7 O2

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(butylamino)sulfonyl]phenyl]-

MF C15 H19 N5 O3 S

$$\begin{array}{c|c} NH_2 & O & O \\ N & C - NH & O \\ N & O \\ \end{array}$$

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[[(3,4-

dimethylphenyl)sulfonyl]amino]phenyl]-

MF C19 H19 N5 O3 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(1-piperazinyl)phenyl]-

MF C15 H18 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(aminocarbonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-

MF C23 H25 N7 O4 S

CI COM

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-6-(3-methylphenyl)-N-[2-(1-piperazinyl)phenyl]-

MF C22 H24 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

MF C20 H19 N5 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C13 H14 N6 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)-5methylphenyl]-

MF C17 H22 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Thieno[2,3-b]quinoline-2-carboxamide, N-[(1S)-2-amino-1-[3-[[(3-amino-2-pyrazinyl)carbonyl]amino]phenyl]-6-(1,1-dimethylpropyl)-5,6,7,8-tetrahydro-, (6R)-

MF C30 H35 N7 O2 S

Absolute stereochemistry.

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-[5-benzoyl-2-(1-piperazinyl)phenyl]-6-ΙN methyl-

C23 H24 N6 O2 MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(dimethylamino)sulfonyl]-4-ΙN

methoxyphenyl]-MF C14 H17 N5 O4 S

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS

2-Pyrazinecarboxamide, 3-amino-N-[4-(2-phenylethoxy)phenyl]-ΙN

MFC19 H18 N4 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[5-benzoyl-2-(1-piperazinyl)phenyl]-

MF C22 H22 N6 O2

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(2,3-difluorophenyl)-

MF C11 H8 F2 N4 O

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(4-bromo-3-methylphenyl)-

MF C12 H11 Br N4 O

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(4-butylphenyl)-

MF C15 H18 N4 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3-cyanophenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)

MF C23 H23 N7 O3 S . Cl H

● HCl

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)phenyl]-6-(2-fluorophenyl)-

MF C22 H23 F N6 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(2,2-dimethyl-1-

oxopropyl)amino]phenyl]-

MF C16 H19 N5 O2

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C22 H19 N7 O3

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-6-bromo-N-[2-(1-piperazinyl)phenyl]-

MF C15 H17 Br N6 O

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3-bromophenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-

C22 H23 Br N6 O3 S MFCI COM

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)phenyl]-6-[3-(4-amino-1-piperid ΙN morpholinylcarbonyl)phenyl]-

C27 H31 N7 O3 MF

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS

2-Pyrazinecarboxamide, N-[3-(acetylamino)-4-methoxyphenyl]-3-amino-IN

C14 H15 N5 O3 MF

REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS 2-Pyrazinecarboxamide, 3-amino-N-(2-methylphenyl)-TNC12 H12 N4 O MF

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

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SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 187.32 187.54

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FULL ESTIMATED COST

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L1 STRUCTURE UPLOADED

L2 13 S L1 SSS SAM L3 250 S L1 SSS FULL

FILE 'STNGUIDE' ENTERED AT 18:07:57 ON 25 FEB 2009

FILE 'CAPLUS' ENTERED AT 18:12:37 ON 25 FEB 2009

=> s 13 and (pry<2005)

39 L3

4600131 PRY<2005

L4 17 L3 AND (PRY<2005)

=> d 1-17 ibib abs hitstr

L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:30413 CAPLUS

DOCUMENT NUMBER: 144:129001

TITLE: Preparation of azine-carboxamides as anti-cancer

agents

INVENTOR(S): Aquila, Brian; Ioannidis, Stephanos; Lyne, Paul;

Pontz, Timothy

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006003378	 A1	20060112	WO 2005-GB2522	20050629 <
W: AE, AG,		, AU, AZ, BA	, BB, BG, BR, BW,	BY, BZ, CA, CH,
CN, CO,	CR, CU, CZ	, DE, DK, DM	, DZ, EC, EE, EG,	ES, FI, GB, GD,
GE, GH,	GM, HR, HU	, ID, IL, IN	, IS, JP, KE, KG,	KM, KP, KR, KZ,
LC, LK,	LR, LS, LT	, LU, LV, MA	, MD, MG, MK, MN,	MW, MX, MZ, NA,
NG, NI,	NO, NZ, OM	I, PG, PH, PL	, PT, RO, RU, SC,	SD, SE, SG, SK,
SL, SM,	SY, TJ, TM	I, TN, TR, TT	, TZ, UA, UG, US,	UZ, VC, VN, YU,

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ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,
             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,
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     AU 2005258996
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                                                                      20050629 <--
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                                 20060112
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                                                                      20050629 <--
     EP 1765790
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                                 20070328
                                              EP 2005-755467
                                                                      20050629 <--
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             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV
                                 20070822
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     BR 2005012796
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                                              BR 2005-12796
                           Α
     US 20070259849
                                 20071108
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                                                                      20061205 <--
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     NO 2007000566
                                 20070130
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                                              KR 2007-702599
                                 20070314
                                                                      20070201 <--
     KR 2007029837
                           Α
PRIORITY APPLN. INFO.:
                                              US 2004-584129P
                                                                   Ρ
                                                                      20040701 <--
                                              WO 2005-GB2522
                                                                   W
                                                                      20050629
OTHER SOURCE(S):
                         CASREACT 144:129001; MARPAT 144:129001
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$$\begin{bmatrix} \mathbb{R}^{1} \\ \mathbb{R}^{1} \end{bmatrix}_{n} = \begin{bmatrix} \mathbb{R}^{2} \\ \mathbb{N} \\ \mathbb{N} \\ \mathbb{N} \end{bmatrix}_{H} = \begin{bmatrix} \mathbb{R}^{2} \\ \mathbb{N}^{1} \\ \mathbb{N}^{2} \\ \mathbb{N}^{2} \\ \mathbb{N}^{3} \end{bmatrix}_{1}$$

$$\begin{bmatrix} \mathbb{R}^{1} \\ \mathbb{R}^{1} \\ \mathbb{N} $

AB The title compds. I [ring A = (un) substituted carbocyclyl, heterocyclyl; R1 = halo, NO2, CN, etc.; R2 = H, halo, NO2, etc.; X1 = N and X2-X5 =CR12; or two of X1-X5 = N and the other X1-X5 = CR12; n = 0-4; R12 = H, halo, NO2, etc.] which possess B-Raf inhibitory activity and are accordingly useful for their anti cancer activity and thus in methods of treatment of the human or animal body, were prepared Thus, reacting N-(3-amino-4-methylphenyl)-3-(1-cyano-1-methylethyl)benzamide with 6-methyl-2-(piperidin-1-yl)pyrimidine-4-carboxylic acid (prepns. given) in the presence of HATU and DIEA in DMF afforded II which showed IC50 of 5.7 $\mu\text{M}$  when tested in B-Raf in vitro ELISA assay. The invention also relates to processes for the manufacture of said compds. I, to pharmaceutical compns. containing them and to their use in the manufacture of medicaments of

Me

in the production of an anti-cancer effect in a warm blooded animal such as  $\operatorname{man.}$ 

IT 873449-35-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of azine-carboxamides as B-Raf inhibitors for treating cancer)

RN 873449-35-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[5-[[3-(1-cyano-1-methylethyl)benzoyl]amino]-2-methylphenyl]- (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:962046 CAPLUS

DOCUMENT NUMBER: 143:266952

TITLE: Preparation of bipyridyl amides as modulators of

metabotropic glutamate receptor-5

INVENTOR(S): Bonnefous, Celine; Kamenecka, Theodore M.; Vernier,

Jean-Michel

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	PATENT NO.									APPLICATION NO.						DATE			
WO	2005	0798	02		A1		2005	0901	,	WO 2	005-	US39	52		2	0050	209 <		
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		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
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		MR,	ΝE,	SN,	TD,	ΤG													
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EP	1715				A1			1102									209 <		
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	1933				А			0321		-	005-		_				209 <		
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	2006	-	-		A			0713				_	-				727 <		
							2007	0628	8 US 2006-589407										
PRIORIT	Y APP	LN.	INFO	.:						US 2	004-	5446.	27P		P 2	0040	212 <		

AB The title compds. I [X = N, C; Y = N, C, C(halo); R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, aryl, etc.; R3 = aryl, halo, alkyl, etc.; R2 and R3 may be joined together with the atoms to which they are attached to form a (un)saturated 4-7 membered ring containing 0-2 heteroatoms selected from

O, S and N; R4 = aryl, heteroaryl, halo, etc.] which are mGluR5 modulators useful in the treatment or prevention of diseases and conditions in which mGluR5 is involved, including but not limited to psychiatric and mood disorders such as schizophrenia, anxiety, depression, bipolar disorders, and panic, as well as in the treatment of pain, Parkinson's disease, cognitive dysfunction, epilepsy, circadian rhythm and sleep disorders, such as shift-work induced sleep disorder and jet-lag, drug addiction, drug abuse, drug withdrawal, obesity and other diseases, were prepared Thus, amidation of pyridin-2-amine with 3-amino-5,6-diphenylpyrazine-2-carboxylic acid afforded the amide II. The exemplified compds. I have mGluR5 inhibitory activity as shown by inhibition at 10  $\mu\text{M}$  or less in the calcium flux assay or 100  $\mu\text{M}$  or less or less in the PI assay. The invention is also directed to pharmaceutical compns. comprising compds. I.

IT 863908-38-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bipyridyl amides as modulators of metabotropic glutamate receptor-5)

RN 863908-38-7 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-phenyl- (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:470258 CAPLUS

DOCUMENT NUMBER: 143:1330

TITLE: Amide derivatives as kinase modulators, and their

therapeutic use

INVENTOR(S): Mehta, Shamal A.; Grotzfeld, Robert M.; Milanov,

Zdravko V.; Andiliy, Lai G.; Patel, Hitesh K.;

Lockhart, David J.

PATENT ASSIGNEE(S): Ambit Biosciences Corporation, USA

SOURCE: PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA:	PATENT NO.									APPLICATION NO.						DATE			
	2005 2005				A2 A3		2005 2006			WO 2	004-	US38	 433		2	0041	115	<	
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US US US US	US 20050165024 US 20050165074				A1 A1 A1 A1		2005 2005 2005 2005 2005	0728 0728 0728 0728		US 2 US 2 US 2 US 2	004- 004- 004- 004- 004-	9898 9898 9900 9897	14 24 07 66		2 2 2 2	0041 0041 0041 0041 0041	115 115 115 115	< < <	
US US US	2005 2005 2005 2005	20050171172 20050192314 20050197371 20050261315 20050267182 APPLN. INFO.:			A1 A1 A1 A1 A1		2005 2005 2005 2005 2005 2005	0901 0908 1124		US 2004-989823 US 2004-990195 US 2004-990194 US 2004-989623 US 2004-989717 US 2003-520273P					20041115 <- 20041115 <- 20041115 <- 20041115 <- 20041115 <- P 20031113 <-			< < <	
NELLED CA	WIED COUDOR (C)				Ma D	D.T. III	1.40	1220		US 2	003- 003- 003-	5310	82P		P 2	0031 0031 0031	218	<	

OTHER SOURCE(S): MARPAT 143:1330

AB The invention provides methods and compns. for treating conditions mediated by various kinases wherein derivs. of amide compds. are employed. The invention also provides methods of using the compds. and/or compns. in the treatment of a variety of diseases and unwanted conditions in subjects. Preparation of N-(3-tert-butylisoxazol-5-yl)-2-[4-(benzyloxy)phenyl]acetamide is described.

IT 1044667-69-7

RL: PRPH (Prophetic)

(Amide derivatives as kinase modulators, and their therapeutic use)

RN 1044667-69-7 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[4-[2-[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]-2-oxoethyl]phenyl]- (CA INDEX NAME)

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:470256 CAPLUS

DOCUMENT NUMBER: 143:20052

TITLE: Urea derivatives as kinase modulators

INVENTOR(S): Milanov, Zdravko V.; Patel, Hitesh K.; Grotzfeld,

Robert M.; Mehta, Shamal A.; Andiliy, Lai G.;

Lockhart, David J.

PATENT ASSIGNEE(S): Ambit Biosciences Corporation, USA

SOURCE: PCT Int. Appl., 350 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

			DATE			
WO 2005048948		WO 2004-US38288				
CN, CO, CR GE, GH, GM LK, LR, LS NO, NZ, OM TJ, TM, TN RW: BW, GH, GM AZ, BY, KG EE, ES, FI	CU, CZ, DE, DK, HR, HU, ID, IL, LT, LU, LV, MA, PG, PH, PL, PT, TT, TZ, UA, KE, LS, MW, MZ, KZ, MD, RU, TJ, FR, GB, GR, HU, TR, BF, BJ, CF	BA, BB, BG, BR, BW, DM, DZ, EC, EE, EG, IN, IS, JP, KE, KG, MD, MG, MK, MN, MW, RO, RU, SC, SD, SE, UG, US, UZ, VC, VN, NA, SD, SL, SZ, TZ, TM, AT, BE, BG, CH, IE, IS, IT, LU, MC, CG, CI, CM, GA, GN,	ES, FI, GB, GD, KP, KR, KZ, LC, MX, MZ, NA, NI, SG, SK, SL, SY, YU, ZA, ZM, ZW UG, ZM, ZW, AM, CY, CZ, DE, DK, NL, PL, PT, RO,			
CA 2545711 US 20050148605 US 20050165031 US 20050165024 US 20050165074 US 20050171171 US 20050171172 US 20050192314 US 20050197371 US 20050261315 US 20050267182 EP 1684762 R: AT, BE, CH IE, SI, FI	A1 20050707 A1 20050707 A1 20050725 A1 20050725 A1 20050806 A1 20050907 A1 20050907 A1 20051126 A1 20051207 A1 20060807 A1 20060807 A1 DE, DK, ES, FR	CA 2004-2545711 US 2004-989745 US 2004-989814 US 2004-989824 US 2004-989766 US 2004-989823 US 2004-990195 US 2004-990194 US 2004-989623 US 2004-989623 US 2004-989623 US 2004-811122 GB, GR, IT, LI, LU, CZ, EE, HU, PL, SK, JP 2006-539991	20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 < 20041115 <			

OTHER SOURCE(S): MARPAT 143:20052

AB The invention provides methods and compns. for treating conditions mediated by various kinases wherein derivs. of urea compds. are employed. The invention also provides methods of using the compds. and/or compns. in the treatment of a variety of diseases and unwanted conditions in subjects such as cellular proliferative disorders.

IT 852668-84-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(urea derivs. as kinase modulators for treatment of cellular proliferative disorders)

RN 852668-84-9 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:182640 CAPLUS

DOCUMENT NUMBER: 142:280220

TITLE: Preparation of quinazoline-2,4(1H,3H)-dione

derivatives as gonadotropin-releasing hormone

antagonists

INVENTOR(S): Hamamura, Kazumasa; Oda, Tsuneo; Kusaka, Masami;

Kanzaki, Naoyuki

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 541 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATEN	IT N	Ю.			KIN	D :	DATE		1	APPL:	ICAT	ION I		DATE 				
WO 20		1918			A1	-	2005	0303	1	WO 2	004-	 JP12:	 322		20040820 <-			
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R	: WS	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	AM,	
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JP 20	050	972	76		Α		2005	0414		JP 2004-241721					20040820 <			

EP 1657238 20060517 EP 2004-772278 20040820 <--Α1 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK US 20070010537 20070111 US 2006-569391 20060222 <--Α1 PRIORITY APPLN. INFO.: A 20030822 <--JP 2003-298637 WO 2004-JP12322 W 20040820 <--

OTHER SOURCE(S): MARPAT 142:280220

$$\begin{bmatrix} R^1 \\ N \\ N \\ N \\ X^1 \\ X^2 \end{bmatrix}$$

The title quinazoline-2,4(1H,3H)-dione derivs. I [wherein R1 = H or (un)substituted hydrocarbyl; ring A = (un)substituted aromatic 6-membered ring; ring B = (un)substituted (hetero)cyclyl; W = O or S; X1 and X2 = independently H, (un)substituted hydrocarbyl, or heterocyclyl; or X1 and X2 together form =0, =S, or (un)substituted =NH; Y = a bond or (un)substituted alkylene], or salts or prodrugs thereof are prepared as gonadotropin-releasing hormone antagonists. For example, the compound II was prepared in a multi-step synthesis. I inhibited 75.4-99.9% of human gonadotropin releasing hormone at the concentration of 10 nM. I are useful for the treatment of prostatic hyperplasia, hysteromyoma, endometriosis, uterus fibroma, etc. (no data). Formulations containing I as an active ingredient were also described.

IT 847173-89-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinazoline-2,4(1H,3H)-dione derivs. as gonadotropin-releasing hormone antagonists)

RN 847173-89-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(3,4-dihydro-1(2H)-quinolinyl)sulfonyl]phenyl]- (CA INDEX NAME)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:759828 CAPLUS

DOCUMENT NUMBER: 141:260774

TITLE: Preparation of pyrazinecarboxamide compounds as

inhibitors of transforming growth factor (TGF)

signaling pathway

INVENTOR(S): Munchhof, Michael J.
PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 26 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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	PATENT NO.										_			DATE				
US	2004	0180	905		A1		2004	0916										<
	7199									O.T. O	0.0.4	0517	700		0	0040	000	
	2517																	
WO	2004																	
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EP	1606																	
	1606																	
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JP	2006	5198	3.3		Т		2006	0831	1 BR 2004-8251 1 JP 2006-506288						20040223 <			
AT	4029	29	-		T		2008	0815		AT 2	004-	7136	17		2	0040	223	<
ES	AT 402929 ES 2308151				Т3		2008	1201		ES 2	004-	7136	1 7		2	0040	223	<
	RIORITY APPLN. INFO.:							US 2003-453784P										
11(101(11)	RIORIII AFFLIN. INFO														W 20040223 <			
OTHER SO	THER SOURCE(S):					MARPAT 141:26077									. 2	0040		`

AB Pyrazine compds. of formula I [R = (substituted) Ph, heterocyclyl, heteroaryl, aryl; R1 = H, R2 = alkyl, cycloalkyl, aryl, heteroaryl, etc.; NR2R2 = (substituted) heterocyclyl, heteroaryl] are prepared The compds. are potent inhibitors of transforming growth factor (TGF)- $\beta$  signaling pathway. They are useful in the treatment of various TGF-related disease states including, for example, cancer and fibrotic diseases. Thus, II was prepared, and had IC50 of 1.19  $\mu$ M.

IT 625469-79-6P 756521-87-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazinecarboxamides as inhibitors of  $TGF-\beta$  signaling pathway)

RN 625469-79-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N,6-diphenyl- (CA INDEX NAME)

RN 756521-87-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-(4-methoxyphenyl)-N-phenyl- (CA INDEX NAME)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:534194 CAPLUS

DOCUMENT NUMBER: 141:89114

TITLE: Preparation of novel 3-aminopyrazine-2-carboxamides

having selective inhibiting effect at GSK3

INVENTOR(S): Berg, Stefan; Hellberg, Sven

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Soederman, Peter

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.					KIND DATE					ICAT		DATE				
WO	2004	0550	 06		A1	_	2004	0701	,	WO 2	003-	SE19	 56		2	0031	 215 <
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		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,
		NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
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		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,

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ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003287136
                          Α1
                                20040709
                                            AU 2003-287136
                                                                    20031215 <--
                                                                    20031215 <--
     EP 1575939
                                20050921
                                            EP 2003-781205
                          Α1
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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2006516124
                          Τ
                                20060622
                                            JP 2004-560224
                                                                    20031215 <--
     US 20060173014
                          Α1
                                20060803
                                            US 2005-539546
                                                                    20050616 <--
PRIORITY APPLN. INFO.:
                                            SE 2002-3752
                                                                 A 20021217 <--
                                            WO 2003-SE1956
                                                                 W 20031215 <--
OTHER SOURCE(S):
                         MARPAT 141:89114
```

AΒ The title compds. [I; Z = N; X = N; Y = CONR5; P = Ph; Q = Ph or 5-6 membered aromatic heteroarom. ring containing one or more heteroatoms selected from N, O, S; R = alkyl(SO2)NR1R2, alkylCONR1R2, OalkylNR1R2 (wherein R1, R2 = H, alkyl, 5-6 membered heterocyclyl, etc.; NR1R2 = 5-6 membered heterocyclyl); R3, R4 = halo, NO2, CF3, etc.; m, n = 0-1; R5 = H; as a free base or a pharmaceutically acceptable salt], were prepared and formulated. Thus, treating 4-bromo-N-[(1R)-2-methoxy-1methylethyl]benzenesulfonamide with n-butyllithium and triisopropyl borate in THF followed by reacting the intermediate with 3-amino-6-bromo-N-(pyridin-3-yl)pyrazine-2-carboxamide in the presence of Pd(dppf)Cl2, and Na2CO3 in THF (prepns. of reactants given) afforded 35%  $3-\text{amino}-6-[4-(\{[(1R)-2-\text{methoxy}-1-\text{methylethyl}]\text{amino}\}\text{sulfonyl})\text{phenyl}]-N-$ (pyridin-3-yl)pyrazine-2-carboxamide hydrochloride. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,000 nM in GSK-3 $\beta$  assay. 714237-14-6P 714237-16-8P 714237-17-9P ΙT 714237-18-0P 714237-19-1P 714237-20-4P 714237-21-5P 714237-22-6P 714237-32-8P 714237-33-9P 714237-34-0P 714237-35-1P 714237-36-2P 714237-43-1P 714237-47-5P 714237-48-6P 714237-49-7P 714237-50-0P 714237-51-1P 714237-52-2P 714237-57-7P 714237-58-8P 714237-68-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel 3-aminopyrazine-2-carboxamides having selective

714237-14-6 CAPLUS 2-Pyrazinecarboxamide, 3-amino-N-(3-nitrophenyl)-6-[4-(1-pyrrolidinylsulfonyl)phenyl]- (CA INDEX NAME)

inhibiting effect at GSK3)

RN

CN

RN 714237-16-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(2-methoxyphenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 714237-17-9 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(4-methoxyphenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 714237-18-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[2-(aminocarbonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 714237-19-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[3-(aminocarbonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 714237-20-4 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(3-cyanophenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 714237-21-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(2-bromophenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 714237-22-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(3-bromophenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 714237-32-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(3-methoxyphenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 714237-33-9 CAPLUS

CN 2-Pyrazinecarboxamide, N-(3-acetylphenyl)-3-amino-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 714237-34-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-N-[3-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 714237-35-1 CAPLUS

CN 2-Pyrazinecarboxamide, N-[3-(acetylamino)phenyl]-3-amino-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-36-2 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[3-(aminosulfonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ H_2N - S \\ O \\ \hline \\ NH \\ \hline \\ C = O \\ \hline \\ N \\ \hline \\ N \\ O \\ \end{array}$$

RN 714237-43-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(3-methoxyphenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-47-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(4-methoxyphenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-48-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[2-(aminocarbonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-49-7 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[3-(aminocarbonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

$$H_2N-C$$
 $NH$ 
 $C$ 
 $H_2N$ 
 $NH$ 
 RN 714237-50-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(3-cyanophenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-51-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(2-bromophenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-52-2 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(3-bromophenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-57-7 CAPLUS

CN 2-Pyrazinecarboxamide, N-(3-acetylphenyl)-3-amino-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-58-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 714237-68-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(2-methoxyphenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

IT 714237-42-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel 3-aminopyrazine-2-carboxamides having selective inhibiting effect at GSK3)

RN 714237-42-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-bromo-N-(3-methoxyphenyl)- (CA INDEX

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:967968 CAPLUS

DOCUMENT NUMBER: 140:16655

TITLE: Preparation of quinolinamides as inhibitors of the

GPIb - vWF interaction for treatment of

athero-thrombotic diseases

INVENTOR(S): Klingler, Otmar; Just, Melitta; Sakurai, Kuniya;

Fukuchi, Naoyuki

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany;

Ajinomoto Co., Inc.

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	rent	NO.			KIND DATE APPLICATION NO.							D.	ATE				
EP	1369	420			A1		2003	1210		EP 2	002-	1259	0		2	0020	606
	R:									GR, AL,		LI,	LU,	NL,	SE, MC, PT,		
US	2004	0067	980		A1		2004	0408		US 2	003-	4549	39		2	0030	604 <
	7235						2007										
	2488														_		606 <
WO																	606 <
	W:	,	,	,	,	,	,	,	,	BB,	,	,	,	,	,	,	•
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	IVW •									BG,							
		•	,	,	•	•				MC,	,						•
										GQ,							
AU	2003																606 <
	1509																606 <
EP	1509	516			В1		2007	0131									
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JP	2005	5284	59		Τ		2005	0922		JP 2	004-	5112	91		2	0030	606 <
AT	3530	82			${ m T}$		2007	0215		AT 2	003-	7401	99		2	0030	606 <
MX	2004	0114	08		А		2005	0930		MX 2	004-	1140	8		2	0041	117 <

US 20070173489 Α1 20070726 US 2007-731978 20070402 <--PRIORITY APPLN. INFO.: EP 2002-12590 20020606 <--Α Р US 2002-416953P 20021008 <--US 2003-454939 A3 20030604 <--WO 2003-EP5955 W 20030606 <--

Ι

ΙI

OTHER SOURCE(S): MARPAT 140:16655

$$R^{2}$$
 $A-(CH_{2})_{n}-B-(CH_{2})_{m}-D-(CH_{2})_{p}-E$ 
 $R^{1}$ 

AΒ Title compds. I [wherein m, n, and p = independently 0-4; R1 = alkyl; R2 = NR4R5; R4 and R5 = independently H or alkyl; A = NHCO or CONH; B = covalent bond, cycloalkyl, or (un) substituted monocyclic or bicyclic aryl or heterocyclyl; D = NHCO, CONH, or NH: E = (un)substituted monocyclic or bicyclic aryl or heterocyclyl; and their stereoisomeric forms, mixts., and physiol. tolerable salts thereof] were prepared I are reversible inhibitors of the interaction between the plasma protein von Willebrand factor (vWF) and the blood platelet receptor glycoprotein Ib-IX-V complex (GPIb). exhibit an antithrombotic effect and are suitable for use as pharmaceutical prepns. in the therapy and prophylaxis of athero-thrombotic diseases (no data). For example, reaction of 3-tert-butoxycarbonylaminopropionic acid and 2-methylquinoline-4,6-diamine in the presence of N-ethylmorpholine and TOTU in DMF gave 3-amino-N-(4-amino-2-methylquinolin-6-yl)propionamide (76%). Coupling with 2-amino-4-chloro-6-methylpyrimidine using diisopropylethylamine in DMA provided II. All disclosed compds. exhibited IC50 < 100  $\mu\text{M}$  in a von Willebrand factor - GPIb binding assay using human vWF and Eu-chelate-labeled chimeric GPIb-Fc protein.

IT 629629-95-4P, 4-Amino-N-[4-[[(2-amino-3-pyrazinyl)carbonyl]amino]phenyl]-2-methyl-6-quinolinecarboxamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antithrombotic; preparation of quinolinamides as inhibitors of GPIb - vWF interaction for treatment of athero-thrombotic diseases) 629629-95-4 CAPLUS

CN 6-Quinolinecarboxamide, 4-amino-N-[4-[[(3-amino-2-pyrazinyl)carbonyl]amino]phenyl]-2-methyl- (CA INDEX NAME)

RN

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:892800 CAPLUS

DOCUMENT NUMBER: 139:395950

TITLE: Preparation of substituted pyrazines as protein kinase

modulators

INVENTOR(S): Buhr, Chris A.; Baik, Tae-Gon; Ma, Sunghoon; Tesfai,

Zerom; Wang, Longcheng; Co, Erick Wang; Epshteyn, Sergey; Kennedy, Abigail R.; Chen, Baili; Dubenko, Larisa; Anand, Neel Kumar; Tsang, Tsze H.; Nuss, John M.; Peto, Csaba J.; Rice, Kenneth D.; Ibrahim, Mohamed Abdulkader; Schnepp, Kevin Luke; Shi, Xian; Leahy, James William; Chen, Jeff; Dalrymple, Lisa Esther; Forsyth, Thimothy Patrick; Huynh, Tai Phat; Mann, Grace; Mann, Lary Wayne; Takeuchi, Craig Stacy

PATENT ASSIGNEE(S): Exelixis, Inc., USA SOURCE: PCT Int. Appl., 468 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.						DATE				
	2003 2003		-						;						2	0030	502 <	
	W:							AZ,										
								DM,										
								IS,									•	
								MG, SD,										
								VN,					10,	111,	T 1/1	11/	1 1 <i>t</i>	
	RM.	,	•	•				SD,		•	•		7.M	7.W	ΔM	Δ7.	RY	
	1/// •	•		•	•	•	,	AT,			•	•	•	•	•	•	•	
			•					IT,	•	•				•			•	
			•	•	•			GA,	•	•	•			•	•			
CA	2484	,	- ,		•				•		•						502 <	
AU	2003	2344	64		A1					AU 2	003-	2344	64	20030502 <				
EP	1501	514			A2		2005	0202	EP 2003-728690						2	0030	502 <	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
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JP	2005	5307	60		Τ		2005	1013	1	JP 2	004-	5014.	36		2	0030	502 <	
US 20060211709				A1 20060921			1 US 2005-513081						2	0050	727 <			
IORITY APPLN. INFO.:			.:						US 2002-377933P					P 20020503 <				
								WO 2003-US13869					W 20030502 <					
HER SO	DURCE		MARPAT 139:39595					950										

OTHER SOURCE(S): MARPAT 139:395950

GΙ

This invention relates to compds. I [R1 = H, halo, CN, etc.; R2, R3 = H, AB alkyl, aryl, etc.; R4 = H, alkyl, aryl, etc.; Z = N, CH; A = CO, CS, C(:NR6), R7 (when A = R7, E does not exist); R6 = H, NO2, CN, etc.; R7 = (un) substituted 5-7 membered heterocyclyl; E = NR8R9, NNR2R3, OR4, etc.; R8 = H, alkyl; R9 = H, heteroarylalkyl, etc.; NR8R9 = (un)substituted 5-7membered heteroalicyclyl; W = 6-10 membered arylene, 5-10 membered heteroarylene; X = a bond, (un)substituted alkylene, O(CH2)2-30, etc.; Y = H, alkyl, aryl, etc.; with provisos] for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion, and to pharmaceutical compns. containing such compds. Even more specifically, the invention relates to compds. I that inhibit, regulate and/or modulate kinases, particularly Checkpoint Kinases, even more particularly Checkpoint Kinase 1, or Chkl. Preparation of representative compds. I is described. Thus, amidation of 3-amino-6-phenylpyrazinecarboxylic acid (preparation given) with benzylamine afforded 67% 3-amino-6-phenyl-N-(phenylmethyl)pyrazine-2-carboxamide which showed IC50 of 10,000 nM or greater against Chk1. Table presenting activity data with respect to Chk1 for over 1000 compds. I is given. Methods of therapeutically or prophylactically using the compds. I and compns. to treat kinase-dependent diseases and conditions are also an aspect of the invention, and include methods of treating cancer, as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, by administering effective amts. of such compds. ΙT 625469-79-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of protein kinase modulators)

RN 625469-79-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N,6-diphenyl- (CA INDEX NAME)

L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:633705 CAPLUS

DOCUMENT NUMBER: 139:180070
TITLE: Preparation of

2-(4-amino-1,2,5-oxadiazol-3-yl)benzimidazoles as

inhibitors of GSK-3

INVENTOR(S): Harbeson, Scott L.; Arnost, Michael J.; Green, Jeremy;

Savic, Vladimir

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.								APPLICATION NO.										
WO	2003	0666	29		A2		2003	0814										<
WO	2003																	
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		•					IN,	,										
							MD,											
							SE,	,		SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	
							ZA,											
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		ВJ,	CF,	CG,	CI,		GΑ,	•										
	2475						2003											
	2003																	
	2004																	
EP	1472	245			A2		2004	1103		EP 2	003-	7109	03		2	0030	206	<
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
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	2005														2			
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US	2007	0270	420		A1		2007	1122		US 2	007-	7767.	56		2	0070	712	<
PRIORIT	Y APP	LN.	INFO	.:									43P		P 2	0020	206	<
										US 2	003-	3605.	35		A1 2	0030	206	<
										WO 2	003-	US36	55		W 2	0030	206	<
OTHER SO	OURCE	(S):			MAR	PAT	139:	1800	70									

OTHER SOURCE(S): MARPAT 139:180070

GΙ

$$\begin{bmatrix} A & & & & & & & & & \\ & & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & \\ & & & \\ & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & \\ & & \\ & \\ & & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ &$$

The title compds. [I; ring A = (un)substituted 5-7 membered (un)saturated ring having 0-3 heteroatoms, and wherein ring A is optionally fused to 5-8 membered ring having 0-3 heteroatoms; ring B = (un)substituted 5-6 membered ring having 0-4 heteroatoms; W = N, CR4; X = N, CH (wherein at least one of W and X = N); R3 = TCN, LR; T = a bond, alkylidene; L = a bond, alkylidene wherein up to two methylene units of L are replaced by O, S, CO, etc.; R4 = LR, halo, TNO2, TCN; R = H, alkyl, aryl, etc.], useful as inhibitors of GSK-3 and Lck protein kinases (biol. data given) for treating and preventing various disorders, such as diabetes, Alzheimer's disease, and transplant rejection, were prepared Thus, reacting 1,2-phenylenediamine with Me 4-aminofurazan-3-carboximidate in the presence of AcOH in MeOH afforded 76% II. A pharmaceutical composition comprising the title compound I, was claimed.

IT 581081-84-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-(4-amino-1,2,5-oxadiazol-3-yl) benzimidazoles as inhibitors of GSK-3)

RN 581081-84-7 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(2-aminophenyl)- (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:728847 CAPLUS

DOCUMENT NUMBER: 137:257628

TITLE: Antitumor agents containing novel chroman derivatives

INVENTOR(S): Fujita, Takashi; Wada, Kunio; Oguchi, Minoru;

Kurakata, Shinichi

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 101 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

FAMILI ACC. NOM. COONI:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				_	
JP 2002275064 PRIORITY APPLN. INFO.:	A	20020925	JP 2002-5560 JP 2001-6574	A	20020115 < 20010115 <
OTHER SOURCE(S): GI	MARPAT	137:257628			

$$\begin{array}{c|c}
 & R6 \\
 & R5 \\
 & O \\
 & CH_2)_k YAr^1 (CH_2)_m NA (CH_2)_n Ar^2 \\
 & R4 \\
 & R3
\end{array}$$

The invention provides chroman derivs. I (R1 = H, C1-6 alkyl, etc.; R2 = H, C1-6 alkyl, etc.; R3, R4, R5, R6 = H, C1-6 alkyl, etc.; X = single bond, C0, C:NOR7, etc.; R7, R8 = H, C1-6 alkyl, C2-6 alkenyl, etc.; A = C0, S02; U = CH2, etc.; Y = 0, S; Q = H, nitro, OH, etc.; k = 1-6; m, n = 0-8; Ar1 = benzene ring, etc.; Ar2 = benzene ring, etc.) as antitumor agents. The antitumor effect of N-[2-[4-(6-acetoxy-4-oxo-2,5,7,8-tetramethylchroman-2-ylmethoxy)phenyl]=hyl]-nicotinamide in SK-N-MC and D283-Med cells was examined Also, a capsule containing <math>N-[4-(6-acetoxy-2,5,7,8-tetramethylchroman-2-ylmethoxy)phenyl]-nicotinamide 100 mg was prepared

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IT 321920-19-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(chroman derivs. as antitumor agents)

RN 321920-19-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(6-amino-3,4-dihydro-2,5,7,8-tetramethyl-4-oxo-2H-1-benzopyran-2-yl)methoxy]phenyl]- (CA INDEX NAME)

IT 321920-21-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(chroman derivs. as antitumor agents)

RN 321920-21-2 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[6-amino-3,4-dihydro-4-(methoxyimino)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]- (CA INDEX NAME)

L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:63989 CAPLUS

DOCUMENT NUMBER: 134:131426

TITLE: Preparation and effect of coumarone analogues as

antitumor agents

INVENTOR(S): Fujita, Takashi; Wada, Kunio; Oguchi, Minoru;

Kurakata, Shinichi

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan SOURCE: PCT Int. Appl., 238 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2001005780	A1 20010125	WO 2000-JP4732	20000714 <
W: AU, BR, CA.	. CN. CZ. HU. ID. 1	II. IN. KR. MX. NO. NZ.	PI. RU. TR.

US, ZA

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE

JP 2001089468 A 20010403 JP 2000-213985 20000714 <-RITY APPLN. INFO.: JP 1999-203159 A 19990716 <--

PRIORITY APPLN. INFO.: JP 1999-203159
OTHER SOURCE(S): MARPAT 134:131426

GΙ

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title coumarone analogs [I; wherein R1 is hydrogen, C1-C6 alkyl; R2 is hydrogen, C1-C6 alkyl; R3, R5 are each independently hydrogen, C1-C6 alkyl; R4, R6 are each independently hydroxy, C1-6 alkyl, NH2, acetoxy, methoxymethoxy; X is a single bond, C=O, C=NOR7; R7 and R8 are each independently hydrogen, C1-C6 alkyl, C2-C6 alkenyl; A is C=O, SO2; U is CH2, or the like; Y is O or S; Q is hydrogen, nitro, hydroxyl; p is an integer of 1 to 6; m and n are each independently an integer of 0 to 8; and Ar1 and Ar2 are each benzene ring or pyridine ring] exhibiting excellent antitumor activities are prepared and formulation are discussed. Thus, title compound II was prepared and tested.

IT 321920-19-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation and effect of coumarone analogs as antitumor agents)

RN 321920-19-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(6-amino-3,4-dihydro-2,5,7,8-tetramethyl-4-oxo-2H-1-benzopyran-2-yl)methoxy]phenyl]- (CA INDEX NAME)

IT 321920-21-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and effect of coumarone analogs as antitumor agents)

RN 321920-21-2 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[6-amino-3,4-dihydro-4-(methoxyimino)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]- (CA INDEX NAME)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:175783 CAPLUS

DOCUMENT NUMBER: 130:209718

TITLE: Novel atropisomers of

2,3-disubstituted-(5,6)-heteroaryl

fused-pyrimidin-4-ones

INVENTOR(S): Chenard, Bertrand Leo; Welch, Willard McKowan, Jr.

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: Eur. Pat. Appl., 36 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.			KIND DATE		APPLICATION NO.						DATE						
	90079	-			A1	-	1999			EP	 1998-	3067	44		1	9980	824	<
EP	90079	19			В1		2005	0608										
	R:	ΑT,	BE,	CH,	DE,	DK,	, ES,	FR,	GB,	GR	, IT,	LI,	LU,	ΝL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	, RO											
US	63232	808			В1		2001	1127		US	1998-	2594	13		1	9980	723	<
AT	29739	6			T		2005	0615		ΑT	1998–	3067	44		1	9980	324	<
ES	22422	61			Т3		2005	1101		ES	1998–	3067	44		1	9980	324	<
JP	11193	283			А		1999	0721		JP	1998–	2947	35		1	9980	331	<
JP	33517	48			В2		2002	1203										
CA	22465	95			A1		1999	0305	1	CA	1998–	2246	595		1	9980	903	<
CA	22465	95			С		2005	0315										
BR	98033	85			А		2000	0208		BR	1998–	3385			1	9980	904	<
PRIORITY	Y APPL	N.	INFO	. :						US	1997–	5799	0P	I	2 1	9970	905	<
OTHER SO	OURCE (	S):			MARI	PAT	130:	2097	18									
GT		, •					• •											

AB Title compds. I [R = CH:CHR4, CH2CH2R4; R1 = (un)substituted Ph, pyridyl;

R2R3 = atoms required to complete a 5- or 6-membered heterocyclic ring; R4 = (un)substituted Ph, 5- or 6-membered azaheterocyclic] were prepared for use as glutamate receptor antagonists in treatment of neurodegenerative, psychotropic, and drug and alc. induced central and peripheral nervous system disorders (no data). I can be separated into their atropisomers. Thus, Me 3-amino-2-thiophenecarboxylate was N-acetylated, hydrolyzed to the acid, cyclized, and subjected to aminolysis with o-toluidine. The resulting 2-methyl-3-(2-methylphenyl)-3H-thieno[3,2-d]pyrimidin-4-one was treated with 2-FC6H4CHO to give the thienopyrimidinone II. The atropisomers of II were separable by chromatog. on Chiralcel OD.

IT 36204-81-6P 199599-60-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(atropisomers of disubstituted heteroaryl fused pyrimidinones as antagonists for excitatory amine receptors)

RN 36204-81-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(2-methylphenyl)- (CA INDEX NAME)

RN 199599-60-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(2-chlorophenyl)- (CA INDEX NAME)

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:268489 CAPLUS

DOCUMENT NUMBER: 128:321568

ORIGINAL REFERENCE NO.: 128:63741a,63744a

TITLE: Anthranilic acid derivatives as multi drug resistance

modulators

INVENTOR(S): Ryder, Hamish; Ashworth, Philip Anthony; Roe, Michael

John; Brumwell, Julie Elizabeth; Hunjan, Sukhjit; Folkes, Adrian John; Sanderson, Jason Terry; Williams,

Susannah; Maximen, Levi Michael; et al.

PATENT ASSIGNEE(S): Xenova Ltd., UK; Ryder, Hamish; Ashworth, Philip

Anthony; Roe, Michael John; Brumwell, Julie Elizabeth; Hunjan, Sukhjit; Folkes, Adrian John; Sanderson, Jason

Terry; Williams, Susannah; Maximen, Levi Michael

SOURCE: PCT Int. Appl., 203 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
DK, EE, KZ, LC,	A1 AT, AU, AZ ES, FI, GB LK, LR, LS RO, RU, SD	19980430 , BA, BB, , GE, GH, , LT, LU, , SE, SG,	WO 1997-GB2885 BG, BR, BY, CA, CH, CN HU, ID, IL, IS, JP, KE LV, MD, MG, MK, MN, MW SI, SK, SL, TJ, TM, TR	KG, KP, KR, MX, NO, NZ,		
RW: GH, KE, GB, GR,	LS, MW, SD	, SZ, UG, , MC, NL,	ZW, AT, BE, CH, DE, DK PT, SE, BF, BJ, CF, CG			
CA 2268403 AU 9746339	A1 A	19980430 19980515	CA 1997-2268403 AU 1997-46339	19971017 < 19971017 <		
AU 741922 ZA 9709329 EP 934276	B2 A A1	20011213 19990419 19990811	ZA 1997-9329 EP 1997-945030	19971017 < 19971017 <		
EP 934276 R: AT, BE, ( IE, FI	B1 CH, DE, DK	20031217 , ES, FR,	GB, GR, IT, LI, LU, NL	, SE, MC, PT,		
BR 9711935 GB 2334521 GB 2334521	A A B	19990824 19990825 20001004	BR 1997-11935 GB 1999-8193	19971017 < 19971017 <		
CN 1241181 CN 100354265 HU 2000001531	A C A2	20000112 20071212 20000828	CN 1997-180708 HU 2000-1531	19971017 < 19971017 <		
HU 2000001531 JP 2001502683	A3 T	20000928 20010227	JP 1998-519108	19971017 <		
RU 2195454 AT 256663 PT 934276	C2 T T	20021227 20040115 20040531	RU 1999-109990 AT 1997-945030 PT 1997-945030	19971017 < 19971017 < 19971017 <		
ES 2210586 SK 284649 PL 191150	T3 B6 B1	20040701 20050804 20060331	ES 1997-945030 SK 1999-509 PL 1997-332725	19971017 < 19971017 < 19971017 <		
CZ 298209 TW 498074	В6 В	20070725 20020811	CZ 1999-1353 TW 1997-86115402	19971017 < 19971018 <		
BG 103327 NO 9901836 NO 313591	A A B1	20001130 19990617 20021028	BG 1999-103327 NO 1999-1836	19990413 < 19990416 <		
KR 2000049278 US 6218393 HK 1019330	A B1 A1	20000725 20010417 20010112	KR 1999-703389 US 1999-284642 HK 1999-103773	19990417 < 19990609 < 19990901 <		
PRIORITY APPLN. INFO.			WO 1996-GB2552 GB 1997-17576 WO 1997-GB2885	A 19961018 < A 19970819 < W 19971017 <		
OTHER SOURCE(S):	MARPAT	128:32156		W 19971017 \—		

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Anthranilic acid derivs. I [R, R1, R2 = H, alkyl, OH, alkoxy, halo, NO2, amino; or R1R2 = OCH2O or OCH2CH2O; R3 = H, alkyl; R4 = alkyl, or CH2 or CH2CH2 bridged to either Ph ring; R5 = H, OH, alkyl; X = bond, O, S, S(CH2)p, O(CH2)p; p = 1-6; R6 = H, alkyl, alkoxy; q = 0 or 1; Ar = (un)saturated carbo- or heterocyclic; R7, R8 = H, (un)substituted alkyl, alkoxy, OH, halo, Ph, NHOH, NO2, amino, SH, alkylthio; or R7R8 = CH:CHCH:CH or OCH2O; n = 0, 1; m = 0-6] and their pharmaceutically acceptable salts are disclosed. The compds. are inhibitors of P-glycoprotein, and may thus be used, inter alia, as modulators of

multidrug resistance in the treatment of multidrug-resistant cancers, for example, to potentiate the cytotoxicity of a cancer drug. For instance, amidation of 3-quinolinecarboxylic acid with the corresponding aminothiophene derivative via the acid chloride gave title compound II in 44% yield. In a test for potentiation of doxorubicin toxicity to AR 1.0 cells, II had a potentiation index of 142 at 30 nM.

IT 206874-58-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of anthranilic acid derivs. as multi-drug resistance modulators)

RN 206874-58-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{MeO} \\ \text{N} \\ \text{CH}_2 \\ \text{CH}_2 \\ \end{array}$$

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:761834 CAPLUS

DOCUMENT NUMBER: 128:34776
ORIGINAL REFERENCE NO.: 128:6857a

TITLE: Preparation of thienopyrimidinones and analogs as AMPA

receptor antagonists

INVENTOR(S): Chenard, Bertrand Leo; Elliott, Mark Leonard; Welch,

Willard McKowan, Jr.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: Eur. Pat. Appl., 39 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PAT	PATENT NO.		KIND DATE			APPLICATION NO.						DATE				
EP	807633			A2	-	1997	1119		EP	1997-:	 303000		 1	9970	501	<
EP	807633			A3		19980	0513									
EP	807633			В1		2002	1106									
	R: AT	, BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, IT,	LI, LU	, NL,	SE,	PT,	ΙE,	FΙ
AT	227293			T		2002	1115		ΑT	1997-3	303000		1	9970	501	<
ES	2184960			Т3		20030	0416		ES	1997-3	303000		1	9970	501	<
CA	2205274			A1		1997	1115		CA	1997-2	2205274		1	9970!	513	<
CA	2205274			С		20030	0211									
US	5962457			Α		19993	1005		US	1997-8	855630		1	9970	514	<
JP	1004575	7		Α		19980	0217		JΡ	1997-3	125953		1	9970	515	<
JP	3270360			В2		20020	0402									
PRIORITY	Y APPLN.	INFO	. :						US	1996-2	17737P		P 1	9960	515	<
OTHER SO	OURCE(S)	:		MARE	PAT	128:3	34776	6								
GI																

$$R^4$$
 $R^3$ 
 $R^1$ 
 $R^1$ 
 $R^3$ 
 $R$ 
 $R$ 
 $R$ 

AB Title compds. [I; R = CH2CH2R2 or CH:CHR2; R1 = (un)substituted Ph or -heteroaryl; R2 = (un)substituted Ph or -heteroaryl; R3R4 = atoms to complete an (un)substituted heteroarom. ring] were prepared as AMPA receptor antagonists (no data). Thus, Me 3-aminothiophene-2-carboxylate was N-acetylated and the saponified product cyclized to give 2-methylthieno[3,2-d][1,3]oxazin-4-one which was cyclocondensed with o-toluidine to give I (R1 = C6H4Me-2, R3R4 = CH:CHS)(II; R = Me). The latter was condensed with 3-FC6H4CHO to give II (R = CH:CHC6H4F-2).

IT 36204-81-6P 199599-60-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thienopyrimidinones and analogs as  $\ensuremath{\mathsf{AMPA}}$  receptor antagonists)

RN 36204-81-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(2-methylphenyl)- (CA INDEX NAME)

RN 199599-60-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(2-chlorophenyl)- (CA INDEX NAME)

L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:434570 CAPLUS

DOCUMENT NUMBER: 77:34570

ORIGINAL REFERENCE NO.: 77:5763a,5766a

TITLE: Pyrazinamide derivatives as diuretics and natriuretics

INVENTOR(S): Cragoe, Edward J., Jr.; Shepard, Kenneth L.

PATENT ASSIGNEE(S): Merck and Co., Inc. SOURCE: Fr. Demande, 54 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PRIORITY APPLN. INFO.:

US

19690212 <--

GI For diagram(s), see printed CA Issue.

Refluxing a mixture of I (R1 = Me, R2 = R3 = H, R4 = C1), 5% aqueous NaOH, and AΒ iso-PrOH for 1 hr gave the carboxylic acid I (R1 = R2 = R3 = H, R4 = C1)(II). A mixture of CH.tplbond.CCH2NH2, Me 3-amino-5,6-dichloropyrazinoate, and Me2SO when stirred for 1 hr gave I (R1 = Me, R2 = H, R3 = CH.tplbond.CCH2, R4 = C1) which on hydrolysis gave the corresponding carboxylic acid, R1 = H. Using similar methods, 21 I were prepared in which R1 = H, R2 = H, Me, allyl, cyclopentyl, Me2NCH2CH2, 2-furylmethyl, MeO, NH2, etc., R3 = H or Me, R4 = Cl, Br, or iodo. To a solution of II, Et3N, and Me2NCHO was added N-tert-butyl-5-methylisoxazolium perchlorate (III) and the mixture stirred 2 hr to give IV (R2 = R3 = H, R4 = C1, R5 = Me, R6 =Me3C) (V). Nineteen IV were similarly prepared in which R2 = H, allyl, propargyl, cyclopentyl, hydroxyalkyl, benzyl, furylmethyl, phenyl, substituted phenyl, MeO, NH2, Me, or Et; R3 = H or Me; R4 = C1, Br, iodo; R5 = Me or Ph; R6 = Et, CMe3, or Me. Refluxing a mixture of 1-aminopyrrolidine and V for 2 hr gave VI (R2 = R3 = H, R4 = C1, R1 =pyrrolidino) as a high m.p. solid. Twenty-two VI were similarly prepared in which R2, R3, and R4 were as in V and R1 was a group such as MePrN(CH2)2, MeOCH2CH2, benzyl, Me2NCH2CH2, pyrrolidinoethyl, and 1-methyl-4-piperazinoethyl. VI (R2 = R3 = H, R4 = C1, R1 = 2-pyridylamino) was prepared by refluxing a mixture of 2-hydrazinopyridine (VII) and MeCN. Reacting III, 3,5-diamino-6-chloropyrazinoic acid (VIII) with Et3N in Me2NCHO, then addition of 2-hydrazinopyrimidine in DMF and further heating gave VI (R2 = R3 = H, R4 = C1, R1 = 2-pyrimidinylamino). In THF, under similar conditions were prepared a further 14 amides and hydrazines VI including VI (R2 = R3 = H, R4 = C1, R1 = 4H-1,2,4-triazolyl). Stirring a mixture of benzamidine and VII in H2O for 2 hr gave IX. Five analogs were prepared using other amidines. In a similar manner using guanidine in place of benzamidine was prepared X (R = H) (XI) giving a crystalline hydrochloride. XI could also be prepared directly from

without isolation of intermediates. By similar methods were prepared X (R = OH, CH2Ph) and 39 analogs of X in which the NH2 adjacent to the Cl could also be substituted. With aminoquanidine and 2-hydrazino-2-imidazoline were prepared X (R = NH2 and 2-aminoimidazoline). A mixture of CNNH2 and Na in iso-PrOH was refluxed for 0.5 hr and then heated with N-tert-butyl-3-(3,5-diamino-6-chloropyrazinylcarbonyloxy)crotonamide to give N-cyano-3,5-diamino-6-chloropyrazinecar-boxamide. Refluxing N-tert-butyl-3-methyl-3-(3,5-diamino-6chloropyrazinylcarbonyloxy)acrylamide (XII) and benzyloxydiguanide in THF gave XIII (R = H, R1 = CH2Ph). Twelve XI in which R was H and R1 1-6C alkyl, or R was a substituent such as cyclopentyl, PhCH2, and furylmethyl, and R1 was substituted benzyl were prepared Refluxing a mixture of 2-amino-2-thiazoline, XII, and THF gave N-(2-thiazolin-2-yl)-3,5-diamino-6-chloropyrazinecarboxamide (XIV, R = R1)= R2 = R3 = H). Three analogs were prepared in which R was cyclopentyl, benzyl and HO(CH2)2, the other substituents being H, Me, or C6H13. XIV where RNH was pyrrolidino was also prepared The 4- and 2-pyridyl groups and 2-pyrimidinyl could be substituted for the thiazoline. Reaction of V with sulfamide and Et3N in MeCN at room-temperature gave XV (R = R1 = R2 = H, X =  $\frac{1}{2}$ Cl). Eighteen XV were similarly prepared Properties are also given for a further 19 amides XVI. containing a wide variety of substituents. The products are useful in treatment of hypertension and related conditions by causing diuresis without elimination of potassium. Daily doses are 5~mg-1g.

IT 32209-55-5P

VIII

CN 2-Pyrazinecarboxamide, 3,5-diamino-6-chloro-N-phenyl- (CA INDEX NAME)

L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1971:420438 CAPLUS

DOCUMENT NUMBER: 75:20438
ORIGINAL REFERENCE NO.: 75:3278h,3279a

TITLE: N-substituted 3,5-diamino-6-halopyrazinamides INVENTOR(S): Shepard, Kenneth L.; Cragoe, Edward J., Jr.

PATENT ASSIGNEE(S): Merck and Co., Inc.

SOURCE: U.S., 10 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

CN

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE							
	US 3573306	 A	19710330	US 1969-804663	 19690305							
	NL 7001141			NL 1970-1141								
	BE 746816	A	19/00904	BE 1970-746816								
PRIO	RITY APPLN. INFO.:			US 1969-804663 A								
AB	Addition of dipheny	lcarbam	oyl chloride	e to 3,5-diamino-6-chlor	ropyrazinoic acid							
	and Et3N in HCONMe2 gave 3,5-diamino-6-chloropyrazinecarboxylic											
	diphenylcarbamic anhydride (I). Refluxing Na in iso-PrOH with											
	quanidine-HCl and addition of I gave 1-(3,5-diamino-6-											
	chloropyrazinoyl)guanidine. Similarly prepared were											
	1,1,3,3-tetramethyl-2-(3,5-diamino-6-chloropyrazinoyl)guanidine,											
1-(3,5-diamino-6-chloropyrazinoyl)-3-cyanoguanidine,												
	N-methyl-N-(cyanomethyl)-3,5-diamino-6-chloropyrazinecarboxamide,											
	N-(2,2-diethoxyethyl)-3,5-diamino-6-chloropyrazinecarboxamide,											
				nloropyrazinecarboxamide	⊖,							
				propyrazinecarboxamide,								
	N-(2-pyridyl)-3,5-c											
	3,5-diamino-6-chlor	ropyrazi	necarboxylic	c acid 1,2-dimethylhydra	azide,							
	3,5-diamino-6-chlor	ropyrazi	necarboxylic	c acid								
	1-methyl-2-benzylio	lenehydr	azide, and									
	N-(3,5-diamino-6-ch	loropyr	azinovl)morr	pholine. These compds.	had diuretic							
	activity at 10-100		2 . 1	•								
ΙT	32209-55-5P	2										
	RL: SPN (Synthetic	prepara	tion); PREP	(Preparation)								
	(preparation of)		, ,									
RN	32209-55-5 CAPLUS											

2-Pyrazinecarboxamide, 3,5-diamino-6-chloro-N-phenyl- (CA INDEX NAME)

## => filstnguide

FILSTNGUIDE IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> fil stnguide
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=> III Striguide		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	98.62	286.72
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-13.94	-13.94

FILE 'STNGUIDE' ENTERED AT 18:13:27 ON 25 FEB 2009 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 20, 2009 (20090220/UP).

## => LOGOFF

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY 1.54	SESSION 288.26
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-13.94

STN INTERNATIONAL LOGOFF AT 18:26:24 ON 25 FEB 2009